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=> s 150812-12-7/rn or retigabine
'RN' IS NOT A VALID FIELD CODE
'RN' IS NOT A VALID FIELD CODE
L1 410 150812-12-7/RN OR RETIGABINE

=> s eperisone or silperisone or 163437-00-1/rn or 140944-31-6/rn or tolperisone or 91625-74-0/rn or 67499-66-5/rn or 67499-64-3/rn or 67499-63-2/rn or 3644-61-9/rn or abbsa or arantoick or atmosgen or mydocalm

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L2 1089 EPERISONE OR SILPERISONE OR 163437-00-1/RN OR 140944-31-6/RN OR TOLPERISONE OR 91625-74-0/RN OR 67499-66-5/RN OR 67499-63-2/RN OR 3644-61-9/RN OR ABBSA OR ARANTOICK OR ATMOSGE N OR MYDOCALM

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=> s 12 or 13 L4 1099 L2 OR L3

=> s 14 and pain L5 181 L4 AND PAIN

=> s l1 and pain L6 84 L1 AND PAIN

=> dup rem 15
PROCESSING COMPLETED FOR L5
L7 163 DUP REM L5 (18 DUPLICATES REMOVED)

=> focus 17
PROCESSING COMPLETED FOR L7
L8 163 FOCUS L7 1-

=> d ibib abs 1-30

L8 ANSWER 1 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2000:344450 CAPLUS

DOCUMENT NUMBER:

132:339399

TITLE:

Transdermal preparations containing eperisone

or tolperisone and blood circulation

promoters for treatment of neck or back pain

INVENTOR(S):

Manabe, Eiichiro

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 8 pp.

CODEN: JKXXAF

10/23/03

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

KIND DATE APPLICATION NO. DATE PATENT NO. ______ ____ -----______ JP 2000143513 A2 20000523 JP 1998-324299 19981116 JP 1998-324299 $\cdot 19981116$ PRIORITY APPLN. INFO.:

Transdermal prepns. contain—(A) eperisone, tolperisone , or their salts and (B) blood circulation promoters, e.g. capsaicin, nonylic acid vanillylamide, or chinese medicine. A liquid containing eperisone HCl salt and hot pepper extract caused less skin irritation in volunteers than a control, and showed higher blood eperisone concentration in rats than the control.

ANSWER 2 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN r_8

ACCESSION NUMBER:

2000:342574 CAPLUS

DOCUMENT NUMBER:

132:339394

TITLE:

Transdermal preparations containing anti-inflammatory/analgesic agents and

eperisone or tolperisone for treatment of neck or back pain

INVENTOR(S):

Manabe, Eiichiro

PATENT ASSIGNEE(S):

Taisho Pharmaceutical Co., Ltd., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 7 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

1

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000143510 PRIORITY APPLN. INFO.:	A2	20000523	JP 1998-324778 JP 1998-324778	19981116 19981116

AΒ Transdermal prepns. contain (A) anthranilic acid-, phenylacetic acid-, indole-, propionic acid-, pyrazolone-, benzothiazine-, and/or sulfonamide-type anti-inflammatory/analgesic agents, and (B)

eperisone, tolperisone, or their salts.

Eperisone and tolperisone enhance transdermal absorption of the anti-inflammatory/analgesic agents. A liquid containing indomethacin and eperisone HCl salt showed good clin. efficacy for treatment of neck pain or stiff shoulders.

ANSWER 3 OF 163 USPATFULL on STN

ACCESSION NUMBER:

91:102044 USPATFULL

TITLE:

Pharmaceutical preparation for percutaneous

administration containing eperisone or

tolperisone or salt thereof

INVENTOR(S):

Yoshida, Mitsuhiro, Fukaya, Japan Morita, Yutaka, Honjou, Japan Ishino, Yoshio, Kumagaya, Japan Ohsawa, Shigemitsu, Honjou, Japan

PATENT ASSIGNEE(S):

Sansho Co., Ltd., Tokyo, Japan (non-U.S. corporation) Eisai Co., Ltd., Tokyo, Japan (non-U.S. corporation)

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 5073375		19911217	
APPLICATION INFO.:	US 1990-561707		19900802	(7)

Α DISCLAIMER DATE:

20081022

RELATED APPLN. INFO.:

Division of Ser. No. US 1988-193713, filed on 13 May

1988

NUMBER DATE -----JP 1987-118660 PRIORITY INFORMATION: 19870515 JP 1988-62944 19880316 DOCUMENT TYPE: Utility Granted FILE SEGMENT:

Page, Thurman K. PRIMARY EXAMINER: ASSISTANT EXAMINER: Azpuru, Carlos

LEGAL REPRESENTATIVE: Flynn, Thiel, Boutell & Tanis

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1

8 Drawing Figure(s); 4 Drawing Page(s) NUMBER OF DRAWINGS:

598 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A pharmaceutical preparation for the percutaneous administration

comprises eperisone or tolperisone, including salts

thereof, and a monoglyceride of an aliphatic acid having 8 to 12 carbon atoms or/and an ester of lactic acid with an aliphatic alcohol having 12 to 18 carbon atoms. It is improved in the percutaneous absorption.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 4 OF 163 USPATFULL on STN L8

ACCESSION NUMBER: 91:86568 USPATFULL

Pharmaceutical preparation for percutaneous TITLE:

administration containing eperisone or

tolperisone or salt thereof

Yoshida, Mitsuhiro, Fukaya, Japan INVENTOR(S):

Morita, Yutaka, Honjou, Japan Ishino, Yoshio, Kumagaya, Japan Ohsawa, Shigemitsu, Honjou, Japan

Sansho Co., Ltd., Tokyo, Japan (non-U.S. corporation) PATENT ASSIGNEE(S):

Eisai Co., Ltd., Tokyo, Japan (non-U.S. corporation)

NUMBER KIND DATE _____ US 5059427 19911022 US 1988-193713 19880513 PATENT INFORMATION: 19880513 (7) APPLICATION INFO.:

> NUMBER DATE -----

PRIORITY INFORMATION: JP 1987-118660 19870515 JP 1988-62944 19880316

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Cashion, Jr., Merrell C. ASSISTANT EXAMINER: Azpuru, Carlos

LEGAL REPRESENTATIVE: Flynn, Thiel, Boutell & Tanis

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1

8 Drawing Figure(s); 4 Drawing Page(s) NUMBER OF DRAWINGS:

589 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A pharmaceutical preparation for the percutaneous administration

comprises eperisone or tolperisone, including salts

thereof, and a monoglyceride of an aliphatic acid having 8 to 12 carbon atoms or/and an ester of lactic acid with an aliphatic alcohol having 12 to 18 carbon atoms. It is improved in the percutaneous absorption.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 5 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

1989:540501 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 111:140501

TITLE: Transdermal pharmaceuticals containing

eperisone or tolperisone and

C8-12-monoglycerides and/or lactate esters

Yoshida, Mitsuhiro; Morita, Yutaka; Ishino, Yoshio; INVENTOR(S):

Ohsawa, Shigemitsu

Sansho Co., Ltd., Japan; Eisai Co., Ltd. Eur. Pat. Appl., 17 pp. PATENT ASSIGNEE(S):

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE	APPLICATION NO.	DATE
EP 295411 A1 19881221 EP 295411 B1 19900905	EP 1988-107085	19880503
R: AT, BE, CH, DE, FR, GB, IT,	LI, LU, NL, SE	
JP 01052716 A2 19890228	JP 1988-62944	19880316
JP 07020866 B4 19950308		
FI 8801978 A 19881116	FI 1988-1978	19880427
FI 91361 B 19940315		
FI 91361 C 19940627		
AT 56144 E 19900915		
DK 8802539 A 19881116	DK 1988-2539	19880509
DK 169016 B1 19940801	•	
NO 8802103 A 19881116	NO 1988-2103	19880513
NO 175515 B 19940718		
NO 175515 C 19941026		
US 5059427 A 19911022	US 1988-193713	19880513
CA 1310270 A1 19921117	- CA 1988-566696	19880513
US 5073375 A 19911217	US 1990-561707	19900802
PRIORITY APPLN. INFO.:	JP 1987-118660	A 19870515
	JP 1988-62944	A 19880316
	EP 1988-107085	A 19880503
	US 1988-193713	A3 19880513

AB A pharmaceutical for percutaneous administration comprises as a 1st component eperisone or its salt or tolperisone or its salt, and as a 2nd component of C8-12-monoglyceride and/or an ester of lactic acid with a C12-18-alc. Eperisone-HCl was suspended in a base consisting of Homotex PT(glycerol mono- and dicaprylate mixture) and applied to ablated abdominal rat skin; the penetration of eperisone-HCl was 10 times higher than from a composition using propylene glycol as base and it was 200 times higher than from a composition using 1,3-butylene glycol and dipropylene glycol as base. An ointment contained Homotex PT 5, eperisone-HCl 1.5, sorbitan trioleate 3, and white petrolatum 90.5% by weight

L8 ANSWER 6 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:644455 CAPLUS

DOCUMENT NUMBER: 140:229074

TITLE: Effect of muscle relaxants on experimental jaw-muscle

pain and jaw-stretch reflexes: a double-blind

and placebo-controlled trial

AUTHOR(S): Svensson, Peter; Wang, Kelun; Arendt-Nielsen, Lars CORPORATE SOURCE: Dental School, Department of Clinical Oral Physiology,

Aarhus University, Aarhus, DK-8000, Den.

SOURCE: European Journal of Pain (Amsterdam, Netherlands)

(2003), 7(5), 449-456

CODEN: EJPAFJ; ISSN: 1090-3801

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

As randomized, double-blind, placebo-controlled three-way cross-over study was performed to investigate the effect of two muscle relaxants (
tolperisone hydrochloride and pyridinol mesylate) on exptl.
jaw-muscle pain and jaw-stretch reflexes. Fifteen healthy men participated in three randomized sessions separated by at least 1 wk. In each session 300 mg tolperisone, 8 mg pyridinol mesylate or placebo was administered orally as a single dose. One hour after drug administration 0.3 mL hypertonic saline (5.8%) was injected into the right masseter to produce muscle pain. Subjects continuously rated their perceived pain intensity on an electronic 10-cm visual analog scale (VAS). The pressure pain threshold (PPT) was measured and short-latency reflex responses were evoked in the pre-contracted (15% maximal voluntary contraction) masseter and temporalis muscles by a standardized stretch device (1 mm displacement, 10 ms ramp time) before (baseline), 1 h after medication (post-drug), during ongoing

exptl. muscle pain (pain-post-drug), and 15 min after pain had vanished (post-pain). Anal. of variance demonstrated significantly lower VAS peak pain scores (5.9 cm) after administration of tolperisone hydrochloride compared with pyridinol mesylate (6.8 cm) and placebo (6.6 cm). Administration of pyridinol mesylate was associated with a significant decrease in PPTs compared with tolperisone hydrochloride and placebo after medication, but not after exptl. jaw-muscle pain. The normalized peak-to-peak amplitude of the stretch reflexes were not significantly influenced by the test medication, but were in all sessions significantly facilitated during ongoing exptl. jaw-muscle pain. In conclusion, tolperisone hydrochloride provides a small, albeit significant reduction in the perceived intensity of exptl. jaw-muscle pain whereas the present dose had no effect on the short-latency jaw-stretch reflex.

REFERENCE COUNT:

THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN L8

ACCESSION NUMBER: 2006:13310 CAPLUS

DOCUMENT NUMBER:

144:81216

TITLE:

Compositions and methods for the prevention or treatment of pain and other nervous system

disorders

INVENTOR(S):

Speicher, Brian T.; Kucharik, Robert F.

PATENT ASSIGNEE(S): USA

SOURCE:

U.S. Pat. Appl. Publ., 8 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006004050	A1	20060105	US 2005-172269	20050630
PRIORITY APPLN. INFO.:			US 2004-585466P P	20040702
OMITED COLLEGE (C) .	MANDERM	144.01016		

OTHER SOURCE(S): MARPAT 144:81216 A tolperisone-related compound is administered for the prevention and treatment of periodic paralyses and myotonias of several types, long QT syndrome, Brugada syndrome, malignant hyperthermia, myasthenia, epilepsy, ataxia, migraine, Alzheimer's Disease, Parkinson's Disease, schizophrenia, and hyperekplexia, neuropathic pain, and pain associated with nervous system disorders including, but not limited to, painful diabetic neuropathy, postherpetic neuralgia, trigeminal neuralgia, complex regional pain syndrome, Guillain-Barre syndrome (GBS), Charcot-Marie-Tooth (CMT) disease, complex regional pain syndrome, type 1 (CRPS-1), ischemic neuropathy, fibromyalgia, chronic fatigue syndrome, painful spasticities, and other nervous system disorders that have pain as an attendant sign and/or symptom.

ANSWER 8 OF 163 USPATFULL on STN 18

ACCESSION NUMBER:

2004:203955 USPATFULL

TITLE:

Synergistic combinations

INVENTOR(S):

Field, Mark John, Kent, UNITED KINGDOM

Williams, Richard Griffith, Kent, UNITED KINGDOM

			NUMBER	KIND	DATE
PATENT	INFORMATION:	US	2004157847	A1	20040812

APPLICATION INFO.:

US 2004-771183 A1 20040203 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-640515, filed

on 13 Aug 2003, PENDING

NUMBER DATE GB 2002-19024 20020815 US 2002-411493P 20020916 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR,

MT. 4810

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS:

4 Drawing Page(s)

LINE COUNT: 2977

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention relates to a combination of an alpha-2-delta ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred alpha-2-delta ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 9 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:644449 CAPLUS

DOCUMENT NUMBER: 140:229275

TITLE: Prophylactic tolperisone for post-exercise

muscle soreness causes reduced isometric force - a double-blind randomized crossover control study

AUTHOR(S): Bajaj, Prem; Arendt-Nielsen, Lars; Madeleine, Pascal;

Svensson, Peter

CORPORATE SOURCE: Centre for Sensory-Motor Interaction, Laboratory for

Experimental Pain Research, Aalborg University, VEJ 7

D3, Den.

SOURCE: European Journal of Pain (Amsterdam, Netherlands)

(2003), 7(5), 407-418

CODEN: EJPAFJ; ISSN: 1090-3801

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

The role of tolperisone hydrochloride, a centrally acting muscle relaxant in relieving painful muscle spasm is recently being discussed. The present study hypothesizes that the prophylactic use of tolperisone hydrochloride may effectively relieve post-exercise muscle soreness, based on the spasm theory of exercise pain. Twenty male volunteers, aged 25.2 yr (mean) participated in 10 sessions in which they received oral treatment with placebo or the centrally acting muscle relaxant tolperisone hydrochloride (150 mg) three times daily for 8 days, in randomized crossover double-blind design. course assessments were made for pressure pain threshold, Likert's pain score (0-5), pain areas, range of abduction, isometric force, and electromyog. (EMG) root mean square (RMS) during maximum voluntary isometric force on day 1 and 6, immediately after an eccentric exercise of first dorsal interosseous muscle, and 24 and 48 h after the exercise. Treatment with placebo or tolperisone hydrochloride was initiated immediately after the assessments on the first day baseline assessments. On the sixth day baseline investigations were repeated and then the subjects performed six bouts of standardized intense eccentric exercise of first dorsal interosseous muscle for provocation of post-exercise muscle soreness (PEMS). Perceived intensity of warmth, tiredness, soreness and pain during the exercise bouts were recorded on a 10 cm visual analog pain scale. VAS scores and pressure pain thresholds did not differ between tolperisone and placebo treatment. All VAS scores increased during the exercise bouts 2, 3, 4, 5 and 6 as compared to bout 1. Increased pain scores and pain areas were reported immediately after, 24 and 48 h after exercise. Pressure pain thresholds were reduced at 24 and 48 h after the exercise in the exercised hand. Range of abduction of the index finger was reduced immediately after the exercise and was still reduced at 24 h as compared to the non-exercised hand. The EMG RMS amplitude was also reduced immediately after the exercise, but was increased at 24 and 48 h. Isometric force was

reduced immediately after the exercise as compared to days 1, 6, and the 24 and 48 h post-exercise assessments with a greater reduction following the

tolperisone hydrochloride treatment and the reduction was more in tolperisone group as compared to the placebo group. The results

suggest, that the prophylactic intake of tolperisone hydrochloride provides no relief to pain in course of

post-exercise muscle soreness but results in reduction in isometric force. 71 THERE ARE 71 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 10 OF 163 USPATFULL on STN L8

ACCESSION NUMBER: 2005:75875 USPATFULL

TITLE: Combinations

Field, Mark John, Sandwich, UNITED KINGDOM INVENTOR(S):

Williams, Richard Griffith, Sandwich, UNITED KINGDOM

NUMBER KIND DATE -----US 2005065176 A1 20050324 US 2004-936416 A1 20040908 PATENT INFORMATION:

A1 20040908 (10) APPLICATION INFO.:

NUMBER DATE -----GB 2003-22140 20030922 PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR,

MI, 48105

NUMBER OF CLAIMS: 14 EXEMPLARY CLAIM: 1 LINE COUNT: 2441

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention relates to a combination of an alpha-2-delta ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain.

Particularly preferred alpha-2-delta ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil

(Aricept®), tacrine (cognex®), rivastigmine (Exelon®),

physostgmine (Synapton®), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

1.8 ANSWER 11 OF 163 USPATFULL on STN

2004:121106 USPATFULL ACCESSION NUMBER: TITLE: Synergistic combinations

INVENTOR(S): Field, Mark John, Sandwich, UNITED KINGDOM

Williams, Richard Griffith, Sandwich, UNITED KINGDOM

NUMBER KIND DATE _______ US 2004092522 A1 20040513 PATENT INFORMATION: US 2003-640515 APPLICATION INFO.:

A1 20030813 (10)

NUMBER DATE -----GB 2002-19024 20020815 US 2002-411493P 20020916 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: David R. Kurlandsky, Warner-Lambert Company LLC, 2800

Plymouth Road, Ann Arbor, MI, 48105

NUMBER OF CLAIMS: 14 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 2958

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention relates to a combination of an alpha-2-delta ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred

alpha-2-delta ligands are gabapentin and pregabalin. Particularly preferred PDEV inhibitors are sildenafil, vardenafil and tadalafil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 12 OF 163 USPATFULL on STN

INVENTOR(S):

ACCESSION NUMBER: 2004:121082 USPATFULL

TITLE: Substituted glycine derivatives for use as medicaments

Blakemore, David, Sandwich, UNITED KINGDOM Bryans, Justin S., Sandwich, UNITED KINGDOM Chu, Wai-Lam Alex, San Diego, CA, UNITED STATES

> Maw, Graham N., Sandwich, UNITED KINGDOM Rawson, David J., Sandwich, UNITED KINGDOM Thompson, Lisa R., Sandwich, UNITED KINGDOM

NUMBER KIND DATE

PATENT INFORMATION: US 2004092498 A1 20040513 APPLICATION INFO:: US 2003-640520 A1 20030813 (10)

NUMBER DATE

PRIORITY INFORMATION: GB 2002-19153 20020816

US 2002-413856P 20020925 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: David R. Kurlandsky, Warner-Lambert Company LLC, 2800

Plymouth Road, Ann Arbor, MI, 48105

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1 LINE COUNT: 1995

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The compounds of formula (I) are substituted glycine derivatives useful in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathological disorders, sleep disorders, visceral pain disorders and gastrointestinal disorders. Processes for the preparation of the final products and intermediates useful in the process are included. Pharmaceutical compositions containing one or more of the compounds are also included. ##STR1##

wherein R.sup.1 is hydroxycarbonyl, a carboxylic acid biostere or prodrug thereof;

R.sup.3, R.sup.3a, R.sup.2 and R.sup.2a are independently selected from H, C.sub.1-C.sub.6 alkyl, and C.sub.1-C.sub.6 alkoxy C.sub.1-C.sub.6 alkyl;

Z is;

(i) a C-linked, 5 membered heterocycloalky or heteroaryl substituted with C.sub.1-C.sub.6 alkyl or fused with C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl, or monocyclic heteroaryl, wherein the fused ring is optionally substituted with one or two substituents selected from the group consisting of halogen, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy, perfluoro C.sub.1-C.sub.6 alkyl, perfluoro C.sub.1-C.sub.6 alkyl amino, C.sub.1-C.sub.6 alkyl thio, C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl, and monocyclic heteroaryl; or

(ii) the group; ##STR2##

wherein R.sup.4 and R.sup.4a are independently H, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy or C.sub.1-C.sub.6 alkoxy C.sub.1-C.sub.6 alkyl;

R.sup.5 is C.sub.1-C.sub.6 alkyl, C.sub.3-C.sub.12 cycloalkyl, 4-12 membered heterocycloalkyl, aryl or heteroaryl and R.sup.5 is optionally substituted with one or two substituents selected from the group consisting of halogen, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy,

perfluoro C.sub.1-C.sub.6 alkyl, perfluoro C.sub.1-C.sub.6 alkoxy, cyano, C.sub.1-C.sub.6 alkyl amino, di-C.sub.1-C.sub.6 alkyl amino, amino C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkyl amino C.sub.1-C.sub.6 alkyl, di-C.sub.1-C.sub.6 alkyl amino C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkyl thio, C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl and monocyclic heteroaryl;

and either;

- (i) Y is S, O, NH or CH.sub.2 and X is a direct link or C.sub.1-C.sub.2 alkyl optionally substituted with C.sub.1-C.sub.6 alkyl or di-C.sub.1-C.sub.6 alkyl or 1-4 fluorine atoms; or
- (ii) X is S, O, CH.sub.2 or NH and Y is C.sub.1-C.sub.2 alkyl optionally substituted with C.sub.1-C.sub.6 alkyl or di-C.sub.1-C.sub.6 alkyl or 1-4 fluorine atoms.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 13 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

2005:983622 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

143:272531

TITLE:

Tolperisone-containing pharmaceutical

preparation with controllable active-substance release

for oral administration

INVENTOR(S):

Bodenteich, Angelika; Pirich, Eberhard; Bockmann,

Josef; Frantsits, Werner

PATENT ASSIGNEE(S):

Austria

SOURCE:

U.S. Pat. Appl. Publ., 9 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	ENT	NO.			KIND DATE					_	ION :		DATE						
US	2005	1964	51		A1 A1					US 2	004-	9320	43		20040902 20040909				
	W:	CN, GE, LK, NO, TJ, BW,	CO, GH, LR, NZ, TM, GH,	CR, GM, LS, OM, TN, GM,	CU, HR, LT, PG, TR, KE,	AT, CZ, HU, LU, PH, TT, LS,	AU, DE, ID, LV, PL, TZ, MW,	AZ, DK, IL, MA, PT, UA, MZ,	BA, DM, IN, MD, RO, UG, NA,	BB, DZ, IS, MG, RU, US, SD, AT,	BG, EC, JP, MK, SC, UZ, SL,	BR, EE, KE, MN, SD, VC, SZ,	BW, EG, KG, MW, SE, VN, TZ,	BY, ES, KP, MX, SG, YU, UG,	BZ, FI, KR, MZ, SK, ZA, ZM,	CA, GB, KZ, NA, SL, ZM, ZW,	CH, GD, LC, NI, SY, ZW		
WO :	2005	SI, SN,	SK, TD,	TR, TG		ВJ,	CF,	CG,	CI,	IT, CM, WO 2	GA,	GN,	GQ,	GW,	ML,		NE,		
	W:	CN, GE, LK, NO,	CO, GH, LR, NZ,	CR, GM, LS, OM,	CU, HR, LT, PG,	AT, CZ, HU, LU, PH,	AU, DE, ID, LV, PL,	AZ, DK, IL, MA, PT,	BA, DM, IN, MD, RO,	BB, DZ, IS, MG, RU,	BG, EC, JP, MK, SC,	BR, EE, KE, MN, SD,	BW, EG, KG, MW, SE,	BY, ES, KP, MX, SG,	BZ, FI, KR, MZ, SK,	CA, GB, KZ, NA, SL,	CH, GD, LC, NI, SM,		
	RW:	BW, AZ, EE, RO,	GH, BY, ES, SE,	GM, KG, FI, SI,	KE, KZ, FR,	LS, MD, GB, TR,	MW, RU, GR,	MZ, TJ, HU,	NA, TM, IE,	UG, SD, AT, IS, CG,	SL, BE, IT,	SZ, BG, LT,	TZ, CH, LU,	UG, CY, MC,	ZM, CZ, NL,	ZW, DE, PL,	AM, DK, PT,	ZW	
RITY	APP	LN.	INFO	. :						AT 20	004-	386		7	A 20	0040	305		

PRIORITY APPLN. INFO.: AT 2004-386 A 20040305 The invention relates to a tolperisone-containing pharmaceutical preparation with controllable active-substance release for oral administration, characterized in that the active substance tolperisone and/or a pharmaceutical salt thereof is embedded in a pharmaceutically compatible material. By selecting the pharmaceutically compatible materials in the preparation and accordingly in the coating of a tablet or granule, a specific

release of active substance can be adjusted which is matched to the special genotype in the metabolization of tolperisone. At the same time, as a result of the very uniform and persistent release of tolperisone, the in-vivo inversion of enantiomerically pure tolperisone that is known from the art can be adjusted in favor of the R(-)-tolperisone which is prominent in muscle-relaxing therapy.

ANSWER 14 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN L8

1999:403181 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 131:68080

The efficacy and safety of eperisone in TITLE:

> patients with cervical spondylosis: results of a randomized, double-blind, placebo-controlled trial

AUTHOR(S): Bose, K.

CORPORATE SOURCE: Department of Orthopedic Surgery, National University

Hospital, Singapore, Singapore

Methods and Findings in Experimental and Clinical SOURCE:

Pharmacology (1999), 21(3), 209-213

CODEN: MFEPDX; ISSN: 0379-0355

Prous Science PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

A randomized, double-blind, clin. trial was undertaken to assess the activity of eperisone hydrochloride (50 mg t.i.d.), a commonly used muscle relaxant, as a treatment for cervical spondylosis in 157 patients. The results showed a clear benefit of eperisone treatment with regard to pain in the nuchal region, back pain, pain in arms and shoulders, stiffness and other

symptoms of cervical spondylosis, while the tolerability of the treatment

was optimal.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 15 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

2004:514491 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

141:17489

TITLE:

Transdermal eperisone elicits more potent

and longer-lasting muscle relaxation than oral

eperisone

AUTHOR(S): Yang, Sang-In; Park, Ha-Young; Lee, Sang-Ho; Lee,

Seung-Jin; Han, Ok-Yeun; Lim, Sung-Cil; Jang, Choon-Gon; Lee, Wan-Suk; Shin, Young-Hee; Kim,

Jung-Ju; Lee, Seok-Yong

CORPORATE SOURCE: Laboratory of Pharmacology, College of Pharmacy,

Sungkyunkwan University, Suwon, S. Korea

Pharmacology (2004), 71(3), 150-156

CODEN: PHMGBN; ISSN: 0031-7012

PUBLISHER: S. Karger AG

SOURCE:

DOCUMENT TYPE: Journal LANGUAGE: English

Eperisone hydrochloride is widely used for the treatment of plasticity to relieve muscle stiffness and back pain. However, oral eperisone has a very low bioavailability and short muscle relaxant activity, because of the profound intestinal first-pass metabolism To improve the efficacy and compliance of eperisone, we designed a new dosage form, a transdermal patch, and evaluated the efficacy of the eperisone patch with the muscle relaxant activity of rats. The muscle relaxant activity was assessed by the measurement of forelimb grip strength and hanging test in rats. The transdermal patch of eperisone showed significantly enhanced muscle relaxant activity at 0.5 1.5 and 3 cm2/200 g rat (1.39, 4.17 and 8.33 mg of eperisone hydrochloride/kg, resp.) in a dose-dependent manner and the effects lasted over 24 h. Even though oral eperisone hydrochloride showed significant muscle relaxant activity at 12.5, 25 and 50 mg/kg in a dose-dependent manner, the activity lasted only 1 or 2 h after administration. These results suggest that eperisone as transdermal patch form showed efficient absorption with more potent and longer-lasting muscle relaxant activity than oral solution The transdermal

patch form of eperisone will increase the efficacy and

compliance in the clin. use of eperisone.

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:535729 CAPLUS

DOCUMENT NUMBER: 141:47215

TITLE: Antinociceptive effects of sodium channel-blocking

agents on acute pain in mice

AUTHOR(S): Sakaue, Akiko; Honda, Motoko; Tanabe, Mitsuo; Ono,

Hideki

CORPORATE SOURCE: Laboratory of CNS Pharmacology, Graduate School of

Pharmaceutical Sciences, Nagoya City University,

Nagoya, 467-8603, Japan

SOURCE: Journal of Pharmacological Sciences (Tokyo, Japan)

(2004), 95(2), 181-188

CODEN: JPSTGJ; ISSN: 1347-8613

PUBLISHER: Japanese Pharmacological Society

DOCUMENT TYPE: Journal LANGUAGE: English

AB The effects of various sodium channel blocking agents on acute thermal and mech. nociception, as assessed using the plantar and tail pressure tests, resp., were compared with the effects of morphine. The drugs used were mexiletine, lidocaine, carbamazepine, phenytoin, eperisone,

tolperisone, and zonisamide. The sodium channel blocking agents exhibited a rather preferential elevation of the threshold for thermal nociception. By contrast, morphine produced similar analgesic effects on thermal and mech. nociception. In the sciatic nerve isolated from mice, mexiletine, lidocaine, eperisone, and tolperisone

impaired the propagation of low frequency action potentials (evoked at 0.2 Hz). Carbamazepine, phenytoin, and zonisamide generated a more frequency-dependent local anesthetic action with their obvious effects on higher frequency action potentials (evoked at 5 and/or 10 Hz). Our results show that sodium channel blocking agents have a preferential antinociceptive action against thermal stimulation that is likely to be attributed to their local anesthetic action.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 17 OF 163 USPATFULL on STN

ACCESSION NUMBER: 2006:47665 USPATFULL

TITLE: Method for producing salts of tolperisone

INVENTOR(S): Czollner, Laszlo, Ebenfurth, AUSTRIA

Kalz, Beate, Steinbrunn, AUSTRIA Rothenburger, Jan, Oslip, AUSTRIA Welzig, Stefan, Wien, AUSTRIA

	NUMBER	KIND	DATE	•
PATENT INFORMATION: APPLICATION INFO.:	US 2006041141 US 2003-537434 WO 2003-AT92	A1 A1	20060223 20030331 20030331 20050715	(10) PCT 371 date

NUMBER	DATE

PRIORITY INFORMATION: AT 2002-1823 20021205

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: POPOVICH, WILES & O'CONNELL, PA, 650 THIRD AVENUE

SOUTH, SUITE 600, MINNEAPOLIS, MN, 55402, US

NUMBER OF CLAIMS: 7
EXEMPLARY CLAIM: 1
LINE COUNT: 324

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to a method for producing an addition salt of 2,4'-dimethyl-3-piperidino-propiophenone (tolperisone) with a pharmaceutically acceptable acid, of formula (I). According to the

invention, 4-methylpropiophenone is reacted with piperidine hydrochloride and 1,2-dioxolane in the presence of an acid serving as a catalyst, and the **tolperisone** obtained in the form of an acid addition salt is separated by filtering after the reaction mixture has cooled down. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 18 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:371026 CAPLUS

DOCUMENT NUMBER: 142:404278

TITLE: Combination of retigabine and sodium channel

inhibitors or sodium channel-influencing agents for

treating **pain**

INVENTOR(S): Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,

Mathias

PATENT ASSIGNEE(S):

Germany

SOURCE:

U.S. Pat. Appl. Publ., 4 pp.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

AUTHOR(S):

CORPORATE SOURCE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PA	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.	DATE			
	2005				A1 A1		2005					-			_	0031	
	W:	AE,	AG, CO,		AM,	AT,	AU, DE,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,			
		LK,	LR,	LS,	•	LU,	ID,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
	DIJ.	ТJ,	TM,	TN,	TR,	TT,	PL, TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
•	RW:	AZ,	,	KG,	KZ,	MD,	MW, RU, GR,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		SI,		TR,	•	•	CF,		•		-			•			•
PRIORIT	Y APP	LN.	INFO	.:						DE 2				_	_	0031 0031	
								•		US 2					_	0031	
										DE 2	003-	1035	9336	1	A 2	0031:	216

AB The invention discloses pharmaceutical combinations of retigabine and sodium channel inhibitors for treating **pain** which is accompanied by an increase in muscle tone.

L8 ANSWER 19 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:744952 CAPLUS

DOCUMENT NUMBER: 126:14707

TITLE: Efficacy and tolerance of repeated oral doses of

tolperisone hydrochloride in the treatment of

painful reflex muscle spasm: results of a prospective

placebo-controlled double-blind trial Pratzel, H. G.; Alken, R.-G.; Ramm, S. Institut fuer Medizinische Balneologie und

Klimatologie, Munich, 81377, Germany

SOURCE: Pain (1996), 67(2,3), 417-425

CODEN: PAINDB; ISSN: 0304-3959

PUBLISHER: Elsevier DOCUMENT TYPE: Journal LANGUAGE: English

The efficacy and safety of oral tolperisone hydrochloride (
Mydocalm) in the treatment of painful reflex muscle spasm was
assessed in a prospective, randomized, double-blind, placebo-controlled
trial. A total of 138 patients, aged between 20 and 75 yr, with painful
reflex muscle spasm associated with diseases of the spinal column or proximal
joints were enrolled in eight rehabilitation centers. Patients were
randomized to receive either 300 mg tolperisone hydrochloride or

placebo for a period of 21 days. Both treatment groups recovered during the 3 wk rehabilitation program. However, tolperisone hydrochloride proved to be significantly superior to placebo: the change score of the pressure pain threshold as the primary target parameter significantly increased during therapy with tolperisone hydrochloride (P = 0.03, valid-case-anal.) compared to the results obtained on placebo treatment. The overall assessment of efficacy by the patient also demonstrated significant differences in favor of tolperisone hydrochloride. Best results were seen in patients aged between 40 and 60 yr with a history of complaints shorter than 1 yr and with concomitant phys. therapy. The evaluation of safety data, i.e. adverse events, biochem. and hematol. laboratory parameters, demonstrated no differences between tolperisone hydrochloride and placebo. As a conclusion tolperisone hydrochloride represents an effective and safe treatment of painful reflex muscle spasm without the typical side effects of centrally active muscle relaxants.

ANSWER 20 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN L8

ACCESSION NUMBER: 2005:370881 CAPLUS

DOCUMENT NUMBER: 142:404277

TITLE: Potassium channel opener combination with sodium

channel inhibitor or sodium channel-influencing agent

for treatment of pain

INVENTOR(S): Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,

Mathias

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 6 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PAT	ENT	NO.			KIND DATE				APPL	ICAT	ION :	DATE					
	2005 2005				A1 20050428 A1 20050506					US 2 WO 2					_	 0031 0041	
	₩:	CN, GE, LK,	,	CR, GM, LS,	CU, HR, LT,	CZ, HU, LU,	AU, DE, ID, LV, PL,	DK, IL, MA,	DM, IN, MD,	DZ, IS, MG,	EC, JP, MK,	EE, KE, MN,	EG, KG, MW,	ES, KP, MX,	FI, KR, MZ,	GB, KZ,	GD, LC, NI,
	RW:	BW, AZ, EE, SI,	BY, ES, SK,	GM, KG, FI, TR,	TR, KE, KZ, FR,	TT, LS, MD, GB,	TZ, MW, RU, GR, CF,	UA, MZ, TJ, HU,	UG, NA, TM, IE,	US, SD, AT, IT,	UZ, SL, BE, LU,	VC, SZ, BG, MC,	VN, TZ, CH, NL,	YU, UG, CY, PL,	ZA, ZM, CZ, PT,	ZM, ZW, DE, RO,	ZW AM, DK, SE,
PRIORITY	APP	TG .:				·	1	DE 20 US 20 US 20 DE 20	003- 003-	7276 7276	55 58	1	A 2	0031 0031 0031 0031	205 205		

AΒ The invention discloses pharmaceutical combinations of potassium channel openers and sodium channel inhibitors for treating pain which is accompanied by an increase in muscle tone.

ANSWER 21 OF 163 USPATFULL on STN

ACCESSION NUMBER: 2003:145892 USPATFULL

TITLE: Curing method for pathologic syndrome and medicinal

preparation

INVENTOR(S): Epshtein, Oleg Iliich, Kazeny, RUSSIAN FEDERATION

Shtark, Mark Borisovich, Zolotodolinskaya, RUSSIAN

FEDERATION

Kolyadko, Tamara Mikhailovna, Shironitsev, RUSSIAN

FEDERATION

NUMBER KIND DATE PATENT INFORMATION: US 2003099636 A1 20030529

APPLICATION INFO.: US 2002-311666 A1 20021217 (10)

WO 2001-RU239 20010619

NUMBER DATE

PRIORITY INFORMATION: RU 2000-115594 20000620

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Ilya Zborovsky, 6 Schoolhouse Way, Dix Hills, NY, 11746

NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 2894

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a pathological syndrome includes administration of an activated form of ultra-low doses of antibodies to an antigen, wherein said activated form is obtained by repeated consecutive dilution combined with external impact, and the antigen is a substance or a pharmaceutical agent exerting influence upon the mechanisms of formation of this particular pathological syndrome.

Pharmaceutical agent for treating a pathological syndrome contains activated form of ultra-low doses of monoclonal, polyclonal or natural antibodies to an antigen, wherein said activated form is prepared by means of repeated consecutive dilution and external treatment, predominantly based on homeopathic technology, and said antigen is a substance or a drug acting as a direct cause of the pathological syndrome or involved in regulation of mechanisms of its formation. At that, activated forms of ultra-low doses of antibodies are raised against antigens of exogenous or endogenous origin, against autologous antigens, fetal antigens; anti-idiotypic antibodies are used too.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L8 ANSWER 22 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:395096 CAPLUS

DOCUMENT NUMBER: 142:404284

TITLE: Combinations of potassium channel openers and sodium

channel inhibitors or modulators for the treatment of

painful conditions

INVENTOR(S): Hermann, Robert; Locher, Mathias; Szelenyi, Istvan;

Brune, Kay

PATENT ASSIGNEE(S): Viatris G.m.b.H. & Co. K.-G., Germany

SOURCE: PCT Int. Appl., 23 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATE	KIND DATE				APPLICATION NO.							DATE					
WO 2	0050	395	76		A1	_	20050506		ļ	WO 2	004-	EP11	 718		2	0041	018
	W:	ΑE,	AG,	ΑL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
,		CN,	CO,	CR,	CU,	CZ,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,
		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	NO,
		NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,
							UA,										
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,					GR,										
							CF,										
			TD,							•		-		·	•	•	•
DE 10359335					A1		2005	0525	1	DE 20	003-	1035	9335		2	0031	216
PRIORITY .	PRIORITY APPLN. INFO.:									DE 20	003-	1034	9729	7	A 20	0031	023
									j	DE 20	003-	1035	9335	Ï	A 20	0031	216

AB The invention discloses combinations of potassium channel openers and sodium channel inhibitors in order to treat painful conditions associated with high muscle tone.

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 7 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 OF 163 USPATFULL on STN

ACCESSION NUMBER: 2003:264858 USPATFULL

Methods and drug delivery systems for the treatment of TITLE:

orofacial diseases

INVENTOR(S): Kochinke, Frank, San Jose, CA, UNITED STATES

> KIND DATE NUMBER

______ PATENT INFORMATION:

US 2003185872 A1 20031002 US 2002-113730 A1 20020327 (10) APPLICATION INFO.:

DOCUMENT TYPE: Utility

APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: REED & EBERLE LLP, 800 MENLO AVENUE, SUITE 210, MENLO

PARK, CA, 94025

NUMBER OF CLAIMS: 136 EXEMPLARY CLAIM: 1

LINE COUNT: 2698

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to methods of treating various orofacial diseases

involving inflammation, infection and/or pain, using

intratissue controlled release drug delivery systems. More particularly,

the invention relates to methods for localized or targeted

administration of a sustained release formulation of an agent such as an

anti-inflammatory agent to a specified tissue location within the

orofacial environment.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 24 OF 163 USPATFULL on STN

2004:25269 USPATFULL ACCESSION NUMBER:

TITLE: Methods and compositions for the treatment of

neuropathic pain, tinnitus, and other

disorders using R(-)-ketoprofen

Jerussi, Thomas P., Framingham, MA, UNITED STATES INVENTOR(S):

Rubin, Paul D., Sudbury, MA, UNITED STATES

Sepracor, Inc. (U.S. corporation) PATENT ASSIGNEE(S):

KIND DATE NUMBER ______ US 2004019111 A1 20040129 US 2003-620704 A1 20030717 (10) PATENT INFORMATION: APPLICATION INFO.:

RELATED APPLN. INFO.: Division of Ser. No. US 2002-62766, filed on 5 Feb 2002, GRANTED, Pat. No. US 6620851 Division of Ser. No.

US 2000-507470, filed on 22 Feb 2000, GRANTED, Pat. No.

1

US 6362227

NUMBER DATE -----

PRIORITY INFORMATION: US 1999-122382P 19990302 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000,

WASHINGTON, DC, 20006

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1. LINE COUNT: 881

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of treating neuropathic pain, tinnitus, and related disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical compositions useful in the treatment of neuropathic pain and

tinnitus which comprise optically pure R(-)-ketoprofen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

2002:266356 USPATFULL ACCESSION NUMBER:

Methods and compositions for the treatment of TITLE:

neuropathic pain, tinnitus, and other

disorders using R(-)-ketoprofen

INVENTOR(S): Jerussi, Thomas P., Framingham, MA, UNITED STATES

Rubin, Paul D., Sudbury, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor, Inc. (U.S. corporation)

NUMBER KIND DATE ______ US 2002147238 A1 20021010 PATENT INFORMATION: US 6620851 B2 20030916 US 2002-62766 A1 20020205 (10)

APPLICATION INFO.:

Division of Ser. No. US 2000-507470, filed on 22 Feb RELATED APPLN. INFO.:

2000, GRANTED, Pat. No. US 6362227

DATE NUMBER _____

US 1999-122382P 19990302 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000,

WASHINGTON, DC, 20006

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 885

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of treating neuropathic pain, tinnitus, and related

disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical

compositions useful in the treatment of neuropathic pain and

tinnitus which comprise optically pure R(-)-ketoprofen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 26 OF 163 USPATFULL on STN L8

ACCESSION NUMBER: 2005:93434 USPATFULL TITLE: Medicinal compositions

INVENTOR(S): Ohkawa, Shigenori, Takatsuki-shi, JAPAN

Naruo, Ken-ichi, Sanda-shi, JAPAN

Morimoto, Shigeru, Tondabayashi-shi, JAPAN

Miwatashi, Seiji, Ikeda-shi, JAPAN

NUMBER KIND DATE ______ US 2005080113 A1 20050414 US 2003-480551 A1 20020610 (10) WO 2002-JP5726 20020610 PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE ______ JP 2001-175224 20010611 PRIORITY INFORMATION: JP 2001-175273 20010611

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL

PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,

LINCOLNSHIRE, IL, 60069, US

26 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 17868 LINE COUNT:

AΒ The present invention relates to an agent for the prophylaxis or

treatment of pain, an agent for suppressing activation of

osteoclast, and an inhibitor of osteoclast formation, which contains a

p38 MAP kinase inhibitor and/or a TNF- α production inhibitor.

ANSWER 27 OF 163 USPATFULL on STN 18

ACCESSION NUMBER: 2004:268417 USPATFULL

Methods of treating lower urinary tract disorders using TITLE:

sodium channell modulators

Burgard, Edward C., Chapel Hill, NC, UNITED STATES INVENTOR(S):

Thor, Karl Bruce, Morrisville, NC, UNITED STATES Fraser, Matthew Oliver, Apex, NC, UNITED STATES

Dynogen Pharmaceuticals, Inc., Boston, MA (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE ______ US 2004209960 A1 20041021 PATENT INFORMATION:

US 2004-769072 APPLICATION INFO.: A1 20040130 (10)

NUMBER DATE _____

US 2003-443632P 20030130 (60) US 2003-443709P 20030130 (60) PRIORITY INFORMATION:

US 2003-480321P 20030620 (60) US 2003-480597P 20030620 (60) US 2003-496005P 20030818 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH

TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

PATENT ASSIGNEE(S):

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 3809

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods of using sodium channel modulators, particularly TTX-R sodium channel modulators and/or activity dependent sodium channel modulators to treat painful and non-painful lower urinary tract disorders, particularly painful and non-painful overactive bladder

with and/or without loss of urine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

 $\Gamma8$ ANSWER 28 OF 163 USPATFULL on STN

ACCESSION NUMBER: 2005:124963 USPATFULL

TITLE: Methods of treating lower urinary tract disorders using

losigamone

INVENTOR(S): Burgard, Edward C., Chapel Hill, NC, UNITED STATES

> Thor, Karl Bruce, Morrisville, NC, UNITED STATES Fraser, Matthew Oliver, Apex, NC, UNITED STATES

Dynogen Pharmaceuticals, Inc., Boston, MA, UNITED

STATES (U.S. corporation)

NUMBER KIND DATE -----PATENT INFORMATION:

US 2005107353 A1 20050519 US 2004-965304 A1 20041014 (10) APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-769072, filed on 30

Jan 2004, PENDING

DATE NUMBER ______ US 2003-443632P 20030130 (60) PRIORITY INFORMATION: US 2003-443709P 20030130 (60) US 2003-480321P 20030620 (60) US 2003-480597P 20030620 (60)

US 2003-496005P 20030818 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH

TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000, US

NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to methods of using sodium channel modulators, preferably Losigamone or a pharmaceutically acceptable salt, enantiomer, analog, ester, amide, prodrug, metabolite, or derivative thereof, to treat painful and non-painful lower urinary tract disorders, particularly painful and non-painful overactive bladder with and/or without loss of urine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 29 OF 163 USPATFULL on STN

2002:63939 USPATFULL ACCESSION NUMBER:

Methods for the treatment of tinnitus and other TITLE:

disorders using R(-)ketoptofen

INVENTOR(S): Jerussi, Thomas P., Framingham, MA, United States

Rubin, Paul D., Sudbury, MA, United States

Sepracor, Inc., Marlborough, MA, United States (U.S. PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE _____ US 6362227 B1 20020326 PATENT INFORMATION:

US 2000-507470 20000222 (9) APPLICATION INFO.:

> NUMBER DATE

PRIORITY INFORMATION: US 1999-122382P 19990302 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Criares, Theodore J.

ASSISTANT EXAMINER: Kim, Jennifer

LEGAL REPRESENTATIVE: Pennie & Edmonds LLP

12 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 772

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods of treating neuropathic pain, tinnitus, and related

disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical compositions useful in the treatment of neuropathic pain and

tinnitus which comprise optically pure R(-)-ketoprofen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ANSWER 30 OF 163 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:395097 CAPLUS

DOCUMENT NUMBER: 142:435800

TITLE: Combinations of potassium channel openers and sodium

channel inhibitors or sodium channel-influencing

active compounds for treating pain

INVENTOR(S): Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,

Mathias

PATENT ASSIGNEE(S): Xcel Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT N	PATENT NO.			KIND DATI			ATE APPLICATION NO.							DATE			
					-												
WO 20050				A1 20050506			1	WO 2	004-1	US35	296		20041022				
W: .	AE,	ΑG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,	
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
	GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
	NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	

```
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                            US 2003-727655
                                                                    20031205
                                20050428
     US 2005090547
                          Α1
                          Α1
                                20050428
                                            US 2003-727658
                                                                   20031205
     US 2005089559
                                                                   20031216
                          A1
                                20050525
                                            DE 2003-10359336
     DE 10359336
                                                                A 20031023
PRIORITY APPLN. INFO.:
                                            DE 2003-10349729
                                                                A 20031205
                                            US 2003-727655
                                                                A 20031205
                                            US 2003-727658
                                            DE 2003-10359336
                                                                A 20031216
     The invention relates to pharmaceutical combinations of potassium channel
AB
     openers and sodium channel inhibitors for treating pains which
     are accompanied by an increase in muscle tone.
                        9
                               THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
=>
=> s 18 and (neuralgia or neuropathic or arthritis or arthrosis or tension headache or paresis
pr paraplegia or myelitis or paraspasm or brachialgia or dysplasia or myelopathy or parkinson)
            55 L8 AND (NEURALGIA OR NEUROPATHIC OR ARTHRITIS OR ARTHROSIS OR
               TENSION HEADACHE OR PARESIS PR PARAPLEGIA OR MYELITIS OR PARASPA
              SM OR BRACHIALGIA OR DYSPLASIA OR MYELOPATHY OR PARKINSON)
=> d ibib abs it 1-55
     ANSWER 1 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
                         2006:13310 CAPLUS
ACCESSION NUMBER:
                         144:81216
DOCUMENT NUMBER:
TITLE:
                         Compositions and methods for the prevention or
                         treatment of pain and other nervous system
                         disorders
INVENTOR(S):
                         Speicher, Brian T.; Kucharik, Robert F.
PATENT ASSIGNEE(S):
                         USA
SOURCE:
                         U.S. Pat. Appl. Publ., 8 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                            APPLICATION NO.
                                                                   DATE
     US 2006004050
                                20060105
                          A1
                                            US 2005-172269
                                                                   20050630
PRIORITY APPLN. INFO.:
                                            US 2004-585466P
                                                               P 20040702
                     · MARPAT 144:81216
OTHER SOURCE(S):
     A tolperisone-related compound is administered for the prevention
     and treatment of periodic paralyses and myotonias of several types, long
     QT syndrome, Brugada syndrome, malignant hyperthermia, myasthenia,
     epilepsy, ataxia, migraine, Alzheimer's Disease, Parkinson's
     Disease, schizophrenia, and hyperekplexia, neuropathic
     pain, and pain associated with nervous system disorders
     including, but not limited to, painful diabetic neuropathy, postherpetic
     neuralgia, trigeminal neuralgia, complex regional
     pain syndrome, Guillain-Barre syndrome (GBS), Charcot-Marie-Tooth
     (CMT) disease, complex regional pain syndrome, type 1 (CRPS-1),
     ischemic neuropathy, fibromyalgia, chronic fatigue syndrome, painful
     spasticities, and other nervous system disorders that have pain
     as an attendant sign and/or symptom.
IΤ
     Heart, disease
        (Brugada syndrome; tolperisone for treatment of pain
```

and nervous system disorders)

pain and nervous system disorders)

(Charcot-Marie-Tooth; tolperisone for treatment of

Nervous system, disease

Nervous system, disease

ΙT

ΙT

TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

```
(Guillain-Barre syndrome; tolperisone for treatment of
        pain and nervous system disorders)
IT
     Nervous system, disease
        (ataxia; tolperisone for treatment of pain and
        nervous system disorders)
ΙT
     Fatigue, biological
        (chronic fatigue syndrome; tolperisone for treatment of
        pain and nervous system disorders)
ΙT
     Nerve, disease
        (diabetic neuropathy; tolperisone for treatment of
        pain and nervous system disorders)
IT
     Muscle, disease
        (fibromyalgia; tolperisone for treatment of pain
        and nervous system disorders)
ΙT
     Central nervous system, disease
        (hyperekplexia; tolperisone for treatment of pain
        and nervous system disorders)
ΙT
     Heart, disease
        (long QT syndrome; tolperisone for treatment of pain
        and nervous system disorders)
TΨ
     Fever and Hyperthermia
        (malignant; tolperisone for treatment of pain and
        nervous system disorders)
ΙT
     Headache
        (migraine; tolperisone for treatment of pain and
        nervous system disorders)
IT
     Muscle, disease
        (myotonia; tolperisone for treatment of pain and
        nervous system disorders)
ΙT
        (neuropathic; tolperisone for treatment of
        pain and nervous system disorders)
ΙT
     Nerve, disease
        (neuropathy, ischemic; tolperisone for treatment of
        pain and nervous system disorders)
TΤ
     Drug delivery systems
        (oral; tolperisone for treatment of pain and
        nervous system disorders)
TΤ
     Drug delivery systems
        (parenterals; tolperisone for treatment of pain and
        nervous system disorders)
ΙT
     Paralysis
        (periodic; tolperisone for treatment of pain and
        nervous system disorders)
IΤ
     Nerve, disease
       Pain
        (postherpetic neuralgia; tolperisone for treatment
        of pain and nervous system disorders)
ΙT
     Nervous system, disease
        (spasticity; tolperisone for treatment of pain and
        nervous system disorders)
ΙT
     Alzheimer's disease
     Epilepsy
     Myasthenia gravis
       Parkinson's disease
     Schizophrenia
        (tolperisone for treatment of pain and nervous
        system disorders)
ΙT
     Drug delivery systems
        (transdermal; tolperisone for treatment of pain and
        nervous system disorders)
     Nerve, disease
       Pain
        (trigeminal neuralgia; tolperisone for treatment of
        pain and nervous system disorders)
IT
     3644-61-9, Tolperisone hydrochloride
                                             7439-95-4,
     Magnesium, biological studies 67499-64-3, (+)-
     Tolperisone 67499-66-5, (-)-Tolperisone
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
```

```
(Biological study); USES (Uses)
        (tolperisone for treatment of pain and nervous
        system disorders)
    ANSWER 2 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
                         2005:1200866 CAPLUS
ACCESSION NUMBER:
                         143:452893
DOCUMENT NUMBER:
                         Use of N-desmethylclozapine to treat human
TITLE:
                         neuropsychiatric disease
                         Weiner, David M.; Brann, Mark R.
INVENTOR(S):
PATENT ASSIGNEE(S):
                         USA
                         U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S.
SOURCE:
                         Ser. No. 913,117.
```

L9

ΙT

Muscarinic agonists

CODEN: USXXCO

KIND

DATE

APPLICATION NO.

DATE

Patent DOCUMENT TYPE: English LANGUAGE:

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

PATENT NO.

	US 2005250767	Δ1	200511	1.0	US 200	5-9889	2	20050404						
			200411	11	US 200	4-7617	~ 87			0040				
			2005042	21	US 200	1-0131	17							
			2005042		WO 200			20040805 20050804						
								BY, BZ, CA, CH,						
	CN, CO, CR, C													
	GE, GH, GM, H													
	LC, LK, LR, L													
	NG, NI, NO, N													
	SL, SM, SY, T	J, TM,	TN, TI	R, TT,	TZ, U	A, UG,	US,	ŲΖ,	VC,	VN,	YU,			
	ZA, ZM, ZW													
	RW: AT, BE, BG, C													
	IS, IT, LT, L													
	CF, CG, CI, C													
	GM, KE, LS, M			D, SL,	SZ, T	Z, UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,			
	KG, KZ, MD, R	U, TJ,	TM											
PRIORITY APPLN. INFO.: US 2003-442690P P 20030123														
					US 200	4-7617	87		A2 2	0040	121			
	US 2004-913117 A2 20040805													
					US 200	4-6175	53P		P 20	0041	800			
					US 200	5-9889:	2		A 20	0050	404			
AB	Disclosed herein is a	metho	d to ta	reat n	europs	ychiat:	ric d	dise	ases	inc	luding			
	psychosis, affective	disord	lers, de	ementi	a, neu	ropath:	ic				_			
	pain, and glaucoma.	Treatm	ent is	carri	ed out	by adı	minis	ster	ing a	a				
	therapeutically effective amount of N-desmethylclozapine to a patient													
	suffering from a neur					-	•		•					
IT	5-HT agonists													
	(5-HT1A; use of de	smethv	lclozar	oine t	o trea	t humai	n nei	rop	svch	iatr	ic			
	disease)													
IT	Dopamine receptors													
	RL: BSU (Biological s	tudv.	unclass	sified	l): BTO	L (Bio	logic	cal:	stud	<i>7</i>)				
	(D2; use of desmet										isease)			
ΙT	Dopamine receptors	,	Lupino				атор	<i>y</i> 011.			100000,			
	RL: BSU (Biological s	tudy.	unclass	sified	1) • BTO	L (Bio	logic	al ·	stud	.z1				
	(D4; use of desmet										i sassa)			
ΙT	Histamine receptors	ny i Cio	Zapine	10 11	cat nu	aii iici	агора	sycii.	IGCI.	LC U.	isease,			
11	RL: BSU (Biological s	tudu	unalace	ifiod	I) • DTO	r (Dia	logic	1	ctud	٠,١				
	(H4; use of desmet													
IT	Muscarinic agonists	путсто	zapine	10 11	eat nu	man ne	urops	sycn.	Iati.	ic a.	isease)			
11			1-1			L 1				4	• _			
	(M3, M5; use of de	smetny	TCTOZA	oine t	o treat	c numai	n net	rop	sycn:	Latr	LC			
T (7)	disease)													
ΙT	Muscarinic agonists													
	Muscarinic antagonist										•			
	(M1; use of desmet	hylclo	zapine	to tr	eat hur	man ne	urops	sych:	iatr	ic di	isease)			
ΙT	Muscarinic receptors		_											

RL: BSU (Biological study, unclassified); BIOL (Biological study)

(M1; use of desmethylclozapine to treat human neuropsychiatric disease)

```
Muscarinic antagonists
        (M2; use of desmethylclozapine to treat human neuropsychiatric disease)
IΤ
     Muscarinic receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (M2; use of desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     Muscarinic antagonists
        (M3; use of desmethylclozapine to treat human neuropsychiatric disease)
     Muscarinic receptors
TΤ
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (M3; use of desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     Muscarinic agonists
        (M4; use of desmethylclozapine to treat human neuropsychiatric disease)
IT
     Muscarinic receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (M4; use of desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     Muscarinic receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (M5; use of desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     Digestive tract
        (absorption; use of desmethylclozapine to treat human neuropsychiatric
        disease)
IT
     Mental and behavioral disorders
        (affective; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     Behavior
        (aggressive; use of desmethylclozapine to treat human neuropsychiatric
        disease)
TΤ
     Mental and behavioral disorders
        (anhedonia; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΤТ
     Amines, biological studies
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (biogenic; use of desmethylclozapine to treat human neuropsychiatric
        disease)
     Gene, animal
TΤ
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (c-fos; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     Behavior
        (climbing; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     Brain
        (corpus striatum; use of desmethylclozapine to treat human
        neuropsychiatric disease)
ΙT
     Mental and behavioral disorders
        (dementia; use of desmethylclozapine to treat human neuropsychiatric
        disease)
TΤ
     Mental and behavioral disorders
        (depression; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     Mental and behavioral disorders
        (disordered thought, flattening of affect; use of desmethylclozapine to
        treat human neuropsychiatric disease)
TΤ
     Brain
        (forebrain; use of desmethylclozapine to treat human neuropsychiatric
        disease)
IΤ
     Brain
        (hippocampus, sector CA1, pyramidal cell layer; use of
        desmethylclozapine to treat human neuropsychiatric disease)
     Brain
        (hippocampus, sector CA1; use of desmethylclozapine to treat human
        neuropsychiatric disease)
     Drug delivery systems
        (injections, i.v.; use of desmethylclozapine to treat human
       neuropsychiatric disease)
ΙT
     5-HT agonists
        (inverse; use of desmethylclozapine to treat human neuropsychiatric
       disease)
ΙT
     Behavior
```

```
(locomotor, spontaneous; use of desmethylclozapine to treat human
        neuropsychiatric disease)
IT
     Mental and behavioral disorders
        (mania; use of desmethylclozapine to treat human neuropsychiatric
        disease)
TΤ
        (neuropathic; use of desmethylclozapine to treat human
        neuropsychiatric disease)
IT
     Nerve, disease
        (neuropathy, neuropathic pain; use of
        desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     Nervous system agents
        (noradrenaline reuptake inhibitors; use of desmethylclozapine to treat
        human neuropsychiatric disease)
IT
     Brain
        (nucleus accumbens; use of desmethylclozapine to treat human
        neuropsychiatric disease)
     Drug bioavailability
IT
     Drug delivery systems
        (oral; use of desmethylclozapine to treat human neuropsychiatric
        disease)
IT
     Muscarinic antagonists
        (peripherally-acting; use of desmethylclozapine to treat human
        neuropsychiatric disease)
ΙT
     Brain
        (prefrontal cortex; use of desmethylclozapine to treat human
        neuropsychiatric disease)
TΤ
     Dendrite (neuron)
        (proximal; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     Mental and behavioral disorders
        (psychosis; use of desmethylclozapine to treat human neuropsychiatric
        disease)
TΤ
     Monoamines
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (reuptake inhibitors; use of desmethylclozapine to treat human
        neuropsychiatric disease)
TΤ
     Behavior
        (suicidal; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT1A; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT1B; use of desmethylclozapine to treat human neuropsychiatric
        disease)
IT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT2, inverse agonists and antagonists; use of
        desmethylclozapine to treat human neuropsychiatric disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT2C; use of desmethylclozapine to treat human neuropsychiatric
        disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT3; use of desmethylclozapine to treat human neuropsychiatric
       disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT5A; use of desmethylclozapine to treat human neuropsychiatric
       disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT6; use of desmethylclozapine to treat human neuropsychiatric
       disease)
ΙT
     5-HT receptors
```

```
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (type 5-HT7; use of desmethylclozapine to treat human neuropsychiatric
   disease)
5-HT antagonists
5-HT reuptake inhibitors
Absorption
Analgesics
Anticonvulsants
Antidepressants
Antiglaucoma agents
Antipsychotics
Anxiolytics
Blood plasma
Blood-brain barrier
Brain
Canis familiaris
Cognition enhancers
Cognitive disorders
Dopamine agonists
Drug screening
Epilepsy
Glaucoma (disease)
Human
Hyperkinesia
Monkey
Mus musculus
Oryctolagus cuniculus
Rattus
Schizophrenia
Species differences
Sus scrofa domestica
   (use of desmethylclozapine to treat human neuropsychiatric disease)
Calcium channel
G protein-coupled receptors
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (use of desmethylclozapine to treat human neuropsychiatric disease)
645-65-8, I-4-AA
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
   (I-4-AA; use of desmethylclozapine to treat human neuropsychiatric
   disease)
7439-93-2, Lithium, biological studies
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
   (salts; use of desmethylclozapine to treat human neuropsychiatric
   disease)
6104-71-8, N-Desmethylclozapine
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological
activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological
study); USES (Uses)
   (use of desmethylclozapine to treat human neuropsychiatric disease)
50-67-9, Serotonin, biological studies
                                         51-41-2, Norepinephrine
142243-02-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (use of desmethylclozapine to treat human neuropsychiatric disease)
5786-21-0, Clozapine
RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
   (use of desmethylclozapine to treat human neuropsychiatric disease)
50-35-1, Thalidomide
                       50-47-5, Desipramine
                                              50-48-6, Amitriptyline
50-49-7, Imipramine
                      50-52-2, Thioridazine
                                              50-53-3, Chlorpromazine,
biological studies
                     50-55-5, Reserpine
                                          50-60-2, Phentolamine
                                                                   50-78-2,
Acetylsalicylic Acid
                       51-06-9, Procainamide
                                               51-34-3, Scopolamine
51-43-4, Epinephrine
                       51-45-6, Histamine, biological studies
                                                                 51-55-8,
Atropine, biological studies
                               51-64-9, D-Amphetamine
                                                         51-71-8,
Phenelzine
             51-83-2, Carbachol
                                  52-01-7, Spironolactone
                                                             52-53-9,
Verapamil
            52-67-5, Penicillamine
                                     52-86-8, Haloperidol
                                                            53-86-1,
Indomethacin
               54-04-6, Mescaline
                                    54-05-7, Chloroquine
                                                            54-11-5,
Nicotine
           54-31-9, Furosemide
                                54-92-2, Iproniazid
                                                       55-65-2,
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ΙT

ΙT

ΙT

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5.6-12-2, GABA, biological studies 56-54-2, Quinidine
Guanethidine
56-75-7, Chloramphenicol 57-41-0, Phenytoin 57-44-3, Barbital
57-47-6, Physostigmine 57-53-4, Meprobamate
                                             57-66-9, Probenecid
58-00-4, Apomorphine 58-08-2, Caffeine, biological studies
                                                             58-14-0,
Pyrimethamine 58-25-3, Chlordiazepoxide 58-32-2, Dipyridamole
                                               58-55-9, Theophylline,
58-38-8, Prochlorperazine 58-40-2, Promazine
                                                            58-73-1,
biological studies 58-61-7, Adenosine, biological studies
Diphenhydramine 58-74-2, Papaverine
                                      58-82-2, Bradykinin
                                                            58-93-5,
Hydrochlorothiazide 58-94-6, Chlorothiazide 58-96-8, Uridine
                   59-46-1, Procaine 59-47-2, Mephenesin 59-66-5,
59-41-6, Bretylium
              59-96-1, Phenoxybenzamine 59-98-3, Tolazoline 59-99-4,
Acetazolamide
             60-87-7, Promethazine 62-44-2, Phenacetin 63-75-2,
Neostigmine
                                 64-77-7, Tolbutamide 64-95-9,
           63-98-9, Phenacemide
Arecoline
            65-45-2, Salicylamide
                                   66-83-1, Mexamine
                                                       68-41-7,
Adiphenine
               69-23-8, Fluphenazine 71-63-6, Digitoxin
                                                          72-69-5,
D-Cycloserine
               73-22-3, L-Tryptophan, biological studies
Nortriptyline
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           76-22-2, Camphor 77-07-6, Levorphanol 77-10-1, PCP
Melatonin
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77-23-6, Carbetapentane 77-67-8
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Chlormezanone 81-07-2, Saccharin 81-81-2, Warfarin. 83-98-7,
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Orphenadrine
Trimeprazine 84-97-9, Perazine 85-79-0, Dibucaine 86-13-5,
Benztropine 86-21-5, Pheniramine 86-42-0, Amodiaquine
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Hydralazine 90-34-6, Primaquine 90-82-4, Pseudoephedrine 91-81-6,
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Tripelenamine
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100-33-4, Pentamidine 102-02-3, Phenylbiguanide
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Acetaminophen 104-14-3, Octopamine 110-85-0, Piperazine, biological
studies 113-59-7, Chlorprothixene 117-89-5, Trifluoperazine 122-09-8, Phentermine 124-87-8, Picrotoxin 125-33-7, Primidone
125-71-3, Dextromethorphan
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130-95-0, Quinine 131-03-3, Rauwolscine 132-22-9, Chlorpheniramine
137-58-6, Lidocaine 144-11-6, Trihexyphenidyl 145-63-1, Suramin
146-22-5, Nitrazepam 146-48-5, Yohimbine 146-54-3, Triflupromazine
152-02-3, Levallorphan 153-76-4, Gallamine 155-09-9, Tranylcypromine
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315-30-0, Allopurinol
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Dihydroergotamine
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N-Methyl-D-Aspartic Acid
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   (use of desmethylclozapine to treat human neuropsychiatric disease)
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Imetit
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    ANSWER 3 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
                        2005:983622 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        143:272531
TITLE:
                        Tolperisone-containing pharmaceutical
                        preparation with controllable active-substance release
                        for oral administration
INVENTOR(S):
                        Bodenteich, Angelika; Pirich, Eberhard; Bockmann,
                        Josef; Frantsits, Werner
PATENT ASSIGNEE(S):
                        Austria
SOURCE:
                        U.S. Pat. Appl. Publ., 9 pp.
                        CODEN: USXXCO
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND
                               DATE APPLICATION NO.
                                                                DATE
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                               20050908 US 2004-932043
     US 2005196451
                        A1
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                                        WO 2004-AT310
                                                                 20040909
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                                                              A 20040305
PRIORITY APPLN. INFO.:
                                           AT 2004-386
    The invention relates to a tolperisone-containing pharmaceutical
    preparation with controllable active-substance release for oral administration,
    characterized in that the active substance tolperisone and/or a
    pharmaceutical salt thereof is embedded in a pharmaceutically compatible
    material. By selecting the pharmaceutically compatible materials in the
    preparation and accordingly in the coating of a tablet or granule, a specific
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release of active substance can be adjusted which is matched to the special genotype in the metabolization of tolperisone. At the same time, as a result of the very uniform and persistent release of tolperisone, the in-vivo inversion of enantiomerically pure

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tolperisone that is known from the art can be adjusted in favor of
     the R(-)-tolperisone which is prominent in muscle-relaxing
     therapy.
     Drug delivery systems
        (capsules; controlled-release oral pharmaceuticals containing
        tolperisone)
     Lyme disease
     Muscle relaxants
        (controlled-release oral pharmaceuticals containing tolperisone)
     Nerve, disease
        (diabetic neuropathy; controlled-release oral pharmaceuticals containing
        tolperisone)
     Nerve, disease
       Pain
        (postherpetic neuralgia; controlled-release oral
        pharmaceuticals containing tolperisone)
     Drug delivery systems
        (suspensions; controlled-release oral pharmaceuticals containing
        tolperisone)
     Drug delivery systems
        (tablets; controlled-release oral pharmaceuticals containing
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     728-88-1 3644-61-9, Tolperisone hydrochloride
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     Tolperisone
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (controlled-release oral pharmaceuticals containing tolperisone)
     ANSWER 4 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2005:395097 CAPLUS
DOCUMENT NUMBER:
                         142:435800
TITLE:
                         Combinations of potassium channel openers and sodium
                         channel inhibitors or sodium channel-influencing
                         active compounds for treating pain
INVENTOR(S):
                         Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,
                        Mathias
PATENT ASSIGNEE(S):
                        Xcel Pharmaceuticals, Inc., USA
SOURCE:
                        PCT Int. Appl., 20 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
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PATENT INFORMATION:
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PRIORITY APPLN. INFO.:

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US 2003-727655

US 2003-727658

DE 2003-10359336

DE 2003-10349729

DE 2003-10359336

20031205

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A 20031023

A 20031205

A 20031205

Α

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The invention relates to pharmaceutical combinations of potassium channel
AΒ
     openers and sodium channel inhibitors for treating pains which
     are accompanied by an increase in muscle tone.
ΙT
     Joint, anatomical
        (arthrosis; combinations of potassium channel openers and
        sodium channel inhibitors or sodium channel-influencing active compds.
        for treating pain)
ፐጥ
    Analgesics
      Arthritis
    Combination chemotherapy
    Headache
    Multiple sclerosis
       Parkinson's disease
    Potassium channel openers
    Sodium channel blockers
        (combinations of potassium channel openers and sodium channel
        inhibitors or sodium channel-influencing active compds. for treating
       pain)
ΙT
    Drug delivery systems
        (combinations; combinations of potassium channel openers and sodium
        channel inhibitors or sodium channel-influencing active compds. for
       treating pain)
ΙT
    Drug delivery systems
        (injections, s.c.; combinations of potassium channel openers and sodium
        channel inhibitors or sodium channel-influencing active compds. for
        treating pain)
IT
    Nerve, disease
        (neuralgia; combinations of potassium channel openers and
        sodium channel inhibitors or sodium channel-influencing active compds.
        for treating pain)
IT.
    Drug delivery systems
        (oral; combinations of potassium channel openers and sodium channel
       inhibitors or sodium channel-influencing active compds. for treating
       pain)
```

IT Paralysis

(paraplegia; combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating **pain**)

IT Drug delivery systems

(rectal; combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating pain)

IT Muscle, disease

(spasm; combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating pain)

IT Muscle

(tone; combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating pain)

IT Drug delivery systems

(transdermal; combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating pain)

IT 137-58-6, Lidocaine 728-88-1, Tolperisone 1744-22-5, Riluzole 4969-02-2, Metixen 54063-53-5, Propafenone 54143-55-4, Flecainide 56995-20-1, Flupirtine 64840-90-0, Eperisone 140944-31-6, Silperisone 150812-12-7, Retigabine RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)

(combinations of potassium channel openers and sodium channel inhibitors or sodium channel-influencing active compds. for treating pain)

REFERENCE COUNT:

9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
2005:395096 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                         142:404284
                         Combinations of potassium channel openers and sodium
TITLE:
                         channel inhibitors or modulators for the treatment of
                         painful conditions
                         Hermann, Robert; Locher, Mathias; Szelenyi, Istvan;
INVENTOR(S):
                         Brune, Kay
                         Viatris G.m.b.H. & Co. K.-G., Germany
PATENT ASSIGNEE(S):
                         PCT Int. Appl., 23 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         German
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                         KIND
                                DATE
                                           APPLICATION NO.
                                                                   DATE
                               _____
                                           _____
     _____
                         ____
                                                                  _____
                               20050506 WO 2004-EP11718 20041018
                         A1
     WO 2005039576
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
             GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO,
             NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ,
             TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                20050525
     DE 10359335
                         A1
                                            DE 2003-10359335
                                                                   20031216
PRIORITY APPLN. INFO.:
                                            DE 2003-10349729
                                                              A 20031023
                                            DE 2003-10359335
                                                              A 20031216
     The invention discloses combinations of potassium channel openers and
AB
     sodium channel inhibitors in order to treat painful conditions associated
     with high muscle tone.
ΙT
     Disease, animal
        (arthropathy, arthrosis, pain associated with;
        potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΙT
     Paralysis
        (cerebral paralysis with lower spastic paresis, pain associated
        with; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΙT
     Disease, animal
        (cervical brachialgia; potassium channel opener combination
        with sodium channel inhibitor/modulator for treatment of painful
        conditions)
ΙT
     Spinal cord, disease
        (cervical myelopathy; potassium channel opener combination
        with sodium channel inhibitor/modulator for treatment of painful
        conditions)
IT
     Joint, anatomical
        (disease, arthrosis, pain associated with; potassium
        channel opener combination with sodium channel inhibitor/modulator for
        treatment of painful conditions)
ΙT
     Circulation
        (disorder, spinal blood circulation disturbance, pain associated
        with; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΙT
     Muscle
        (increased muscle tone; potassium channel opener combination with
        sodium channel inhibitor/modulator for treatment of painful conditions)
IT
     Drug delivery systems
        (injections, i.v.; potassium channel opener combination with sodium
        channel inhibitor/modulator for treatment of painful conditions)
ΙT
     Drug delivery systems
        (injections, s.c.; potassium channel opener combination with sodium
        channel inhibitor/modulator for treatment of painful conditions)
ΙT
     Drug delivery systems
```

```
(intracutaneous; potassium channel opener combination with sodium
        channel inhibitor/modulator for treatment of painful conditions)
ΙT
     Disease, animal
        (lower paraspasm, pain associated with; potassium
        channel opener combination with sodium channel inhibitor/modulator for
        treatment of painful conditions)
ΙT
     Behavior
        (motor; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΙT
     Inflammation
     Spinal cord, disease
        (myelitis, transverse, pain associated with; potassium
        channel opener combination with sodium channel inhibitor/modulator for
        treatment of painful conditions)
     Nerve, disease
ΙT
        (neuralgia; potassium channel opener combination with sodium
        channel inhibitor/modulator for treatment of painful conditions)
IT
     Drug delivery systems
        (oral; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
IT
     Arthritis
     Headache
     Multiple sclerosis
       Parkinson's disease
        (pain associated with; potassium channel opener combination with
        sodium channel inhibitor/modulator for treatment of painful conditions)
ΙT
        (paraparesis, tropical spastic; potassium channel opener combination
        with sodium channel inhibitor/modulator for treatment of painful
        conditions)
ΙT
     Paralysis
        (paraplegia, inheritable inferior spastic, pain associated with;
        potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΤТ
     Analgesics
     Combination chemotherapy
     Drug interactions
     Muscle relaxants
       Pain 
     Potassium channel openers
     Sodium channel blockers
        (potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
     Potassium channel
IT
     Sodium channel
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
ΙT
     Drug delivery systems
        (rectal; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
IT
     Paralysis
        (tetraparesis, pain associated with; potassium channel opener
        combination with sodium channel inhibitor/modulator for treatment of
        painful conditions)
ΙT
     Drug delivery systems
        (transdermal; potassium channel opener combination with sodium channel
        inhibitor/modulator for treatment of painful conditions)
     Disease, animal
        (vertebral dysplasia; potassium channel opener combination
        with sodium channel inhibitor/modulator for treatment of painful
        conditions)
     137-58-6, Lidocaine
                           728-88-1, Tolperisone
                                                  1744-22-5,
    Riluzole
                4969-02-2, Metixene 54063-53-5, Propafenone
                                                                 54143-55-4,
    Flecainide
                  56995-20-1, Flupirtine
                                         64840-90-0, Eperisone
    140944-31-6, Silperisone
    RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
```

(potassium channel opener combination with sodium channel inhibitor/modulator for treatment of painful conditions) THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 7 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 6 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN ACCESSION NUMBER: 2005:371026 CAPLUS DOCUMENT NUMBER: 142:404278 Combination of retigabine and sodium channel TITLE: inhibitors or sodium channel-influencing agents for treating pain Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher, INVENTOR(S): Mathias Germany PATENT ASSIGNEE(S): U.S. Pat. Appl. Publ., 4 pp. SOURCE: CODEN: USXXCO DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND APPLICATION NO. DATE DATE

t W I	KIND DATE				ULL TH	ICAI.		DAIL									
	JS 2005090547																
WO	2005	2005039577					20050506		1	WO 2	004-1	2004102					
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BW,	BY,	ΒŻ,	CA,	CH,
		CN,	co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NI,
		NO,	ΝZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
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		ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,
		SN,	TD,	ΤG													
ORITY	APP	LN.	INFO	.:						DE 2	003-	1034	9729	i	A 20	0031	023

PRIO A 20031205 US 2003-727655 US 2003-727658 A 20031205 DE 2003-10359336 A 20031216

AΒ The invention discloses pharmaceutical combinations of retigabine and sodium channel inhibitors for treating pain which is accompanied by an increase in muscle tone.

Disease, animal TΥ

(arthropathy, arthrosis, pain associated with; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

TΤ Paralysis

(cerebral, involving lower spastic paresis, pain associated with; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

ΙT Disease, animal

(cervical brachialgia; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

TΤ Disease, animal

(cervical myelopathy; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

IT Joint, anatomical

> (disease, arthrosis, pain associated with; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

ΙT Circulation

> (disorder, spinal blood circulation disturbance, pain associated with; retigabine combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

IT Drug delivery systems

(injections, i.v.; retigabine combination with sodium channel inhibitor

```
or sodium channel-influencing agent for treatment of pain)
IT
     Drug delivery systems
        (injections, s.c.; retigabine combination with sodium channel inhibitor
        or sodium channel-influencing agent for treatment of pain)
TT
     Drug delivery systems
        (intracutaneous; retigabine combination with sodium channel inhibitor
        or sodium channel-influencing agent for treatment of pain)
TT
     Disease, animal
        (lower paraspasm, pain associated with; retigabine
        combination with sodium channel inhibitor or sodium channel-influencing
        agent for treatment of pain)
IΤ
     Disease, animal
        (lower spastic paraparesis syndrome, pain associated with;
        retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
TΤ
     Inflammation
     Spinal cord, disease
        (myelitis, transverse, pain associated with;
        retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
     Nerve, disease
TΤ
       Pain
        (neuralgia; retigabine combination with sodium channel
        inhibitor or sodium channel-influencing agent for treatment of
        pain)
     Drug delivery systems
TΤ
        (oral; retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
TΤ
     Arthritis
     Multiple sclerosis
       Parkinson's disease
        (pain associated with; retigabine combination with sodium
        channel inhibitor or sodium channel-influencing agent for treatment of
        pain)
ΙT
     Paralysis
        (paraplegia, heritable inferior spastic, pain associated with;
        retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
ΙT
     Drug delivery systems
        (rectal; retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
TΤ
     Analgesics
     Combination chemotherapy
       Pain
     Sodium channel blockers
        (retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
     Sodium channel
TΤ
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
TT
     Headache
        (tension, pain associated with; retigabine combination with
        sodium channel inhibitor or sodium channel-influencing agent for
        treatment of pain)
ΙT
     Paralysis
        (tetraparesis, pain associated with; retigabine combination with
        sodium channel inhibitor or sodium channel-influencing agent for
        treatment of pain)
IT
     Muscle
        (tone; retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
IT
     Drug delivery systems
        (transdermal; retigabine combination with sodium channel inhibitor or
        sodium channel-influencing agent for treatment of pain)
TΤ
     Disease, animal
        (vertebral dysplasia; retigabine combination with sodium
        channel inhibitor or sodium channel-influencing agent for treatment of
```

pain)

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4969-02-2, Metixen 54063-53-5, Propafenone
                                                               54143-55-4,
     Riluzole
                  64840-90-0, Eperisone 140944-31-6,
     Flecainide
     Silperisone
                  150812-12-7, Retigabine
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (retigabine combination with sodium channel inhibitor or sodium
        channel-influencing agent for treatment of pain)
     ANSWER 7 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
L9
ACCESSION NUMBER:
                        2005:370881 CAPLUS
DOCUMENT NUMBER:
                        142:404277
TITLE:
                        Potassium channel opener combination with sodium
                         channel inhibitor or sodium channel-influencing agent
                         for treatment of pain
INVENTOR(S):
                         Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,
                        Mathias
PATENT ASSIGNEE(S):
                        Germany
SOURCE:
                         U.S. Pat. Appl. Publ., 6 pp.
                         CODEN: USXXCO
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                        KIND
                              DATE
                                           APPLICATION NO.
                                                                  DATE
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                                           _____
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                        A1
     US 2005089559
                               20050428 US 2003-727658
                                                                  20031205
                               20050506 WO 2004-US35296
     WO 2005039577
                        A1
                                                                  20041022
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRIORITY APPLN. INFO.:
                                            DE 2003-10349729
                                                               A 20031023
                                           US 2003-727655
                                                               A 20031205
                                           US 2003-727658
                                                               A 20031205
                                           DE 2003-10359336
                                                               A 20031216
AB
     The invention discloses pharmaceutical combinations of potassium channel
     openers and sodium channel inhibitors for treating pain which is
     accompanied by an increase in muscle tone.
TΤ
     Disease, animal
        (arthropathy, arthrosis, pain associated with;
       potassium channel opener combination with sodium channel inhibitor or
        sodium channel-influencing agent for treatment of pain)
ΙT
     Paralysis
        (cerebral, involving lower spastic paresis, pain associated
       with; potassium channel opener combination with sodium channel
       inhibitor or sodium channel-influencing agent for treatment of
       pain)
ΙT
    Disease, animal
        (cervical brachialgia; potassium channel opener combination
       with sodium channel inhibitor or sodium channel-influencing agent for
       treatment of pain)
ΙT
    Disease, animal
        (cervical myelopathy; potassium channel opener combination
       with sodium channel inhibitor or sodium channel-influencing agent for
       treatment of pain)
     Joint, anatomical
IT
        (disease, arthrosis, pain associated with; potassium
       channel opener combination with sodium channel inhibitor or sodium
       channel-influencing agent for treatment of pain)
IT
    Circulation
```

137-58-6, Lidocaine 728-88-1, **Tolperisone**

1744-22-5,

ΙT

(disorder, spinal blood circulation disturbance, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of Drug delivery systems (injections, i.v.; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of Drug delivery systems (injections, s.c.; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of Drug delivery systems (intracutaneous; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of Disease, animal (lower paraspasm, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Disease, animal (lower spastic paraparesis syndrome, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Inflammation Spinal cord, disease (myelitis, transverse, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Nerve, disease Pain (neuralgia; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of Drug delivery systems (oral; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Arthritis Multiple sclerosis Parkinson's disease (pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Paralysis (paraplegia, heritable inferior spastic, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Analgesics Combination chemotherapy Muscle relaxants Potassium channel openers Sodium channel blockers (potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Sodium channel RL: BSU (Biological study, unclassified); BIOL (Biological study) (potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Drug delivery systems (rectal; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain) Muscle, disease (rigidity; potassium channel opener combination with sodium channel

inhibitor or sodium channel-influencing agent for treatment of

IT

IT

IT

IT

IT

· IT

ΙT

IΤ

ΙT

IΤ

ΙT

IΤ

ΙT

ΙT

IT

pain)

Drug interactions

```
(superadditive; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)
Headache
```

IT Paralysis

(tetraparesis, pain associated with; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

IT Muscle

(tone; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

IT Drug delivery systems

(transdermal; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of pain)

IT Disease, animal

(vertebral **dysplasia**; potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of **pain**)

IT 137-58-6, Lidocaine 728-88-1, **Tolperisone** 1744-22-5, Riluzole 4969-02-2, Metixene 54063-53-5, Propafenone 54143-55-4, Flecainide 56995-20-1, Flupirtine 64840-90-0, **Eperisone** 140944-31-6, Silperisone

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(potassium channel opener combination with sodium channel inhibitor or sodium channel-influencing agent for treatment of **pain**)

L9 ANSWER 8 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:349001 CAPLUS

DOCUMENT NUMBER:

142:386016

TITLE:

Use of N-desmethylclozapine to treat human

neuropsychiatric disease

INVENTOR(S):

Weiner, David M.; Brann, Mark R.

PATENT ASSIGNEE(S):

USA

SOURCE:

U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S

US 2004-617553P

P 20041008

Ser. No. 761,787.

CODEN: USXXCO

DOCUMENT TYPE:

Patent

LANGUAGE:

English

4

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PAT	ENT	NO.		•	KIND		DATE			APPL	ICAT	DATE						
US	2005085463					A1 20050421			•	US 2	004-	20040805						
US	US 2004224942					A1 20041111				US 2	004-	20040121						
US	S 2005250767				A1 20051110			•	US 2	005-	20050404							
WO	2006017614			A1	A1 20060216			,	WO 2	005-		20050804						
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							DE,											
							ID,											
							LU,											
		NG,	NI,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	
		SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	ΤŻ,	UA,	ŪĠ,	US,	UZ,	VC,	VN,	YU,	
		ZA,	ZM,	ZW														
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG,	BW,	GH,	
		GM,	ΚE,	LS,	MW,	MZ,	NΑ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,	
		KG,	ΚZ,	MD,	RU,	ТJ,	TM											
PRIORITY	PRIORITY APPLN. INFO.:							1	US 2	003-	4426	90P		P 2	0030	123		
															A2 2			
							US 2004-913117							A2 20040805				

- AB Disclosed herein is a method to treat neuropsychiatric diseases including psychosis, affective disorders, dementia, neuropathic pain, and glaucoma. Treatment is carried out by administering a therapeutically effective amount of N-desmethylclozapine to a patient suffering from a neuropsychiatric disease.
- IT 5-HT agonists (5-HT1A; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Dopamine receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (D2; use of N-desmethylclozapine to treat human neuropsychiatric
- disease)

 IT Dopamine receptors

 RL: BSU (Biological study, unclassified); BIOL (Biological study)

 (D4; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Histamine receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (H4; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Muscarinic receptors
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (M1; use of N-desmethylclozapine to treat human neuropsychiatric disease)

- IT Digestive tract
 (absorption; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Behavior
 (aggressive; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Amines, biological studies
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (biogenic; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Gene, animal
 RL: BSU (Biological study, unclassified); BIOL (Biological study)
 (c-fos; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Behavior
 (climbing; use of N-desmethylclozapine to treat human neuropsychiatric disease)
- IT Mental and behavioral disorders (dementia; use of N-desmethylclozapine to treat human neuropsychiatric

disease) Mental and behavioral disorders ΙT (depression; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΤТ Mental and behavioral disorders (disordered thought; use of N-desmethylclozapine to treat human neuropsychiatric disease) Mental and behavioral disorders TT (flattening of affect; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT (forebrain; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT (hippocampus, sector CA1, pyramidal cell layer; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT Brain (hippocampus, sector CA1; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Drug delivery systems (injections, i.v.; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT 5-HT agonists (inverse; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙŢ Behavior (locomotor, spontaneous; use of N-desmethylclozapine to treat human neuropsychiatric disease) Mental and behavioral disorders ΙT (mania; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Pain (neuropathic; use of N-desmethylclozapine to treat human neuropsychiatric disease) ITNerve, disease (neuropathy, neuropathic pain; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Nervous system agents (noradrenaline reuptake inhibitors; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Brain (nucleus accumbens; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT Drug bioavailability Drug delivery systems (oral; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Muscarinic antagonists (peripherally-acting; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Brain (prefrontal cortex; use of N-desmethylclozapine to treat human neuropsychiatric disease) ΙT Dendrite (neuron) (proximal; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT Monoamines RL: BSU (Biological study, unclassified); BIOL (Biological study) (reuptake inhibitors; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT Behavior (suicidal; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT 5-HT receptors RL: BSU (Biological study, unclassified); BIOL (Biological study) (type 5-HT1A; use of N-desmethylclozapine to treat human neuropsychiatric disease) IT 5-HT receptors

RL: BSU (Biological study, unclassified); BIOL (Biological study)

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neuropsychiatric disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT2, inverse agonists and antagonists; use of
        N-desmethylclozapine to treat human neuropsychiatric disease)
     5-HT receptors
TT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT2C; use of N-desmethylclozapine to treat human
        neuropsychiatric disease)
IT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT3; use of N-desmethylclozapine to treat human
        neuropsychiatric disease)
     5-HT receptors
IT
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT5A; use of N-desmethylclozapine to treat human
        neuropsychiatric disease)
ΙT
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT6; use of N-desmethylclozapine to treat human
        neuropsychiatric disease)
IΤ
     5-HT receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (type 5-HT7; use of N-desmethylclozapine to treat human
        neuropsychiatric disease)
ΙT
     5-HT antagonists
     5-HT reuptake inhibitors
     Absorption
     Anticonvulsants
     Antidepressants
     Antiglaucoma agents
     Antipsychotics
     Anxiolytics
     Blood plasma
     Blood-brain barrier
     Brain
     Canis familiaris
     Cognition enhancers
     Cognitive disorders
     Dopamine agonists
     Drug screening
     Epilepsy
     Glaucoma (disease)
     Human
     Hyperkinesia
     Mental and behavioral disorders
     Monkey
     Mus musculus
     Oryctolagus cuniculus
     Rattus
     Species differences
     Sus scrofa domestica
        (use of N-desmethylclozapine to treat human neuropsychiatric disease)
IT
     Calcium channel
     G protein-coupled receptors
     RL: BSU (Biological study, unclassified); BIOL (Biological study)
        (use of N-desmethylclozapine to treat human neuropsychiatric disease)
TΤ
     645-65-8, Imidazole-4-acetic acid
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (I-4-AA; use of N-desmethylclozapine to treat human neuropsychiatric
        disease)
IT
     6104-71-8, N-Desmethylclozapine
     RL: ADV (Adverse effect, including toxicity); BSU (Biological study,
     unclassified); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (use of N-desmethylclozapine to treat human neuropsychiatric disease)
                                              51-41-2, Norepinephrine
ΙT
     50-67-9, Serotonin, biological studies
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(type 5-HT1B; use of N-desmethylclozapine to treat human

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142243-02-5
RL: BSU (Biological study, unclassified); BIOL (Biological study)
   (use of N-desmethylclozapine to treat human neuropsychiatric disease)
5786-21-0, Clozapine
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity);
PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study);
USES (Uses)
   (use of N-desmethylclozapine to treat human neuropsychiatric disease)
50-35-1, Thalidomide 50-47-5, Desipramine 50-48-6, Amitriptyline
                     50-52-2, Thioridazine 50-53-3, Chlorpromazine,
50-49-7, Imipramine
                    50-55-5, Reserpine
                                       50-60-2, Phentolamine
biological studies
                                             51-34-3, Scopolamine
Acetylsalicylic Acid 51-06-9, Procainamide
51-43-4, Epinephrine 51-45-6, Histamine, biological studies
                            51-64-9, D-Amphetamine 51-71-8,
Atropine, biological studies
           51-83-2, Carbachol 52-01-7, Spironolactone
                                                         52-53-9,
Phenelzine
           52-67-5, Penicillamine 52-86-8, Haloperidol
                                                         53-86-1,
Verapamil
Indomethacin 54-04-6, Mescaline 54-05-7, Chloroquine
                                                         54-11-5,
         54-31-9, Furosemide 54-92-2, Iproniazid
                                                    55-65-2,
Nicotine
              56-12-2, GABA, biological studies 56-54-2, Quinidine
Guanethidine
56-75-7, Chloramphenicol 57-41-0, Phenytoin
                                            57-44-3, Barbital
                                            57-66-9, Probenecid
57-47-6, Physostigmine 57-53-4, Meprobamate
58-00-4, Apomorphine 58-08-2, Caffeine, biological studies
                                                             58-14-0,
             58-25-3, Chlordiazepoxide 58-32-2, Dipyridamole
Pyrimethamine
58-38-8, Prochlorperazine 58-40-2, Promazine
                                               58-55-9, Theophylline,
biological studies
                   58-61-7, Adenosine, biological studies
                                                            58-73-1,
               58-74-2, Papaverine
Diphenhydramine
                                      58-82-2, Bradykinin
                                                            58-93-5,
Hydrochlorothiazide 58-94-6, Chlorothiazide 58-96-8, Uridine
                    59-46-1, Procaine 59-47-2, Mephenesin 59-66-5,
59-41-6, Bretylium
Acetazolamide
               59-96-1, Phenoxybenzamine 59-98-3, Tolazoline 59-99-4,
             60-87-7, Promethazine
                                   62-44-2, Phenacetin
Neostigmine
                                                         63-75-2,
           63-98-9, Phenacemide
                                 64-77-7, Tolbutamide
                                                        64-95-9,
Arecoline
Adiphenine
            65-45-2, Salicylamide
                                   66-83-1, Mexamine
                                                       68 - 41 - 7,
D-Cycloserine
             69-23-8, Fluphenazine 71-63-6, Digitoxin
                                                          72-69-5,
Nortriptyline
              73-22-3, L-Tryptophan, biological studies
                                                          73 - 31 - 4,
                                                  77-10-1, PCP
Melatonin
           76-22-2, Camphor 77-07-6, Levorphanol
77-23-6, Carbetapentane 77-67-8
                                  78-44-4, Carisoprodol
                                                         80-77-3,
Chlormezanone 81-07-2, Saccharin 81-81-2, Warfarin. 83-98-7,
Orphenadrine 84-01-5, Chlorproethazine 84-02-6, Compazine 84-96-8,
Trimeprazine 84-97-9, Perazine 85-79-0, Dibucaine
                                                    86-13-5,
             86-21-5, Pheniramine 86-42-0, Amodiaquine
                                                        86-54-4,
Benztropine
             90-34-6, Primaquine 90-82-4, Pseudoephedrine
                                                             91-81-6,
Hydralazine
Tripelenamine
               91-84-9, Pyrilamine 94-20-2, Chlorpropamide
                                                             94-24-6,
            95-25-0, Chlorzoxazone 99-66-1 100-33-4, Pentamidine
Tetracaine
102-02-3, Phenylbiguanide 103-90-2, Acetaminophen
                                                   104-14-3, Octopamine
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117-89-5, Trifluoperazine 122-09-8, Phentermine 124-87-8, Picrotoxin 125-33-7, Primidone 125-71-3, Dextromethorphan 126-27-2, Oxethazaine

145-63-1, Suramin 146-22-5, Nitrazepam

146-54-3, Triflupromazine 152-02-3, Levallorphan 155-09-9, Tranylcypromine 298-46-4, Carbamazepine

299-42-3, Ephedrine 302-17-0, Chloral Hydrate 303-49-1, Clomipramine

314-03-4, Pimethixene 315-30-0, Allopurinol

364-98-7, Diazoxide 390-28-3, Methoxamine 396-01-0, Triamterene

438-60-8, Protriptyline

485-49-4, Bicuculline 485-71-2, Cinchonidine 486-12-4, Triprolidine

532-03-6, Methocarbamol 548-04-9, Hypericin

128-62-1, Noscapine

303-53-7, Cyclobenzaprine 303-69-5, Prothipendyl 304-52-9, α -Methyl Serotonin 306-40-1, Succinylcholine 312-48-1,

113-59-7, Chlorprothixene

129-03-3, Cyproheptadine

144-11-6,

364-62-5, Metoclopramide

447-41-6, Nylidrin 465-65-6, Naloxone

555-57-7, Pargyline 561-27-3, Heroin 569-65-3, Meclizine

511-12-6, Dihydroergotamine 525-66-6,

131-03-3, Rauwolscine

443-48-1, Metronidazole

478-76-2, Norapomorphine

498-95-3, Nipecotic Acid

613-67-2, WB 4101

146-48-5,

357-70-0.

548-73-2,

153-76-4,

110-85-0, Piperazine, biological studies

129-20-4, Oxyphenbutazone 130-95-0, Quinine

132-22-9, Chlorpheniramine 137-58-6, Lidocaine

361-37-5, Methysergide

586-06-1, Metaproterenol 604-75-1, Oxazepam 608-07-1,

467-15-2, Norcodeine 469-21-6, Doxylamine

486-56-6, Cotinine 487-79-6, Kainic Acid

5-Methoxytryptamine 611-59-6, Paraxanthine

126-52-3, Ethinamate

Trihexyphenidyl

Yohimbine Gallamine

Edrophonium

Galanthamine

Propranolol

Droperidol

404-86-4, Capsaicin

446-86-6, Azathioprine

501-15-5, N-Methyldopamine

ΙT

ΙT

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652-67-5, Isosorbide 660-88-8, 5-Aminopentanoic
641-36-1, Apocodeine
       673-06-3, D-Phenylalanine 674-38-4, Bethanechol
                                                           695-53-4,
               721-50-6, Prilocaine
                                      728-88-1, Tolperisone
Dimethadione
739-71-9, Trimipramine
                        749-02-0, Spiperone
                                               749-13-3, Trifluoperidol
768-94-5, Amantadine 1050-79-9, Moperone
                                             1054-88-2, Spiroxatrine
1088-11-5, Desmethyldiazepam
                               1131-64-2, Debrisoquin
                                                       1134-47-0,
          1156-19-0, Tolazamide
                                   1166-34-3, Cinanserin
                                                           1218-34-4,
Baclofen
                  1227-61-8, Mefexamide 1491-59-4, Oxymetazoline
Acetyltryptophan
1508-75-4, Tropicamide
                         1622-61-3, Clonazepam 1622-62-4, Flunitrazepam
1668-19-5, Doxepin
                     1812-30-2, Bromazepam 1841-19-6, Fluspiriline
                                                     1951-25-3,
1886-26-6, Norfenfluramine
                            1893-33-0, Pipamperone
             1977-10-2, Loxapine
                                   1977-11-3, Perlapine
                                                          2058-52-8,
Amiodarone
              2062-78-4, Pimozide
                                    2152-34-3, Pemoline
                                                          2323-36-6,
Clothiapine
           2382-79-8, Acetyltryptophanamide 2609-46-3, Amiloride
Deprenyl
                                               3575-80-2, Melperone
2955-38-6, Prazepam
                      3313-26-6, Thiothixene
                                                  4205-90-7, Clonidine
3625-06-7, Mebeverine
                        3737-09-5, Disopyramide
                       4774-24-7, Quipazine
4428-95-9, Foscarnet
                                              5051-62-7, Guanabenz
5536-17-4, Vidarabine
                        5588-33-0, Mesoridazine
                                                  6384-92-5,
                           6493-05-6, Pentoxifylline
N-Methyl-D-Aspartic Acid
                                                       6740-88-1, Ketamine
                       7261-97-4, Dantrolene
                                              7361-61-7, Xylazine
6879-74-9, Himbacine
7416-34-4, Molindone
                       7491-74-9, Piracetam
                                              7683-59-2, Isoproterenol
10238-21-8, Glibenclamide
                            10262-69-8, Maprotiline
                                                      10457-90-6,
Bromperidol
             13241-33-3, Neohesperidin
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
   (use of N-desmethylclozapine to treat human neuropsychiatric disease)
13448-22-1, Octoclothepin 13523-86-9, Pindolol 13655-52-2, Alprenolol
13956-29-1, Cannabidiol
                                                  15176-29-1, Edoxudine
                        14028-44-5, Amoxapine
                                                 15687-27-1, Ibuprofen
15307-86-5, Diclofenac
                         15676-16-1, Sulpiride
16590-41-3, Naltrexone
                                                     17230-88-5, Danazol
                         16808-63-2, Normetazocine
17479-19-5, Dihydroergocristine 17560-51-9, Metolazone
                                                           17617-23-1,
Flurazepam
            17692-31-8, Dropropizine
                                       17692-51-2, Metergoline
17780-72-2, Clorgyline
                                               18559-94-9, Albuterol
                         18016-80-3, Lisuride
19216-56-9, Prazosin 19794-93-5, Trazodone
                                               19982-08-2, Memantine
20229-30-5, Methiothepin
                           20594-83-6, Nalbuphine
                                                    20830-75-5, Digoxin
21829-25-4, Nifedipine
                         22071-15-4, Ketoprofen
                                                  22232-71-9, Mazindol
22316-47-8, Clobazam
                      23210-56-2, Ifenprodil
                                                23593-75-1, Clotrimazole
23707-33-7, Metrifudil
                                                24526-64-5, Nomifensine
                         24219-97-4, Mianserin
25451-15-4, Felbamate
                                                    25905-77-5, Minaprine
                        25614-03-3, Bromocriptine
26652-09-5, Ritodrine
                        26839-75-8, Timolol
                                              27591-97-5, Tilorone
28797-61-7, Pirenzepine
                          28822-58-4, Isobutylmethylxanthine
                                                               28860-95-9,
Carbidopa
            28911-01-5, Triazolam
                                   28981-97-7, Alprazolam
                                                             29094-61-9,
            29122-68-7, Atenolol
Glipizide
                                   30516-87-1, Zidovudine
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           32795-44-1, N-Acetylprocainamide
Indoprofen
                                               33369-31-2, Zomepirac
34161-24-5, Fipexide
                       34368-04-2, Dobutamine
                                                34661-75-1, Urapidil
34911-55-2, Bupropion
                                                36330-85-5, Fenbufen
                       36322-90-4, Piroxicam
36505-84-7, Buspirone
                        36894-69-6, Labetalol
                                                37686-84-3, Terguride
38194-50-2, Sulindac
                       38304-91-5, Minoxidil
                                               39562-70-4, Nitrendipine
39624-66-3, SCH 12679
                       41094-88-6, Tracazolate
                                                  41340-25-4, Etodolac
42399-41-7, Diltiazem
                        42794-76-3, Midodrine
                                                43200-80-2, Zopiclone
46817-91-8, Viloxazine
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                         51012-32-9, Tiapride
51384-51-1, Metoprolol
                         51481-61-9, Cimetidine
                                                  52468-60-7, Flunarizine
53179-07-0, Nisoxetine
                         53179-11-6, Loperamide
                                                  53230-10-7, Mefloquine
53583-79-2, Sultopride
                         53772-82-0, cis-Flupentixol
                                                       53772-85-3,
trans-Flupentixol
                   54739-18-3, Fluvoxamine
                                              54910-89-3, Fluoxetine
55985-32-5, Nicardipine
                         56775-88-3, Zimelidine
                                                   57149-07-2, Naftopidil
                         57808-66-9, Domperidone
57432-61-8, Methergine
                                                   58822-25-6,
1-5-\beta-Neoendorphin (human)
                            59277-89-3, Acyclovir
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14304
        59804-37-4, Tenoxicam
                               59939-16-1, Cirazoline
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Gabapentin
             60560-33-0, Pinacidil
                                    60634-51-7, LY 53857
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Alaproclate
              60719-84-8, Amrinone
                                     61413-54-5, Rolipram
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            63527-52-6, Cefotaxime
Captopril
                                     63968-64-9, Artemisinin
                                                               64022-27-1,
MK 212
         64208-32-8, CGP-12177A
                                 64519-82-0, Isomalt
                                                        64584-34-5, DOI
64603-90-3, Isoguvacine
                          64603-91-4, Gaboxadol
                                                 64706-54-3, Bepridil
64795-35-3, Mesulergine
                          65119-89-3, Dimaprit
                                                65277-42-1, Ketoconazole
            66085-59-4, Nimodipine
65595-90-6
                                     66104-22-1, Pergolide
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Ranitidine
             67287-49-4, SKF 38393
                                     68379-02-2, Clofilium
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Vigabatrin
             68693-11-8, Modafinil
                                     68844-77-9, Astemizole
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Ro 5-3663
           71636-61-8, SKF 81297
                                   71675-85-9, Amisulpride
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IT

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74050-98-9, Ketanserin
              73590-58-6, Omeprazole 74046-07-4
     derivs.
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     74115-04-1, SKF 82957
                             74191-85-8, Doxazosin
                                                   74698-50-3
     7-OH-DPAT
                 75240-91-4, 3PPP
                                   75444-65-4, Pirenperone
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     Amperozide
                 75644-90-5
                               75847-73-3, Enalapril
                                                       75859-04-0, Rimcazole
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     76547-98-3, Lisinopril
                              76824-35-6, Famotidine
                                                      80125-14-0, Remoxipride
     78755-81-4, Flumazenil
                              78950-78-4, 8-OH-DPAT
     80273-79-6, Tefludazine
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                               80373-22-4, Quinpirole
                      82626-48-0, Zolpidem
                                            83905-01-5, Azithromycin
     Clarithromycin
     84057-84-1, Lamotrigine
                               84225-95-6, Raclopride
                                                        85650-52-8, Mirtazapine
                               86939-10-8, Indatraline
                                                        87051-43-2, Ritanserin
     86386-73-4, Fluconazole
     87134-87-0, SCH 23390 maleate 87691-91-6, Tiospirone
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                  90730-96-4, BRL 37344
                                         92623-85-3, Milnacipran
                                                                    98224-03-4,
     Pitrazepin
                 99295-33-7, SKF 83566
                                         102146-07-6, DPCPX
     Eltoprazine
                                                              102203-18-9,
     Imetit
             102575-24-6, RX 821002
                                     103628-46-2, Sumatriptan
                                                                  104422-04-0
     104615-18-1, CGS-15943
                            105431-72-9, Linopirdine 106243-16-7,
     Thioperamide
                   106266-06-2, Risperidone 106516-24-9, Sertindole
     108294-53-7, P-Iodoclonidine 111555-53-4, Naltrindole
                                                               111974-69-7,
    Quetiapine 114012-12-3, Phaclofen 120225-54-9, CGS-21680 121264-04-8, ICI 204448 121741-03-5, CGS 12066A 125464-46
                              121741-03-5, CGS 12066A 125464-42-8, Saclofen
                              129029-23-8, Ocaperidone 131543-22-1, WIN
     127625-29-0, Fananserin
             131733-92-1, NCS 382 131986-45-3, Xanomeline
                                                              132539-06-1
     55212-2
                 134208-17-6, Mazapertine 139290-65-6, M100907
     Olanzapine
                                                                    139689-20-6
     145231-45-4, Clobenpropit
                                146939-27-7, Ziprasidone 149494-37-1,
                151319-34-5, Zaleplon
                                       152239-46-8, SB 204741
                                                                  158681-13-1,
     Ebalzotan
                                                                 161696-76-0
     SR 141716A
                158942-04-2, SB 206553 158985-00-3, L-745870
     174635-53-1, SB 218795 192703-06-3, SR 144528
                                                      232953-52-5, RS 100329
     441351-27-5, Balaperidone 850076-60-7
                                              850076-64-1
                                                           850076-87-8, SKF
     82948
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (use of N-desmethylclozapine to treat human neuropsychiatric disease)
    ANSWER 9 OF 55 CAPLUS COPYRIGHT 2006 ACS on STN
                        2004:1156454 CAPLUS
ACCESSION NUMBER:
                        142:69205
                        Topical therapy for the treatment of migraines, muscle
                        sprains, muscle spasm, spasticity and related
                        conditions
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L9

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INVENTOR(S): Aung-Din, Ronald

PATENT ASSIGNEE(S):

USA

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FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.			KIND DATE			APPLICATION NO.					DATE				
WO 2004 WO 2004								WO 2	004-	US19	816		2	0040	621
₩:	AE, AG CN, CC GE, GH LK, LF NO, NZ TJ, TM BW, GH AZ, BY EE, ES	, AL, CR, GM, LS, OM, TN, GM, KG, FI,	AM, CU, HR, LT, PG, TR, KE, KZ, FR,	AT, CZ, HU, LU, PH, TT, LS, MD, GB,	AU, DE, ID, LV, PL, TZ, MW, RU, GR,	AZ, DK, IL, MA, PT, UA, MZ, TJ, HU,	DM, IN, MD, RO, UG, NA, TM, IE,	DZ, IS, MG, RU, US, SD, AT, IT,	EC, JP, MK, SC, UZ, SL, BE, LU,	EE, KE, MN, SD, VC, SZ, BG, MC,	EG, KG, MW, SE, VN, TZ, CH, NL,	ES, KP, MX, SG, YU, UG, CY, PL,	FI, KR, MZ, SK, ZA, ZM, CZ, PT,	GB, KZ, NA, SL, ZM, ZW, DE, RO,	GD, LC, NI, SY, ZW AM, DK, SE,
	SI, SK SN, TD		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,
CA 2529528											20040621				
EP 1644	004		A2		2006	0412		EP 2	004-	7557 [.]	70		2	0040	621
R: PRIORITY APP	AT, BE IE, SI LN. INF	, FI,					CZ,	EE, US 2 US 2		PL, 48008	SK 88P 89P	·	P 20	0030	620 620

- AB The invention is directed to topical formulations and methods of treating a migraines and/or cluster headaches, muscle sprains, muscle spasms, spasticity, tension headaches, tension related migraines and related conditions associated with muscle tension and pain with a therapeutically effective amount of an ergot alkaloid, skeletal muscle relaxant, serotonin agonist, combinations thereof, pharmaceutically acceptable salt thereof, prodrugs thereof or derivative thereof.
- IT Alcohols, biological studies

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (C16-18; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Prunus amygdalus

(bitter almond; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Headache

(cluster; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Joint, anatomical

(disease, sprain, muscle; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(drops; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Alkaloids, biological studies

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(ergot; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(foams; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(gels; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(granules; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(lotions; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Apparatus

(metered dose; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(microcapsules; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Headache

(migraine; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(ointments, creams; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(ointments; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(oral; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(pastes; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(pellets; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

IT Drug delivery systems

(powders; topical therapy for treatment of migraines, muscle sprains, muscle spasm, spasticity and related conditions)

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ΙT
     Drug delivery systems
        (prodrugs; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
        (skeletal; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
     Muscle, disease
        (spasm; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
     Nervous system, disease
        (spasticity; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
ΙT
     Disease, animal
        (sprain, muscle; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
ΙT
     Brain, disease
        (stroke; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
     Drug delivery systems
        (tablets; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
     Headache
     Muscle
        (tension; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
IT
     Drug delivery systems
        (tinctures; topical therapy for treatment of migraines, muscle sprains,
        muscle spasm, spasticity and related conditions)
ΙT
     5-HT agonists
     Aloe barbadensis
     Analgesics
     Antimigraine agents
     Blood plasma
     Disperse systems
     Emulsions
     Human
     Liquids
     Mixtures
       Pain
     Permeation enhancers
     Skin
     Solids
     Sprays
     Suspensions
     Triticum aestivum
     Vitis vinifera
        (topical therapy for treatment of migraines, muscle sprains, muscle
        spasm, spasticity and related conditions)
ΙT
     Natural products, pharmaceutical
     RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU
     (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
        (topical therapy for treatment of migraines, muscle sprains, muscle
        spasm, spasticity and related conditions)
ΙT
     Drug delivery systems
        (topical, Lipoderm; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
IT
     Drug delivery systems
        (transdermal gel; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
ΙT
     Fats and Glyceridic oils, biological studies
     RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU
     (Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)
        (wheat germ; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
IT
     Syringes
        (without needle; topical therapy for treatment of migraines, muscle
        sprains, muscle spasm, spasticity and related conditions)
IΤ
     79-81-2, Retinyl palmitate
                                 137-66-6, Ascorbyl palmitate
     RL: NPO (Natural product occurrence); PAC (Pharmacological activity); THU
```

```
(topical therapy for treatment of migraines, muscle sprains, muscle
        spasm, spasticity and related conditions)
                                                 70-07-5, Mephenoxalone
IT
     59-47-2, Mephenesin
                           60-79-7, Ergonovine
                             80-77-3, Chlormezanone 82-58-6, D-Lysergic acid
     78-44-4, Carisoprodol
                                                      113-15-5, Ergotamine
     83-98-7, Orphenadrine
                             95-25-0, Chlorzoxazone
     113-42-8, Methylergonovine 130-95-0, Quinine
                                                    303-53-7, Cyclobenzaprine
               439-14-5, Diazepam 478-95-5, D-Isolysergic acid
                                                                    479-00-5,
                   511-07-9, Ergocristinine 511-08-0, Ergocristine
     Ergometrinine
                                                         511-12-6,
     511-09-1, Ergocryptine
                              511-10-4, Ergocryptinine
     Dihydroergotamine
                         532-03-6, Methocarbamol
                                                   561-94-4, Ergosine
                            564-37-4, Ergocorninine
     564-36-3, Ergocornine
                                                       596-88-3, Ergosinine
                                                       639-81-6, Ergotaminine
     602-41-5, Thiocolchicoside 602-85-7, Lysergol
                              728-88-1, Tolperisone
                                                       886-74-8,
     673-31-4, Phenprobamate
                               1134-47-0, Baclofen 1622-61-3, Clonazepam
     Chlorphenesin carbamate
     1665-48-1, Metaxalone 6856-31-1, Pridinol mesylate
                                                            6961-46-2,
                    7261-97-4, Dantrolene 10379-14-3, Tetrazepam
     Idrocilamide
     17692-51-2, Metergoline 18016-80-3, Lisuride
                                                      25614-03-3, Bromocriptine
                             51322-75-9, Tizanidine
                                                      56287-74-2, Afloqualone
     36945-03-6, Lergotrile
                                            64840-90-0, Eperisone
     64461-82-1, Tizanidine hydrochloride
     99323-21-4, Inaperisone 103628-46-2, Sumatriptan
                                                        103628-48-4, Imitrex
                                       107231-12-9, Botulin
     106861-44-3, Mivacurium chloride
                                                               121679-13-8,
     Naratriptan 139264-17-8, Zolmitriptan
                                               143322-58-1, Eletriptan
     144034-80-0, Rizatriptan 154323-57-6, Almotriptan
                                                          158747-02-5,
     Frovatriptan
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (topical therapy for treatment of migraines, muscle sprains, muscle
        spasm, spasticity and related conditions)
                                              58-95-7, Tocopheryl acetate
TT
     56-81-5, Glycerine, biological studies
                                            122-99-6, Phenoxyethanol
     64-02-8, Tetrasodium EDTA
                                111-90-0
     1327-43-1, Magnesium aluminum silicate
                                              6190-39-2, Dihydroergotamine
               9003-05-8, Polyacrylamide
                                            9006-65-9, Dimethicone
     11099-07-3, Glyceryl stearate
                                     11138-66-2, Xanthan gum
                                                               70161-44-3,
     Sodium hydroxymethylglycinate
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (topical therapy for treatment of migraines, muscle sprains, muscle
        spasm, spasticity and related conditions)
L9
     ANSWER 10 OF 55 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
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ACCESSION NUMBER:
                    2006130567 EMBASE
TITLE:
                    Licensing highlights.
                    Shah S.; Chan D.; Tear S.
AUTHOR:
                    S. Shah, Thomson Scientific, Middlesex House, 34-42
CORPORATE SOURCE:
                    Cleveland St., London W1T 4JE, United Kingdom.
                    saloni.shah@thomson.com
SOURCE:
                    IDrugs, (2006) Vol. 9, No. 3, pp. 221-226. .
                    ISSN: 1369-7056 CODEN: IDRUFN
COUNTRY:
                    United Kingdom
DOCUMENT TYPE:
                    Journal; Article
FILE SEGMENT:
                    008
                           Neurology and Neurosurgery
                    016
                           Cancer
                    017
                           Public Health, Social Medicine and Epidemiology
                    030
                           Pharmacology
                    037
                           Drug Literature Index
                    038
                           Adverse Reactions Titles
LANGUAGE:
                   English
                   Entered STN: 31 Mar 2006
ENTRY DATE:
                   Last Updated on STN: 31 Mar 2006
       DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER
L9
    ANSWER 11 OF 55 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
     reserved on STN
ACCESSION NUMBER:
                   2003143358 EMBASE
TITLE:
                   Chronic stimulation of the globus pallidus internus for
                   treatment of non-DYT1 generalized dystonia and
                   choreoathetosis: 2-Year follow up.
```

Krauss J.K.; Loher T.J.; Weigel R.; Capelle H.H.; Weber S.;

AUTHOR:

(Therapeutic use); BIOL (Biological study); OCCU (Occurrence); USES (Uses)

Burgunder J.-M.

CORPORATE SOURCE: Dr. J.K. Krauss, Department of Neurosurgery, University

Hospital, Klinikum Mannheim, Theodor-Kutzer-Ufer 1-3, 68167 Mannheim, Germany. joachim.krauss@nch.ma.uni-heidelberg.de Journal of Neurosurgery, (1 Apr 2003) Vol. 98, No. 4, pp.

785-792.

SOURCE:

Refs: 51

ISSN: 0022-3085 CODEN: JONSAC

COUNTRY: United States
DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 008 Neurology and Neurosurgery

027 Biophysics, Bioengineering and Medical

Instrumentation

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 24 Apr 2003

Last Updated on STN: 24 Apr 2003

AΒ The authors studied the long-term efficacy of deep brain stimulation (DBS) of the posteroventral lateral globus pallidus internus up to 2 years postoperatively in patients with primary non-DYT1 generalized dystonia or choreoathetosis. The results are briefly compared with those reported for DBS in DYT1 dystonia (Oppenheim dystonia), which is caused by the DYT1 gene. Methods. Enrollment in this prospective expanded pilot study was limited to adult patients with severely disabling, medically refractory non-DYT1 generalized dystonia or choreoathetosis. Six consecutive patients underwent follow-up examinations at defined intervals of 3 months, 1 year, and 2 years postsurgery. There were five women and one man, and their mean age at surgery was 45.5 years. Formal assessments included both the Burke-Fahn-Marsden dystonia scale and the recently developed Unified Dystonia Rating Scale. Two patients had primary generalized non-DYT1 dystonia, and four suffered from choreoathetosis secondary to infantile cerebral palsy. Bilateral quadripolar DBS electrodes were implanted in all instances, except in one patient with markedly asymmetrical symptoms. There were no adverse events related to surgery. The Burke-Fahn-Marsden scores in the two patients with generalized dystonia improved by 78 and 71% at 3 months, by 82 and 69% at 1 year, and by 78 and 70% at 2 years postoperatively. This was paralleled by marked amelioration of disability scores. The mean improvement in Burke-Fahn-Marsden scores in patients with choreoathetosis was 12% at 3 months, 29% at 1 year, and 23% at 2 years postoperatively, which was not significant. Two of these patients thought that they had achieved marked improvement at 2 years postoperatively, although results of objective evaluations were less impressive. In these two patients there was a minor but stable improvement in disability scores. All patients had an improvement in pain scores at the 2-year follow-up review. Medication was tapered off in both patients with generalized dystonia and reduced in two of the patients with choreoathetosis. All stimulation-induced side effects were reversible on adjustment of the DBS settings. Energy consumption of the batteries was considerably higher than in patients with Parkinson disease. Conclusions. Chronic pallidal DBS is a safe and effective procedure in generalized non-DYT1 dystonia, and it may become the procedure of choice in patients with medically refractory dystonia. Postoperative improvement of choreoathetosis is more modest and varied, and subjective ratings of outcome may exceed objective evaluations.

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ACCESSION NUMBER: 2001041497 EMBASE

TITLE: Clinical evaluation of the drug Mydocalm ("gedeon

richter") in patients with ankylosing spondylitis and

spondyloarthrosis.

AUTHOR: Bekiarova P.; Gerginova V.; Sheitanov I.

CORPORATE SOURCE: Dr. P. Bekiarova, Clinic of Rheumatology, Medical

University, 13, Urvitch Str., Bg - 1612 Sofia, Bulgaria

SOURCE: Rheumatology, (2000) Vol. 8, No. 4, pp. 41-44. .

Refs: 3

ISSN: 1310-0505 CODEN: REVMFN

COUNTRY: Bulgaria

DOCUMENT TYPE: Journal; Article FILE SEGMENT: 030 Pharmacology

O31 Arthritis and Rheumatism
O37 Drug Literature Index

LANGUAGE: Bulgarian

SUMMARY LANGUAGE: English; Bulgarian

ENTRY DATE: Entered STN: 15 Feb 2001

Last Updated on STN: 15 Feb 2001

In modern rheumatology the treatment of inflammatory and degenerative AB joint diseases is complex - non-steroidal anti-inflammatory drugs in combination with muscle relaxants, analgesics and physical therapy. simultaneous application of these agents leads to a synergic effect. Mydocalm is a centrally acting muscle relaxant. Its basic indications for use in rheumatology are: ankylosing spondylitis, spondyloarthrosis, rheumatoid arthritis, periarthritis, etc. The aim of our study is to assess the effect of Mydocalm in patients with ankylosing spondylitis and spondyloarthrosis in an open clinical trial. Two groups of patients are involved: the first one includes patients treated with Mydocalm and NSAIDs and the second (control) one - treated only with NSAIDs. The evaluation of the effectiveness of Mydocalm is based upon subjective criteria pain and muscle spasm and upon objective criteria - Schober's test and fingers-ground distance. At the beginning and at the end of the investigation blood count, liver enzymes, creatinine and urine analysis are performed. It is found that in the patients treated with

spasm come faster and to a greater degree than in the control group.

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ACCESSION NUMBER: 97298056 EMBASE

DOCUMENT NUMBER: 1997298056

TITLE: Clinical evaluation of eperisone hydrochloride

Mydocalm, the pain relief and the decrease of the muscle

tape (E2000) in lumbago and cervicobrachial syndrome - Late

clinical phase II study.

AUTHOR: Hasue M.; Tachibana S.; Kunogi J.; Hirabayashi S.; Nagai T. SOURCE: Japanese Pharmacology and Therapeutics, (1997) Vol. 25, No.

4, pp. 227-250. .

Refs: 6

ISSN: 0386-3603 CODEN: YACHDS

COUNTRY: Japan

DOCUMENT TYPE: Journal; Article FILE SEGMENT: 030 Pharmacology

O31 Arthritis and Rheumatism
O37 Drug Literature Index

039 Pharmacy

LANGUAGE: Japanese

SUMMARY LANGUAGE: English; Japanese

ENTRY DATE: Entered STN: 30 Oct 1997

Last Updated on STN: 30 Oct 1997

AΒ E2000 is a transdermal preparation in tape form which contains eperisone hydrochloride as an active ingredient which has a muscle relaxant action. In the present study the efficacy and safety of E2000 were assessed in patients with lumbago and cervicobrachial syndrome after 2 weeks of application at 50 mg/day or 25 mg/day of E2000 or 150 mg/day of eperisone hydrochloride tablets. The present communication is a summarized report of our results. 1) the improvement rate was higher, at 69.4% (43/62), 65.7% (44/67), and 61.3% (38/62), for the 50 mg, 25 mg and tablet groups, respectively, in order named. Based on the 90% confidence interval of the difference between the tape and tablet groups, it was confirmed that the improvement rate was not lower by any more than 10% in either 50 mg or 25 mg group than is the tablet group. 2) The safety rate for evaluations classified as 'no safety problems' was 63.4% (52/82), 72.4% (63/87) and 69.3% (52/75) for the 50 mg, 25 mg and tablet groups, respectively. The safety rate was low for the 50 mg group. 3) Adverse reactions occurred in 36.1% of patients. The incidence of adverse reactions was 41.5% (34/82), 31.0% (27/87) and 36.0% (27/75) for the 50

gm, 25 mg, and tablet groups, respectively. 4) The utility rate for evaluations classified as 'moderately or more useful' was 61.3% (38/62), 65.7% (44/67), and 61.3% (38/62) for the 50 mg, 25 mg and tablet groups, respectively. There was no significant differences in utility rate among the 3 groups, but the utility rate for the 50 mg group was low, compared to its final general improvement rate. Based on the results presented, it may be concluded that E2000 at 50 mg/day or 25 mg/day has comparable efficacy to eperisone hydrochloride tablets in myotonia in lumbago and cervicobrachial syndrome. In the interest of safety, however, the dose of 25 mg/day seems to be better recommended for clinical use.

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ACCESSION NUMBER: 97298055 EMBASE

DOCUMENT NUMBER:

1997298055

TITLE:

Clinical evaluation of eperisone hydrochloride

tape (E2000) in lumbago, cervicobrachial syndrome, and periarthritis humeroscapularis - Early clinical phase II

study.

AUTHOR:

Hasue M.; Tachibana S.; Kunogi J.; Hirabayashi S.

SOURCE:

Japanese Pharmacology and Therapeutics, (1997) Vol. 25, No.

4, pp. 207-226. .

Refs: 10

ISSN: 0386-3603 CODEN: YACHDS

COUNTRY: Japan

DOCUMENT TYPE:

Journal; Article

FILE SEGMENT:

008 Neurology and Neurosurgery

030 Pharmacology

031 Arthritis and Rheumatism 037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE:

Japanese

SUMMARY LANGUAGE:

English; Japanese

ENTRY DATE:

Entered STN: 23 Oct 1997

Last Updated on STN: 23 Oct 1997

AB E2000 is a transdermal preparation in tape form which contains eperisone hydrochloride as an active ingredient which has a muscle relaxant action. In the present study the efficacy and safety of E2000 were assessed in patients with lumbago, cervicobrachial syndrome, and periarthritis humeroscapularis after 4 weeks of application at one of 5 doses, 6.25 mg, 12.5 mg, 25 mg, 50 mg, and 75 mg. The present communication is a summarized report of our results. 1) In 50 patients in whom the improvement rate could be determined at 1, 2 and 4 weeks, the response at 4 weeks was stratified according to dose. When moderate or better responses were taken into account, the improvement rate was 33.3% (5/15), 63.6% (7/11), 84.6% (11/13), 80.0% (8/10), and 10.0% (1/1) for the 6.25 mg, 12.5 mg, 25 mg, 50 mg and 75 mg dose groups, respectively. The improvement rate increased in a dose-dependent manner. 2) The improvement rate was 35.7% (10/28), 41.7% (10/24), 75.9% (22/29), 58.6% (17/29) and 42.9% (3/7) for the 6.25 mg, 12.5 mg, 25 mg, 50 mg and 75 mg dose groups, respectively. The improvement rate was notable higher in the 25 mg and 50 mg groups. 3) Adverse reactions occurred in 22.4% of patients (34/152). The main adverse reactions were symptoms of skin disorder, such as itching, redness, and rash. The frequency of these skin symptoms increased with increasing dose (number of tapes applied at one time). Considering that the incidence of adverse reactions was particularly high with the dose of 75 mg, the regimen of 3 tapes daily was considered to be undesirable. The safety rate for evaluations classified as 'no safety problems' was 87.5% (28/32), 84.6% (22/26), 88.4% (38/43), 69.2% (27/39) and 58.3% (7/12) for the 6.25 mg, 12.5 mg, 25 mg, 50 mg and 75 mg dose groups, respectively. 4) The utility rate for evaluations classified as 'moderately or very useful' was 42.9% (12/28), 41.7% (10/24), 75.9% (22/29), 55.2% (16/29) and 42.9% (3/7) for the 6.25 mg, 12.5 mg, 25 mg, 50 mg and 75 mg dose groups, respectively. The utility rate was notably high in the 25 mg and 50 mg dose groups. The results of this study are favorable enough to substantiate the efficacy and safety of E2000, and its optimal dose against myotonia in lumbago, cervicobrachial syndrome, and periarthritis humeroscapularis may be placed at 25 mg or 50 mg.

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ACCESSION NUMBER: 97046709 EMBASE

DOCUMENT NUMBER: 1997046709

TITLE: [Back pain from the view of the rheumatologist].

RUCKENSCHMERZ AUS INTERNISTISCH-RHEUMATOLOGISCHER SICHT.

AUTHOR: Keitel W.

CORPORATE SOURCE: Prof. Dr. W. Keitel, Kiefernhang 3, 39245

Vogelsang-Gommern, Germany

SOURCE: Zeitschrift fur Arztliche Fortbildung, (1996) Vol. 90, No.

Drug Literature Index

8, pp. 671-676. .

Refs: 9

ISSN: 0044-2178 CODEN: ZAFBAX

COUNTRY: Germany

DOCUMENT TYPE: Journal; (Short Survey)

037

FILE SEGMENT: 008 Neurology and Neurosurgery 031 Arthritis and Rheumatism

LANGUAGE: German

SUMMARY LANGUAGE: German; English

ENTRY DATE: Entered STN: 18 Mar 1997

Last Updated on STN: 18 Mar 1997

In only 30% of back pain patients an underlying pathology can be AB found. Rheumatologic causes in a narrow sense are fibromyalgia, osteoporosis and the group of spondylarthropathies and reactive arthritis. Infectious disorders of the spine are emergency cases and need immediate and interdisciplinary action. Careful evaluation of signs and symptoms indicate the suspected origin of pain and lead to the use of more specialized diagnostic means. Therapy of specific back pain should be appropriate to the clinical disorders. In acute, nonspecific back pain, the aim is to prevent a chronification of disease by instruction and education of the patient and an early start of physical therapy. The rehabilitation process in chronic cases is complex and may need psychobehavioral methods for pain control. Pharmacologic modalities of treatment - simple analgesics, nonsteroidal antirheumatic drugs, muscle relaxants and antidepressants should only be used for a limited period and monitored constantly.

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ACCESSION NUMBER: 96338766 EMBASE

DOCUMENT NUMBER: 1996338766

TITLE: Therapeutic trials in 200 patients with HTLV-I-associated

myelopathy/tropical spastic paraparesis.

AUTHOR: Nakagawa M.; Nakahara K.; Maruyama Y.; Kawabata M.; Higuchi

I.; Kubota H.; Izumo S.; Arimura K.; Osame M.

CORPORATE SOURCE: Third Department Internal Medicine, Center for Chronic

Viral Diseases, Kagoshima University School Medicine,

8-35-1 Sakuragaoka, Kagoshima 890, Japan

SOURCE: Journal of NeuroVirology, (1996) Vol. 2, No. 5, pp.

345-355.

ISSN: 1355-0284 CODEN: JNVIFK

COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 004 Microbiology

008 Neurology and Neurosurgery 037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 25 Nov 1996

Last Updated on STN: 25 Nov 1996

We report here the results of therapeutic trials in 200 patients with HTLV-I-associated myelopathy (HAM)/tropical spastic paraparesis (TSP) conducted in our department between 1986 and 1993. Motor disability grades were improved by more than one grade in 69.5% (91/131) of patients by oral administration of prednisolone, 50% (3/6) by eperisone hydrochloride only, 43.8% (7/16) by blood purification (lymphocytapheresis and plasmapheresis), 40.0% (2/5) by intrathecal injection of

hydrocortisone, 30.0% (3/10) by intravenous injection of high-dose methylprednisolone, 23.3% (10/43) by interferon-alpha (intramuscular injection and inhalation), 22.2% (2/9) by azathioprine, 20.0% (4/20) by high-dose vitamin C, 16.0% (4/25) by erythromycin, 12.5% (3/24) by salazosulfapyridine, 11.8% (2/17) by mizoribine, 7.1% (1/14) by fosfomycin, and 6.3% (1/16) by thyrotropin releasing hormone. No critical side effects of these therapies were seen with the exceptions of one patient with adult respiratory distress syndrome due to cytomegalovirus infection and one patient with drug-induced hepatitis/hepatic failure. Selection of these treatments for patients with HAM/TSP must be considered on the basis of age, sex, disease severity and complications to reduce adverse events and to improve quality of life. Although the results were a synopsis of different treatments given to 200 patients with HAM/TSP as an open trial, we consider this the first report of a large-scale therapeutic trial in patients with HAM/TSP. The results of this study indicate that immunomodulatory therapies have some beneficial effects in HAM/TSP, and the functions of these agents are related to the pathophysiology of this disease.

ANSWER 17 OF 55 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights L9 reserved on STN

ACCESSION NUMBER: 96302827 EMBASE

DOCUMENT NUMBER: 1996302827

TITLE: [Muscle relaxation without danger of drug dependence].

MUSKELRELAXATION OHNE SUCHTGEFÄHRDUNG.

SOURCE: Therapiewoche, (1996) Vol. 46, No. 27, pp. 1524-1526. .

ISSN: 0040-5973 CODEN: THEWA6

COUNTRY: Germany

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 800 Neurology and Neurosurgery

Rehabilitation and Physical Medicine 019

Drug Dependence, Alcohol Abuse and Alcoholism 040 030

Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: German

ENTRY DATE: Entered STN: 6 Nov 1996

Last Updated on STN: 6 Nov 1996 DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

ANSWER 18 OF 55 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on L9

ACCESSION NUMBER: 2002:290528 BIOSIS DOCUMENT NUMBER: PREV200200290528

TITLE: Effects of local anesthetics, antiepileptics_and_centrally

acting muscle relaxants on acute pain in mice.

AUTHOR(S): Sakaue, Akiko [Reprint author]; Honda, Motoko [Reprint

author]; Ono, Hideki [Reprint author] CORPORATE SOURCE:

Lab. CNS Pharmacol. Grad. Sch. Pharm. Sci., Nagoya City

University, Nagoya, 467-8603, Japan Japanese Journal of Pharmacology, (2002) Vol. 88, No. SOURCE:

Supplement 1, pp. 88P. print.

Meeting Info.: 75th Annual Meeting of the Japanese Pharmacological Society. Kumamoto, Japan. March 13-15,

2002. Japanese Pharmacological Society.

CODEN: JJPAAZ. ISSN: 0021-5198. DOCUMENT TYPE:

Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

Conference; (Meeting Poster)

LANGUAGE: English

ENTRY DATE: Entered STN: 15 May 2002

Last Updated on STN: 15 May 2002

ΙT Major Concepts

Nervous System (Neural Coordination); Pharmacology

ΙT Diseases

neuropathic pain: nervous system disease

Pain (MeSH)

ΙT Chemicals & Biochemicals

carbamazepine: anticonvulsant-drug, dosage, subcutaneous

administration; lidocaine: local anesthetic-drug, dosage, subcutaneous administration; mexiletine: local anesthetic-drug, dosage, subcutaneous

administration; orphenadrine: muscle relaxant-drug, dosage,

subcutaneous administration; phenytoin: anticonvulsant-drug, dosage,

subcutaneous administration; tolperisone: muscle relaxant-drug, dosage, subcutaneous administration

TΤ Methods & Equipment

plantar pressure testing: experimental method; tail pressure testing:

experimental method

Miscellaneous Descriptors IT

disease severity; Meeting Abstract; Meeting Poster

ORGN Classifier

RN

86375 Muridae

Super Taxa

Rodentia; Mammalia; Vertebrata; Chordata; Animalia

Organism Name

mouse

Taxa Notes

PATENT ASSIGNEE(S):

Animals, Chordates, Mammals, Nonhuman Vertebrates, Nonhuman Mammals,

Rodents, Vertebrates

298-46-4 (carbamazepine)

137-58-6 (lidocaine)

31828-71-4 (mexiletine)

83-98-7 (orphenadrine) 57-41-0 (phenytoin)

728-88-1 (tolperisone)

L9 ANSWER 19 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2006:99769 USPATFULL

TITLE:

Tapered hollow metallic microneedle array assembly and

method of making and using the same

INVENTOR(S): Kim, Kabseog, Urbana, IL, UNITED STATES Lee, Jeong-Bong, Plano, TX, UNITED STATES

Board Of Regents, The University of Texas System,

(10)

Austin, TX, UNITED STATES (U.S. corporation)

NUMBER KIND DATE _______

US 2006084942 PATENT INFORMATION: 20060420 A1 APPLICATION INFO.: US 2004-966987 Α1 20041015

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: CHALKER FLORES, LLP, 2711 LBJ FRWY, Suite 1036, DALLAS,

TX, 75234, US

NUMBER OF CLAIMS: 76 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 10 Drawing Page(s)

LINE COUNT: 1881

The present invention includes device, system, method of using and making a microneedle array including the steps of forming one or more pins on a substrate, depositing one or more layers on the one or more pins and the substrate, exposing a portion of the one or more pins, and separating the one or more pins from the one or more layers to form the hollow microneedle array.

L9 ANSWER 20 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2006:68067 USPATFULL

TITLE: Severe sepsis preventive therapeutic agent

II, Masayuki, Minoo-shi, JAPAN INVENTOR(S): Iizawa, Yuji, Muko-shi, JAPAN

Kitazaki, Tomoyuki, Kobe-shi, JAPAN Kubo, Kazuki, Amagasaki-shi, JAPAN

NUMBER KIND DATE PATENT INFORMATION: US 2006058288 A1 20060316 APPLICATION INFO.: US 2003-510596 A1 20030407 (10)WO 2003-JP4396 20030407

NUMBER DATE PRIORITY INFORMATION: JP 2002-105204 20020408 DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL LEGAL REPRESENTATIVE: PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500, LINCOLNSHIRE, IL, 60069, US 20 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 6 Drawing Page(s) 2867 LINE COUNT: CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB The present invention provides an agent for the prophylaxis or treatment of severe sepsis, which contains a compound represented by the formula or, the formula (II): ##STR1## ##STR2## , or a salt thereof or a prodrug thereof, a TLR signal inhibitor containing a non-peptide compound and an agent for the prophylaxis or treatment of organ dysfunction and the like, which contains a TLR signal inhibitory substance. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IΤ Receptors (TLR (Toll-like receptor), inhibition; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) ΤТ Receptors (TLR-4 (Toll-like receptor-4), inhibition; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) ΙT Disease, animal (arthropathy; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) TΤ Inflammation TΤ Intestine, disease (colitis; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) TΤ Joint, anatomical (disease; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) TΨ Circulation (disorder; severe sepsis preventive therapeutic agents containing cycloalkene derivs.) IT Anti-inflammatory agents (nonsteroidal; severe sepsis preventive therapeutic agents containing cycloalkene derivs. and other active components) IΤ Arthritis Bone, disease ΙT ΙT Central nervous system, disease IT Digestive tract, disease IT Kidney, disease ΙT Respiratory system, disease ΙT Sepsis IT Urinary system, disease (severe sepsis preventive therapeutic agents containing cycloalkene derivs.) IΤ Cycloalkenes (severe sepsis preventive therapeutic agents containing cycloalkene derivs.) IT Antibacterial agents TT Anticoagulants Fungicides (severe sepsis preventive therapeutic agents containing cycloalkene derivs. and other active components) Steroids, biological studies (severe sepsis preventive therapeutic agents containing cycloalkene derivs. and other active components) ΙT Drug delivery systems

(tablets, coated; severe sepsis preventive therapeutic agents containing

```
IT
      Drug delivery systems
        (tablets; severe sepsis preventive therapeutic agents containing
        cycloalkene derivs.)
TΤ
      10102-43-9, Nitrogen oxide (NO), biological studies
        (inhibition; severe sepsis preventive therapeutic agents containing
        cycloalkene derivs.)
      174317-21-6
                                243983-43-9
                                              243983-44-0
                   243983-42-8
                                                           243983-45-1
IT
                                243983-48-4
                   243983-47-3
                                              243983~49-5
                                                           243983-50-8
      243983-46-2
                                             243983-54-2
                                243983-53-1
     243983-51-9
                   243983-52-0
                                                           243983-55-3
      243983-56-4 243983-57-5
                                243983-58-6 243983-59-7
                                                           243983-62-2
                                243983-65-5 243983-67-7
      243983-63-3
                   243983-64-4
                                                           243983-68-8
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                                                           243983-73-5
                                243983-76-8 243983-77-9
      243983-74-6 243983-75-7
                                                           243983-78-0
                                243983-81-5 243983-82-6 243983-83-7
     243983-79-1 243983-80-4
                                243983-86-0 243983-87-1
     243983-84-8 243983-85-9
                                                           243983-88-2
      243983-89-3 243983-90-6
                               243983-91-7 243983-92-8 243983-93-9
                                                           243983-99-5
      243983-95-1 243983-96-2
                                243983-97-3 243983-98-4
     243984-00-1 243984-01-2
                                243984-02-3 243984-03-4
                                                           243984-04-5
      243984-05-6 243984-06-7
                                243984-07-8 243984-08-9 243984-09-0
      243984-10-3 243984-11-4
                                243984-12-5 243984-13-6 243984-14-7
     243984-15-8 243984-16-9
                                243984-17-0 243984-18-1
                                                           243984-19-2
                                                           243984-24-9
      243984-20-5
                   243984-21-6
                                243984-22-7
                                              243984-23-8
      324767-79-5
                   324767-80-8
                                324767-81-9
                                              324767-82-0
                                                           324767-83-1
                                324767-86-4
                                              352006-79-2 352006-80-5
      324767-84-2
                   324767-85-3
      352006-81-6
                   609851-22-1
        (severe sepsis preventive therapeutic agents containing cycloalkene
       derivs.)
L9
    ANSWER 21 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                      2005:281582 USPATFULL
TITLE:
                       Use of compounds having ccr antagonism
INVENTOR(S):
                       Tsuchimori, Noboru, Amagasaki-shi Hyogo, JAPAN
                       Iizawa, Yuji, Muko-shi, JAPAN
                       Shiraishi, Mitsuru, Amagasaki-shi, JAPAN
                       Sugihara, Yoshihiro, Ikoma-shi Nara, JAPAN
                          NUMBER
                                     KIND DATE
                       ______
                      US 2005245537 A1 20051103
PATENT INFORMATION:
APPLICATION INFO.:
                                       A1
                      US 2003-511112
                                              20030423
                                                      (10)
                      WO 2003-JP5172
                                              20030423
                                              20041021 PCT 371 date
                            NUMBER DATE
                      JP 2002-122832 20020424
PRIORITY INFORMATION:
DOCUMENT TYPE:
                      Utility
FILE SEGMENT:
                      APPLICATION
LEGAL REPRESENTATIVE:
                      TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL
                      PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,
                      LINCOLNSHIRE, IL, 60069, US
NUMBER OF CLAIMS:
                      10
EXEMPLARY CLAIM:
                      1
LINE COUNT:
                      7536
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
      It is intended to provide preventives/remedies for graft-versus-host
      disease and/or rejection in organ or bone marrow transplantation,
      rheumatoid arthritis, autoimmune diseases, allergic diseases,
      ischemic cerebral cell injury, myocardial infarction, chronic nephritis
      and arteriosclerosis. The above object can be achieved by
      preventives/remedies for graft-versus-host disease and/or rejection in
      organ or bone marrow transplantation, rheumatoid arthritis,
      autoimmune diseases, allergic diseases, ischemic cerebral cell injury,
      myocardial infarction, chronic nephritis and arteriosclerosis
      characterized by containing a specific compound having a CCR (CC
```

chemokine receptor) antagonism.

cycloalkene derivs.)

```
IT
      Chemokine receptors
        (C-C (cysteine-cysteine chemokine receptors); piperidinecarboxylate
        analogs as CC chemokine receptor antagonists for treatment immuno- and
        cardiovascular diseases)
ΙT
      Transplant and Transplantation
        (bone marrow; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
ΙT
      Drug delivery systems
        (capsules; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
      Ischemia
ΙT
        (cerebral; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
      Inflammation
IT
ΙT
      Kidney, disease
        (chronic nephritis; piperidinecarboxylate analogs as CC chemokine
        receptor antagonists for treatment immuno- and cardiovascular diseases)
ΙT
      Transplant and Transplantation
        (graft-vs.-host reaction; piperidinecarboxylate analogs as CC chemokine
        receptor antagonists for treatment immuno- and cardiovascular diseases)
      Heart, disease
IΤ
        (infarction; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
ΙT
      Brain, disease
        (ischemia; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
ΙT
     Allergy inhibitors
ΙT
     Antiarteriosclerotics .
ΙT
     Antirheumatic agents
ΙT
     Arteriosclerosis
ΙT
     Autoimmune disease
ΙT
     Immunosuppressants
IT
     Rheumatoid arthritis
ΙT
     Transplant and Transplantation
        (piperidinecarboxylate analogs as CC chemokine receptor antagonists for
        treatment immuno- and cardiovascular diseases)
IT
      Drug delivery systems
        (tablets; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
ΙT
     Bone marrow
        (transplant; piperidinecarboxylate analogs as CC chemokine receptor
        antagonists for treatment immuno- and cardiovascular diseases)
ΙT
      423722-33-2P, N-(3,4-Dichlorophenyl)-N-(3-{4-[4-(methylsulfonyl)benzyl]-1-
     piperidinyl}propyl)-2-[1-(methylsulfonyl)-4-piperidinyl]acetamide
     423722-34-3P
                     423722-35-4P
                                    423722-36-5P
       (piperidinecarboxylate analogs as CC chemokine receptor antagonists for
        treatment immuno- and cardiovascular diseases)
ΙT
      333795-14-5
        (piperidinecarboxylate analogs as CC chemokine receptor antagonists for
        treatment immuno- and cardiovascular diseases)
ΙT
     867-13-0P
                  135716-08-4P, tert-Butyl 4-(2-ethoxy-2-oxoethylidene)-1-
     piperidinecarboxylate
                              218780-59-7P
                                             333795-04-3P, 1-Acetyl-4-[4-
      (methylsulfonyl)benzyl]piperidine
                                         333795-05-4P, 4-[4-
                                                        333795-06-5P,
      (Methylsulfonyl)benzyl]piperidine hydrochloride
     4-[4-(Methylsulfonyl)benzyl]piperidine
                                              333795-07-6P
                                                              333987-98-7P,
     1-Acetyl-4-[4-(isopropylsulfanyl)benzyl]piperidine
                                                           333987-99-8P,
     1-Acetyl-4-[4-(isopropylsulfonyl)benzyl]piperidine
                                                           333988-00-4P
     4-[4-(Isopropylsulfonyl)benzyl]piperidine
                                                 423722-26-3P
                                                                 423722-27-4P
     423722-28-5P, [1-(Methylsulfonyl)-4-piperidinyl]acetic chloride
     423722-30-9P
                     423722-31-0P, 4-Hydroxy-1-(methylsulfonyl)-4-
     piperidinecarbonitrile
                               423722-32-1P, 4-Hydroxy-1-(methylsulfonyl)-4-
     piperidinecarboxylic acid
        (piperidinecarboxylate analogs as CC chemokine receptor antagonists for
        treatment immuno- and cardiovascular diseases)
    ANSWER 22 OF 55
                     USPATFULL on STN
```

ACCESSION NUMBER: 2005:255678 USPATFULL
TITLE: Piperidinylamino-thieno[2,3-D] pyrimidine compounds
INVENTOR(S): Dhanoa, Dale S., Wakefield, MA, UNITED STATES

Becker, Oren, Mevaseret Zion, ISRAEL Noiman, Silvia, Herzliya, ISRAEL Alla, Sekar Reddy, Burlington, MA, UNITED STATES Cheruku, Srinivasa Rao, Woburn, MA, UNITED STATES Mele'ndez, Rosa E., Woburn, MA, UNITED STATES Sharadendu, Anurag, Salem, NH, UNITED STATES Chen, Dongli, Chestnut Hill, MA, UNITED STATES Marantz, Yael, Kadima, ISRAEL Shacham, Sharon, Alfey Menashe, ISRAEL Heifetz, Alexander, Bnei-Brak, ISRAEL Inbal, Boaz, Kfar Shmuel, ISRAEL Kesavan, Venkitasamy, Woburn, MA, UNITED STATES Bar-Haim, Shay, Netanya, ISRAEL

DATE NUMBER KIND _______ US 2005222176 PATENT INFORMATION: Α1 20051006 US 2005-75565 APPLICATION INFO.: Α1 20050308 (11)Continuation-in-part of Ser. No. US 2004-815417, filed RELATED APPLN. INFO.: on 31 Mar 2004, PENDING Continuation-in-part of Ser. No. US 2004-947995, filed on 23 Sep 2004, PENDING DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: MINTZ, LEVIN, COHN, FERRIS, GLOVSKY, AND POPEO, P.C., LEGAL REPRESENTATIVE:

ONE FINANCIAL CENTER, BOSTON, MA, 02111, US

NUMBER OF CLAIMS: 13 EXEMPLARY CLAIM: 1 3050 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ The invention relates to 5-HT receptor modulators, particularly 5-HT.sub.2B antagonists. Novel piperidinylamino-thieno [2,3-d] pyrimidine compounds represented by Formula I, II and III, and uses thereof for treating conditions including pulmonary arterial hypertension, congestive heart failure, and hypertension.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

ΙT Pain

> (acute, treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

ΙT Mental disorder

> (attention deficit hyperactivity disorder, treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

TΤ Prostate gland, disease

> (benign hyperplasia, treating; preparation of piperidinylamino-thieno[2,3d]pyrimidine compds. as 5-HT2B modulators)

IT Hyperplasia

(benign prostatic, treating; preparation of piperidinylamino-thieno[2,3d]pyrimidine compds. as 5-HT2B modulators)

ΙT Pain

> (chronic, treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

ΙT Mental disorder

> (depression, treating; preparation of piperidinylamino-thieno[2,3d]pyrimidine compds. as 5-HT2B modulators)

ΙT Heart, disease

(failure, treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

ΙT Sexual behavior

> (impotence, treating erectile dysfunctions such as priapism; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

Intestine, disease

(irritable bowel syndrome, treating; preparation of piperidinylaminothieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

IT Headache

> (migraine, treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)

IT Respiratory tract, disease

> (obstructive, treating; preparation of piperidinylamino-thieno[2,3d]pyrimidine compds. as 5-HT2B modulators)

```
Analgesics
TТ
ΙT
      Anti-Alzheimer's agents
TΤ
      Antiasthmatics
TΤ
      Antidepressants
ТТ
      Antihypertensives
ΙT
      Antimigraine agents
ΙT
      Antiobesity agents
IT
      Antiparkinsonian agents
ΙT
      Antitumor agents
ΙT
      Anxiolytics
IT
      Cardiovascular agents
IT
      Gastrointestinal agents
ΙT
      Human
TT
      Narcotics
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
        modulators)
IT
      Hypertension
         (pulmonary, treating; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
ΙT
      Artery, disease
        (restenosis, treating; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
ΤТ
      Carcinoma
        (teratocarcinoma, treating; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
TΨ
      Esophagus, disease
        (treating hypertonic lower esophageal sphincter; preparation of
        piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B modulators)
IT
      Gastrointestinal motility
        (treating motility disorders; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
IT
      Hypertension
        (treating systemic hypertension; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
ΙT
      Acromegaly
      Alzheimer's disease
ΙT
      Anxiety
ΙΤ
ΙT
      Asthma
ΙT
      Carcinoid
IT
      Digestive tract, disease
ΙT
      Obesity
IT
      Pain
IΤ
      Parkinson's disease
IT
      Sleep disorders
        (treating; preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds.
        as 5-HT2B modulators)
IT
      5-HT receptors
        (type 5-HT2B; preparation of piperidinylamino-thieno[2,3-d]pyrimidine
        compds. as 5-HT2B modulators)
IT
      9002-62-4, Prolactin, biological studies
        (hyperprolactinemia, treating; preparation of piperidinylamino-thieno[2,3-
        d]pyrimidine compds. as 5-HT2B modulators)
IΤ
      779337-83-6P
                     779337-85-8P
                                     779338-58-8P
                                                     779338-60-2P
                                                                    779338-62-4P
      779338-64-6P
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                                                     866206-50-0P
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                                     866206-70-4P
                                                                    866206-75-9P
      866206-79-3P
                     866207-17-2P
                                     866207-54-7P
                                                     866207~58~1P
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
        modulators)
IT
      779337-68-7
                    779337-70-1
                                   779337-72-3
                                                  779338-74-8
                                                                779338-75-9
      779338-77-1
                    794497-83-9
                                   794497-84-0
                                                 794497-85-1
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
        modulators)
IT
      379238-17-2P
                     379239-09-5P
                                     442571-07-5P
                                                     678138-99-3P
                                                                    679391-54-9P
      779337-84-7P
                     779337-86-9P
                                     779337-88-1P
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      779337-94-9P
                     779337-95-0P
                                     779337-96-1P
                                                     779337-98-3P
                                                                    779338-00-0P
      779338-02-2P
                     779338-04-4P
                                     779338-06-6P
                                                     779338-08-8P
                                                                    779338-09-9P
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779338-27-1P
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                    779338-23-7P
                                   779338-25-9P
      779338-21-5P
                                                  779338-81-7P
                                                                 866206-43-1P
                    779338-33-9P
                                   779338-79-3P
      779338-31-7P
                                                  866206-53-3P
      866206-46-4P 866206-48-6P
                                   866206-51-1P
                                                                 866206-55-5P
                  866206-59-9P
                                   866206-62-4P
      866206-57-7P
                                                  866206-65-7P
                                                                 866206-67-9P
                                   866206-73-7P
                  866206-71-5P
                                                  866206-76-0P
                                                                 866206-80-6P
      866206-69-1P
                                                  866207-63-8P
                                                                866207-67-2P
                                   866207-59-2P
      866207-05-8P
                    866207-55-8P
                                   866207-77-4P
                                                  866207-83-2P
      866207-72-9P
                    866207-74-1P
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
       modulators)
                                               446-52-6 455-36-7
                                    402-23-3
                                                                    456-41-7
ΙT
      109-76-2, 1,3-Propanediamine
               500-22-1, 3-Pyridinecarboxaldehyde 766-80-3
                                                               1129-28-8
      456-48-4
      2043-61-0, Cyclohexanecarboxaldehyde 7035-02-1 10070-92-5,
      5-Pyrimidinecarboxaldehyde 20850-43-5 22115-41-9 28188-41-2
                                            73874-95-0 75178-96-0
      32085-88-4 43088-42-2 65416-85-5
      82657-76-9
                  87120-72-7
                               108831-68-1 128495-46-5 141776-91-2
      146137-79-3 218301-22-5 343788-69-2 384351-45-5
                                                            779338-88-4
                                               779339-19-4
      779338-98-6
                                                            779339-20-7
                   779339-17-2
                                 779339-18-3
      866207-94-5
                                               866208-11-9
                   866207-96-7
                                 866208-07-3
                                                           866208-39-1
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
       modulators)
                   40493-18-3P 43088-64-8P 56844-14-5P 56844-42-9P
IT
      14346-24-8P
                    439692-52-1P 439692-74-7P 439692-75-8P 502651-65-2P
      160358-09-8P
      779338-85-1P
                                   779338-87-3P
                                                  779338-91-9P
                                                                779338-93-1P
                    779338-86-2P
      779338-94-2P
                    779338-95-3P
                                   779338-99-7P
                                                  779339-12-7P
                                                                779339-13-8P
      779339-14-9P
                    779339-15-0P
                                   779339-16-1P 866207-34-3P 866207-47-8P
        (preparation of piperidinylamino-thieno[2,3-d]pyrimidine compds. as 5-HT2B
       modulators)
T.9
    ANSWER 23 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                       2005:234250 USPATFULL
TITLE:
                       Methods of treating gastrointestinal tract disorders
                       using sodium channel modulators
                       Burgard, Edward C., Chapel Hill, NC, UNITED STATES
INVENTOR(S):
                       Landau, Steven B., Wellesley, MA, UNITED STATES
                       Fraser, Matthew Oliver, Apex, NC, UNITED STATES
                       Dynogen Pharmaceuticals, Inc., Waltham, MA, UNITED
PATENT ASSIGNEE(S):
                       STATES (U.S. corporation)
                            NUMBER
                                        KIND
                                               DATE
                       US 2005203190
PATENT INFORMATION:
                                       A1
                                               20050915
APPLICATION INFO.:
                       US 2005-57024
                                        A1
                                              20050211
                                                        (11)
RELATED APPLN. INFO.:
                       Division of Ser. No. US 2004-769071, filed on 30 Jan
                       2004, PENDING
                             NUMBER
                                           DATE
                       _____
                                         20030130 (60)
PRIORITY INFORMATION:
                       US 2003-443731P
                       US 2003-443730P
                                          20030130 (60)
                       US 2003-480565P
                                          20030620 (60)
                       US 2003-480598P
                                          20030620 (60)
                       US 2003-495958P
                                          20030818 (60)
                       Utility
DOCUMENT TYPE:
FILE SEGMENT:
                       APPLICATION
LEGAL REPRESENTATIVE:
                       ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH
                       TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000, US
NUMBER OF CLAIMS:
                       17
EXEMPLARY CLAIM:
                       1
NUMBER OF DRAWINGS:
                       2 Drawing Page(s)
LINE COUNT:
                       3559
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
      The invention relates to methods of using sodium channel modulators,
      particularly TTX-R sodium channel modulators and/or activity dependent
      sodium channel modulators to treat a gastrointestinal tract disorders,
      particularly inflammatory bowel disorders and irritable bowel syndrome.
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779338-16-8P

779338-14-6P

779338-11-3P

779338-19-1P

779338-17-9P

CAS INDEXING IS AVAILABLE FOR THIS PATENT. IT Digestive tract, disease

ΙT Drug delivery systems (treating gastrointestinal tract disorders using sodium channel modulators) ΙT Sodium channel (treating gastrointestinal tract disorders using sodium channel modulators) ΙT 7440-23-5, Sodium, biological studies (treating gastrointestinal tract disorders using sodium channel modulators) 18683-91-5, Ambroxol 84057-84-1, Lamotrigine ΙT (treating gastrointestinal tract disorders using sodium channel modulators) 130800-90-7, Sipatrigine 133865-88-0, Ralfinamide ΙT (treating gastrointestinal tract disorders using sodium channel modulators) ANSWER 24 OF 55 USPATFULL on STN L9 2005:203365 USPATFULL ACCESSION NUMBER: TITLE: Pharmaceutical salts Bartholomaus, Johannes, Aachen, GERMANY, FEDERAL INVENTOR(S): REPUBLIC OF Kugelmann, Heinrich, Aachen, GERMANY, FEDERAL REPUBLIC KIND DATE NUMBER _____ US 2005176790 A1 20050811 US 2003-647882 A1 20030825 PATENT INFORMATION: APPLICATION INFO.: (10)RELATED APPLN. INFO.: Continuation of Ser. No. WO 2002-EP2169, filed on 28 Feb 2002, UNKNOWN NUMBER DATE -----PRIORITY INFORMATION: DE 2001-10109763 20010228 DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: Clarence A. Green, PERMAN & GREEN, LLP, 425 Post Road, Fairfield, CT, 06824, US NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 1463 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The invention relates to pharmaceutical salts comprised of a pharmaceutical active substance and of a least one sugar substitute, to medicaments containing these salts, and to the use of these salts for producing medicaments. CAS INDEXING IS AVAILABLE FOR THIS PATENT. Drug delivery systems (capsules, sustained-release; pharmaceutical salts containing artificial sweeteners) IT Drug delivery systems (chewable; pharmaceutical salts containing artificial sweeteners) ΙT Drug delivery systems (chewing gums; pharmaceutical salts containing artificial sweeteners) IT Drug delivery systems (dragees; pharmaceutical salts containing artificial sweeteners) IΤ Drug delivery systems (enteric-coated; pharmaceutical salts containing artificial sweeteners) IΤ Alcohols, biological studies (fatty; pharmaceutical salts containing artificial sweeteners) Drug delivery systems (hydrogels, controlled-release; pharmaceutical salts containing artificial

(incontinence, drugs for the treatment of; pharmaceutical salts containing

sweeteners)
Bladder, disease

artificial sweeteners)

```
ΙT
      Drug delivery systems
         (ophthalmic; pharmaceutical salts containing artificial sweeteners)
ΙT
      Drug delivery systems
         (oral, controlled-release; pharmaceutical salts containing artificial
        sweeteners)
IT
      Analgesics
      Anthelmintics
IT
IΤ
      Anti-inflammatory agents
IT
      Antiarrhythmics
IΤ
      Antiasthmatics
IΤ
      Antibiotics
IT
      Anticoaqulants
ΙT
      Anticonvulsants
ΙT
      Antidiabetic agents
ΙT
      Antiemetics
ΙT
      Antihypertensives
ΙT
      Antihypotensives
ΙT
      Antimigraine agents
ΙT
      Antiobesity agents
IT
      Antiparkinsonian agents
ΙT
      Antipsychotics
IT
      Antirheumatic agents
ΙT
      Antitumor agents
ΙT
      Antitussives
ΙT
      Bronchodilators
ΙT
      Calcium channel blockers
IT
      Cholinergic agonists
IT
      Diuretics
IT
      Enantiomers
ΙT
      Expectorants
ΙT
      Fungicides
ΙT
      Hypnotics and Sedatives
ΙT
      Immunostimulants
IT
      Muscle relaxants
IT
      Narcotics
ΙT
      Nervous system agents
ΙT
      Nervous system stimulants
ΙT
      Opioid antagonists
TΤ
      Solubility
TΤ
      Sweetening agents
TΤ
      Tuberculostatics
ΙT
      Vasodilators
        (pharmaceutical salts containing artificial sweeteners)
TΤ
      Acrylic polymers, biological studies
      Fats and Glyceridic oils, biological studies
ΙT
IT
        (pharmaceutical salts containing artificial sweeteners)
TΤ
      Drug delivery systems
        (powders; pharmaceutical salts containing artificial sweeteners)
IT
      Drug delivery systems
        (solns., ear; pharmaceutical salts containing artificial sweeteners)
IT
      Muscle relaxants
        (spasmolytics; pharmaceutical salts containing artificial sweeteners)
TΤ
      Drug delivery systems
        (sprays; pharmaceutical salts containing artificial sweeteners)
IT
      Drug delivery systems
        (sublingual; pharmaceutical salts containing artificial sweeteners)
      Drug delivery systems
ΤТ
        (syrups; pharmaceutical salts containing artificial sweeteners)
IΤ
      Drug delivery systems
        (tablets; pharmaceutical salts containing artificial sweeteners)
ΙT
      Adrenoceptor antagonists
        (β-; pharmaceutical salts containing artificial sweeteners)
IT
      454221-03-5P
                     454221-05-7P
                                     454221-06-8P
        (pharmaceutical salts containing artificial sweeteners)
ΙT
      87-99-0, Xylitol
                         11138-66-2, Xanthan gum
        (pharmaceutical salts containing artificial sweeteners)
IT
      147-24-0, Diphenhydramine hydrochloride
                                                152-11-4, Verapamil
      hydrochloride
                      6055-06-7, Morphinan-3, 6-diol, 7, 8-didehydro-4, 5-epoxy-17-
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methyl-(5\alpha, 6\alpha)-, hydrochloride, trihydrate
                                                  6155-57-3,
      1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, sodium salt, dihydrate
      175591-10-3
        (pharmaceutical salts containing artificial sweeteners)
IT
      121543-85-9P
        (pharmaceutical salts containing artificial sweeteners)
      57-27-2, Morphine, biological studies 57-42-1, Pethidine 62-67-9,
TΤ
      Nalorphine 76-41-5, Oxymorphone 76-42-6, Oxycodone 76-57-3, Codeine
      76-58-4, Ethylmorphine 77-07-6, Levorphanol 81-07-2, Saccharin
      100-88-9, Cyclamate 125-28-0, Dihydrocodeine 125-29-1, Hydrocodone
      125-58-6, Levomethadone 302-41-0, Piritramide 357-56-2,
                                              437-38-7, Fentanyl
      Dextromoramide 359-83-1, Pentazocine
                                                   469-79-4, Ketobemidone
                      469-62-5, Dextropropoxyphene
      Hydromorphone
      561-27-3, Diacetylmorphine
                                 915-30-0, Diphenoxylate
                                                            1477-40-3
                                 9004-64-2, Hydroxypropylcellulose
      9004-57-3, Ethylcellulose
      9004-65-3, Hydroxypropylmethylcellulose
                                               13669-70-0, Nefopam
      14521-96-1, Etorphine 20594-83-6, Nalbuphine 33665-90-6, Acesulfam
      42408-82-2, Butorphanol 51931-66-9, Tilidine
                                                     52485-79-7,
                     53648-55-8, Dezocine 54340-58-8, Meptazinol
      Buprenorphine
                                                       71195-58-9, Alfentanil
      56030-54-7, Sufentanil 56995-20-1, Flupirtine
      132875-61-7, Remifentanil
                                               433265-65-7
                                                             433936-13-1
                                 175591-23-8
      433936-14-2
                   433936-19-7
                                 433936-20-0
                                               454221-04-6
                                                             454221-07-9
      454221-08-0
                   454221-09-1
                                 454221-10-4
                                               454221-11-5
                                                             454221-12-6
      454237-31-1
                   454472-56-1
        (pharmaceutical salts containing artificial sweeteners)
L9
    ANSWER 25 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                       2005:202258 USPATFULL
TITLE:
                       Patch
INVENTOR(S):
                       Suzuki, Tatsuaki, Ibaraki, JAPAN
                       Tateishi, Tetsuro, Ibaraki, JAPAN
                       Higo, Naruhito, Ibaraki, JAPAN
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	· ·			
	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2005175676	A1	20050811	
APPLICATION INFO.:	US 2003-517468	A1	20030606	(10)
	WO 2003-JP7173		20030606	

NUMBER DATE ______ JP 2002-167514 20020607

PRIORITY INFORMATION:

Utility

DOCUMENT TYPE: FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

Licata & Tyrrell, 66 East Main Street, Marlton, NJ,

08053, US

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

12

1

LINE COUNT:

774

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to a patch which is free from any AB migration of a drug into a substrate and has favorable anchoring properties between the substrate and a adhesive layer, in which the drug-containing adhesive layer firmly adheres onto the substrate and which gives no adhesive residue when applied to the skin and then peeled off. Namely, a patch comprising a substrate made of a polyester-based film and a drug-containing adhesive layer laminated thereon wherein the surface roughness of the polyester-based film surface in the side in contact with the adhesive layer is from 0.05 to 0.8 μmRa is provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Isoprene-styrene rubber

(block, triblock; patches having polyester films with specified surface roughness and drug-containing adhesive layers)

TΤ Human

TΨ Narcotics

> (patches having polyester films with specified surface roughness and drug-containing adhesive layers)

ΙT Isobutylene rubber

ΙT Polyesters, biological studies (patches having polyester films with specified surface roughness and drug-containing adhesive layers) ΙT Drug delivery systems (tapes; patches having polyester films with specified surface roughness and drug-containing adhesive layers) ΙT 9003-27-4 (isobutylene rubber, patches having polyester films with specified surface roughness and drug-containing adhesive layers) ΙT 105729-79-1 700836-36-8 (isoprene-styrene rubber, block, triblock; patches having polyester films with specified surface roughness and drug-containing adhesive layers) 437-38-7, Fentanyl 990-73-8, Fentanyl citrate 25038-59-9, PET, ITbiological studies (patches having polyester films with specified surface roughness and drug-containing adhesive layers) ANSWER 26 OF 55 USPATFULL on STN ACCESSION NUMBER: 2005:124963 USPATFULL TITLE: Methods of treating lower urinary tract disorders using losigamone INVENTOR(S): Burgard, Edward C., Chapel Hill, NC, UNITED STATES Thor, Karl Bruce, Morrisville, NC, UNITED STATES Fraser, Matthew Oliver, Apex, NC, UNITED STATES Dynogen Pharmaceuticals, Inc., Boston, MA, UNITED PATENT ASSIGNEE(S): STATES (U.S. corporation) NUMBER KIND DATE ______ PATENT INFORMATION: US 2005107353 A1 20050519 APPLICATION INFO.: US 2004-965304 A1 20041014 (10) RELATED APPLN. INFO.: Continuation of Ser. No. US 2004-769072, filed on 30 Jan 2004, PENDING DATE NUMBER ______ PRIORITY INFORMATION: US 2003-443632P 20030130 (60) US 2003-443709P 20030130 (60) US 2003-480321P 20030620 (60) US 2003-480597P 20030620 (60) US 2003-496005P 20030818 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000, US NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 14 Drawing Page(s) LINE COUNT: 3623 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AB The invention relates to methods of using sodium channel modulators, preferably Losigamone or a pharmaceutically acceptable salt, enantiomer, analog, ester, amide, prodrug, metabolite, or derivative thereof, to treat painful and non-painful lower urinary tract disorders, particularly painful and non-painful overactive bladder with and/or without loss of urine. CAS INDEXING IS AVAILABLE FOR THIS PATENT. 5-HT antagonists

(5-HT3; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Prostate gland, disease

(benign hyperplasia; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Hyperplasia

(benign prostatic; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Drug delivery systems

(buccal; methods of treating lower urinary tract disorders using sodium

channel modulators) IΤ Drug delivery systems (capsules; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (controlled-release; methods of treating lower urinary tract disorders using sodium channel modulators) Bladder, disease TΤ Inflammation IT(cystitis; methods of treating lower urinary tract disorders using sodium channel modulators) Drug delivery systems IT (granules; methods of treating lower urinary tract disorders using sodium channel modulators) ITBreathing (animal) (inhalation; methods of treating lower urinary tract disorders using sodium channel modulators) IT Urinary tract (lower; methods of treating lower urinary tract disorders using sodium channel modulators) Adrenoceptor agonists TΤ ΙT Bladder, disease ΙT Cholinergic antagonists ΙT Drug delivery systems ΙT Human ΙT Prostate gland (methods of treating lower urinary tract disorders using sodium channel modulators) TΤ Sodium channel (methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Bradykinin receptors ΙT Semicarbazones IT : Tachykinin receptors (methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (nasal; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (parenterals; methods of treating lower urinary tract disorders using sodium channel modulators) TΤ Drug delivery systems (pellets; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (powders; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (prodrugs; methods of treating lower urinary tract disorders using sodium channel modulators) IT Inflammation ΙT Prostate gland, disease (prostatitis; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (rectal; methods of treating lower urinary tract disorders using sodium channel modulators) ITDrug delivery systems (solns.; methods of treating lower urinary tract disorders using sodium channel modulators) ITMuscle relaxants (spasmolytics; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (sublingual; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (suspensions; methods of treating lower urinary tract disorders using

sodium channel modulators)

ΙT Drug delivery systems

(sustained-release; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Drug delivery systems

(syrups; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Drug delivery systems

> (tablets; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Drug delivery systems

> (topical; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Drug delivery systems

(transdermal; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT Antidepressants

> (tricyclic; methods of treating lower urinary tract disorders using sodium channel modulators)

ΙT 10102-43-9, Nitric oxide, biological studies

(methods of treating lower urinary tract disorders using sodium channel modulators)

104-06-3, Thiosemicarbazone 298-46-4, Carbamazepine 728-88-1, ΙT 31828-71-4, Mexiletine 42971-09-5, Vinpocetine Tolperisone 60142-96-3, Gabapentin 84057-84-1, Lamotrigine 93413-69-5, 97240-79-4, Topiramate 112856-44-7, Losigamone Venlafaxine 116539-59-4, Duloxetine 130800-90-7, Sipatrigine 148553-50-8, Pregabalin

> (methods of treating lower urinary tract disorders using sodium channel modulators)

T, 9 ANSWER 27 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2005:118359 USPATFULL

Remedial agent for myelopathic disease TITLE:

INVENTOR(S): Tonai, Takeharu, Kagawa, JAPAN

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	2005101633	A1	20050512	
APPLICATION INFO.:	US	2003-477716	A1	20020516	(10)
	WO	2002-JP4731		20020516	

NUMBER DATE

PRIORITY INFORMATION: DOCUMENT TYPE:

JP 2001-147230 Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE:

SUGHRUE MION, PLLC, 2100 PENNSYLVANIA AVENUE, N.W.,

20010517

SUITE 800, WASHINGTON, DC, 20037, US

NUMBER OF CLAIMS:

5 1

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

5 Drawing Page(s)

LINE COUNT:

704

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A remedy and/or preventive for diseases due to myelopathy AB which comprises as the active ingredient a compound represented by the general formula (I): ##STR1## (wherein Y represents sulfonyl or carbonyl; R.sup.1 and R.sup.2 each represents hydrogen, alkyl, or --X-A-(R.sup.4).sub.n or NR.sup.1R.sup.2 represents a heterocycle; R.sup.3 represents OH, alkyl, etc.; and m is an integer of 0 to 4), a non-toxic salt of the compound, or a hydrate of the compound. The compound represented by the general formula (I), non-toxic salt, and hydrate are useful for the treatment and/or prevention of diseases due to myelopathy, e.g., spinal cord injury, spinal cord ischemia-reprefusion injury, or central nervous system disorders accompanying these.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Nervous system, disease IT

(central, accompanied by myelopathic diseases; p-

(pivaloyloxy)benzenesulfonamide or -benzamide derivative having

elastase-inhibitory activity as remedial agent for myelopathic disease)

ΙT Reperfusion

(injury, spinal code ischemia-reperfusion injury; p-

(pivaloyloxy)benzenesulfonamide or -benzamide derivative having

elastase-inhibitory activity as remedial agent for myelopathic disease)

ΙT Nervous system agents

Spinal cord, disease ΙT

> (p-(pivaloyloxy)benzenesulfonamide or -benzamide derivative having elastase-inhibitory activity as remedial agent for myelopathic disease)

ΙT

(reperfusion, spinal code ischemia-reperfusion injury;

p-(pivaloyloxy)benzenesulfonamide or -benzamide derivative having

elastase-inhibitory activity as remedial agent for myelopathic disease)

IT9004-06-2, Elastase

(inhibitor; p-(pivaloyloxy)benzenesulfonamide or -benzamide derivative having elastase-inhibitory activity as remedial agent for myelopathic

disease)

ΙT 201677-61-4

> (p-(pivaloyloxy)benzenesulfonamide or -benzamide derivative having elastase-inhibitory activity as remedial agent for myelopathic disease)

L9 . ANSWER 28 OF 55 USPATFULL on STN

2005:93434 USPATFULL ACCESSION NUMBER: TITLE: Medicinal compositions

INVENTOR(S): Ohkawa, Shigenori, Takatsuki-shi, JAPAN

Naruo, Ken-ichi, Sanda-shi, JAPAN

Morimoto, Shigeru, Tondabayashi-shi, JAPAN

Miwatashi, Seiji, Ikeda-shi, JAPAN

NUMBER KIND DATE _______ US 2005080113 A1 20050414 US 2003-480551 A1 20020610 (10) WO 2002-JP5726 20020610 PATENT INFORMATION: APPLICATION INFO.:

NUMBER DATE -----PRIORITY INFORMATION: JP 2001-175224 20010611 20010611

JP 2001-175273 DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL

PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,

LINCOLNSHIRE, IL, 60069, US

NUMBER OF CLAIMS: 26 EXEMPLARY CLAIM: LINE COUNT: 17868

AB The present invention relates to an agent for the prophylaxis or

treatment of pain, an agent for suppressing activation of

osteoclast, and an inhibitor of osteoclast formation, which contains a

p38 MAP kinase inhibitor and/or a TNF- α production inhibitor.

1.9 ANSWER 29 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2005:75875 USPATFULL

TITLE: Combinations

INVENTOR(S): Field, Mark John, Sandwich, UNITED KINGDOM

Williams, Richard Griffith, Sandwich, UNITED KINGDOM

NUMBER KIND DATE -----US 2005065176 A1 20050324 US 2004-936416 A1 20040908 (10) PATENT INFORMATION:

APPLICATION INFO.:

NUMBER DATE -----

PRIORITY INFORMATION: GB 2003-22140 20030922

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION
LEGAL REPRESENTATIVE: WARNER-LAMBER

EGAL REPRESENTATIVE: WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR,

MI, 48105

NUMBER OF CLAIMS: 14
EXEMPLARY CLAIM: 1
LINE COUNT: 2441

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention relates to a combination of an alpha-2-delta ligand and an AChE inhibitor for use in therapy, particularly in the treatment of pain, particularly neuropathic pain. Particularly preferred alpha-2-delta ligands are gabapentin and pregabalin. Particularly preferred ACHE inhibitors are donepezil (Aricept®), tacrine (cognex®), rivastigmine (Exelon®), physostgmine (Synapton®), galantamine (Reminyl), metrifonate (Promem), neostigmine (Prostigmin) and icopezil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Drug delivery systems

(capsules, controlled-release; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(capsules, enteric-coated; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha\text{-}2\text{-}\delta$ receptor ligands)

IT Drug delivery systems

(capsules; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(controlled-release; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(injections, i.m.; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(injections, i.v.; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Pain

(neuropathic; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(suppositories; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and α -2- δ receptor ligands)

IT Drug delivery systems

(syrups; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(tablets, enteric-coated; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Drug delivery systems

(tablets; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha\text{-}2\text{-}\delta$ receptor ligands)

IT Drug delivery systems

(transdermal; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT Calcium channel

 $(\alpha-2-\delta$ subunits; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha-2-\delta$ receptor ligands)

IT 357-70-0D, Galantamine, derivs.

(SPH 1371, 1373 and 1375; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and $\alpha\text{-}2\text{-}\delta$ receptor ligands)

IT 9000-81-1, Acetylcholine esterase

(inhibitor; pharmaceuticals containing combinations of acetylcholine esterase inhibitor and α -2- δ receptor ligands)

```
ΙT
      180694-97-7
         (pharmaceuticals containing combinations of acetylcholine esterase
        inhibitor and -2- receptor ligands)
                                                                       107-82-4,
ΙT
      105-42-0, 4-Methyl-2-hexanone
                                      105-56-6, Ethyl cyanoacetate
      1-Bromo-3-methylbutane
                                540-88-5, tert-Butyl acetate
                                                                547-63-7, Methyl
                                                                5292-43-3,
                    2746-14-7, 1-Methylcyclopropanemethanol
                                                                       6196-80-1,
      tert-Butyl bromoacetate 5497-67-6, 2,2-Dimethylpent-4-enal
                                7540-51-4, (S)-(-)-Citronellol
                                                                  14447-18-8,
      1-Iodo-4-methylpentane
                             17480-69-2, (S)-N-Benzyl-\alpha-methylbenzylamine
      Benzyl cyanoacetate
                   50902-80-2, 4,4-Dimethyl heptanoic acid
                                                              62327-21-3,
      34813-49-5
      tert-Butyl-P,P-dimethyl phosphonoacetate
                                                  77943-39-6
                                                                93381-28-3,
      (R) -3-Bromo-2-methylpropanol
                                      94471-35-9, N-Methoxymethyl benzyl
                  143615-81-0, (S)-Citronellyl bromide
                                                          610300-52-2,
      carbamate
      (S)-3-Methyl hex-4-enoic acid ethyl ester
                                                   610300-61-3
        (pharmaceuticals containing combinations of acetylcholine esterase
        inhibitor and \alpha-2-\delta receptor ligands)
                    52745-93-4P, (R)-4-Methylhexanoic acid
IT
      13955-70-9P
                                                               53353-03-0P
      55505-25-4P, 2,2,6-Trimethylheptan-1-ol
                                                 59983-44-7P, (R) -2, 6-Dimethyl
                    81291-39-6P, 2,2,6-Trimethylheptanoic acid methyl ester
      heptan-1-ol
      86534-82-9P, (R)-1-Iodo-2,6-dimethyl heptane
                                                                     115109-01-8P
                                                      86534-85-2P
      128342-71-2P, (R)-4-Methyloctanoic acid
                                                 171627-77-3P
                                                                 208836-20-8P
      313653-09-7P
                     313653-10-0P
                                     313653-11-1P
                                                    313653-16-6P
                                                                    313653-17-7P
                     313653-19-9P
      313653-18-8P
                                     313653-37-1P
                                                    313653-38-2P
                                                                    313653-39-3P
                     610300-02-2P
      610300-01-1P
                                     610300-35-1P
                                                    610300-36-2P
                                                                    610300-37-3P
                     610300-39-5P
      610300-38-4P
                                     610300-40-8P
                                                    610300-41-9P
                                                                    610300-42-0P
      610300-43-1P
                     610300-44-2P
                                     610300-45-3P
                                                    610300-46-4P
                                                                    610300-47-5P
      610300-48-6P
                     610300-49-7P
                                     610300-50-0P
                                                    610300-51-1P
                                                                    610300-54-4P
      610300-56-6P
                     610300-57-7P
                                     610300-58-8P
                                                    610300-59-9P
                                                                    610300-60-2P
      610300-62-4P
                     761399-91-1P, 2-Aminomethyl-4,4-dimethylheptanoic acid
      ethyl ester
                    848347-52-4P
                                    848347-53-5P
                                                   848347-59-1P
        (pharmaceuticals containing combinations of acetylcholine esterase
        inhibitor and \alpha-2-\delta receptor ligands)
IΤ
      313651-33-1P, (3S,5R)-3-Aminomethyl-5-methyloctanoic acid
                                                                    610300-00-0P
      610300-04-4P
                     610300-05-5P
                                     610300-06-6P
                                                    610300-07-7P,
                                               610300-08-8P,
      (3S, 5R) -3-Amino-5-methyloctanoic acid
      2-Aminomethyl-8-methylnonanoic acid
                                            610300-10-2P
                                                             610300-11-3P
      610300-12-4P
                     610300-13-5P 610300-14-6P
                                                    610300-15-7P
                                                                   610300-19-1P,
      (3S, 5R) -3-Amino-5-methylheptanoic acid
                                               610300-20-4P,
      (3S,5R)-3-Amino-5-methylnonanoic acid
                                               610300-30-6P
                                                               610300-32-8P
      664345-46-4P
                     848347-54-6P
        (pharmaceuticals containing combinations of acetylcholine esterase
        inhibitor and \alpha-2-\delta receptor ligands)
      52-68-6, Promem 57-47-6, Synapton
TΨ
                                             59-99-4, Prostigmin
                                                                    321-64-2,
                357-70-0, Galantamine
                                        1684-40-8, Cognex
                                                             1953-04-4, Reminyl
      60142-96-3, Gabapentin
                                                        90043-86-0, Amiridin
                               62732-44-9, Ipidacrine
                                 101246-66-6, Phenserine
      98833-92-2, Stacofylline
                                                            101246-68-8,
      Eptastigmine
                     102518-79-6, Huperzine A 118909-22-1, Mentane
      120011-70-3, Aricept
                             120014-06-4, Donepezil
                                                       123441-03-2, Exelon
      124027-47-0, Velnacrine
                                132236-18-1, Zifrosilone
                                                            142852-50-4,
                  142852-51-5, TAK 147
                                         145209-30-9, Tolserine
      Zanapezil
                                                                    145209-50-3,
                      145508-78-7, Icopezil
      Thiatolserine
                                               147606-23-3, CHF 2060
                    148553-50-8, Pregabalin
                                               149028-28-4, CI 1002
      148261-35-2
      154619-76-8, MF 247
                            209394-46-7, TV 3326
                                                    223445-75-8,
      (3S, 4S)-(1-Aminomethyl-3, 4-dimethylcyclopentyl)acetic acid
                                                                     227625-35-6,
      3-(1-Aminomethylcyclohexylmethyl)-4H-[1,2,4]-oxadiazol-5-one
      227626-51-9, C-[1-(1H-Tetrazol-5-ylmethyl)-cycloheptyl]methylamine
      252264-92-9, T 82
                          263175-47-9, Huperzine X 273930-29-3, SPH 1286
      290308-82-6, ER 127528
                               335458-65-6, (1\alpha, 3\alpha, 5\alpha)-(3-
      Aminomethylbicyclo[3.2.0]hept-3-yl)acetic acid
                                                        402842-81-3, MF 8615
      444667-97-4, RS 1259
                             473924-33-3
                                           848347-50-2
                                                          848347-51-3
      848442-09-1, E 2030
                            848442-10-4, MF 268 bitartrate hydrate
        (pharmaceuticals containing combinations of acetylcholine esterase
        inhibitor and \alpha-2-\delta receptor ligands)
     ANSWER 30 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                        2005:36874 USPATFULL
                        Oleaginous pharmaceutical and cosmetic foam
TITLE:
INVENTOR(S):
                        Tamarkin, Dov, Maccabim, ISRAEL
                        Friedman, Doron, Karmei Yosef, ISRAEL
```

Eini, Meir, Ness Ziona, ISRAEL Besonov, Alex, Rehovet, ISRAEL

PATENT ASSIGNEE(S): Foamix Ltd., Ness Ziona, ISRAEL (non-U.S. corporation)

PATENT INFORMATION: US 2005031547 A1 20050210 APPLICATION INFO.: US 2004-835505 A1 20040428 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2003-530015P 20031216 (60)

US 2003-492385P 20030804 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WILMER CUTLER PICKERING HALE AND DORR LLP, 60 STATE

STREET, BOSTON, MA, 02109

NUMBER OF CLAIMS: 69
EXEMPLARY CLAIM: 1
LINE COUNT: 2357

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The invention relates to stable oleaginous cosmetic or therapeutic foam compositions containing certain active agents, having unique therapeutic properties and methods of treatment using such compositions. The foamable composition includes at least one solvent selected from a hydrophobic solvent, a silicone oil, an emollient, a co-solvent, and mixtures thereof, wherein the solvent is present at a concentration of about 70% to about 96.5% by weight of the total composition, at least a non-ionic surface-active agent at a concentration of about 0.1% to less than about 10% by weight of the total composition; at least one gelling agent at a concentration of about 0.1% to about 5% by weight of the total composition; a therapeutically effective amount of at least one active agent; and at least one liquefied or compressed gas propellant, at a concentration of about 3% to about 25% by weight of the total composition.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

- IT Allergy inhibitors
- IT Anti-inflammatory agents
- IT Antibacterial agents
- IT Antioxidants
- IT Antitumor agents
- IT Antiviral agents
- IT Gelation agents
- IT Human
- IT Insect repellents
- IT Insecticides
- IT Parasiticides
- IT Photodynamic therapy
- IT Propellants (sprays and foams)
- IT Psoriasis
- IT Radical scavengers
- IT Sunscreens
- IT Surfactants
 - (alc.-free foams for topical and mucosal delivery of active agents)
- IT Fatty acids, biological studies
- IT Hormones, animal, biological studies
- IT Lysophosphatidic acids
- IT Monoglycerides
- IT Paraffin oils
- IT Polysiloxanes, biological studies
- IT Retinoids
- IT Soybean oil
- IT Thiols, biological studies
 - (alc.-free foams for topical and mucosal delivery of active agents)
- IT Dermatitis
- (atopic; alc.~free foams for topical and mucosal delivery of active
 agents)
- IT Ulcer

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(cutaneous, treatment of; alc.-free foams for topical and mucosal
        delivery of active agents)
IT
      Skin, disease
         (decubitus ulcer, treatment of; alc.-free foams for topical and mucosal
        delivery of active agents)
      Ulcer
IT
         (decubitus, treatment of; alc .- free foams for topical and mucosal
        delivery of active agents)
      Alcohols, biological studies
IΤ
        (fatty; alc.-free foams for topical and mucosal delivery of active
        agents)
      Cosmetics
IΤ
      Drug delivery systems
ΙT
        (foams; alc.-free foams for topical and mucosal delivery of active
        agents)
TΤ
      Hair preparations
        (growth stimulants; alc.-free foams for topical and mucosal delivery of
        active agents)
ΤT
      Carboxylic acids, biological studies
        (hydroxy; alc.-free foams for topical and mucosal delivery of active
        agents)
      Skin, disease
IT
        (ichthyosis; alc.-free foams for topical and mucosal delivery of active
        agents)
TΤ
      Anesthetics
        (local; alc.-free foams for topical and mucosal delivery of active
        agents)
IT
      Fats and Glyceridic oils, biological studies
        (marine; alc.-free foams for topical and mucosal delivery of active
IT
      Glycerides, biological studies
        (medium-chain; alc.-free foams for topical and mucosal delivery of
        active agents)
ΙT
      Drug delivery systems
        (mucosal; alc.-free foams for topical and mucosal delivery of active
        agents)
ΙT
      Anti-inflammatory agents
        (nonsteroidal; alc.-free foams for topical and mucosal delivery of
        active agents)
ΙT
      Skin, disease
        (pigmentation, treatment of; alc.-free foams for topical and mucosal
        delivery of active agents)
ΙT
      Skin, disease
        (rosacea, treatment of; alc.-free foams for topical and mucosal
        delivery of active agents)
ΙT
      Cosmetics
        (skin-lightening; alc.-free foams for topical and mucosal delivery of
        active agents)
IΤ
      Amino acids, biological studies
        (sulfur-containing; alc.-free foams for topical and mucosal delivery of
        active agents)
ΙT
      Drug delivery systems
        (topical; alc.-free foams for topical and mucosal delivery of active
        agents)
ΙT
      Acne
ΙT
      Allergy
ΙT
      Autoimmune disease
ΙT
      Burn
ΙT
      Dermatitis
ΙT
      Neoplasm
ΙT
      Wound
        (treatment of; alc.-free foams for topical and mucosal delivery of
        active agents)
ΙT
      Skin, disease
        (ulcer, treatment of; alc.-free foams for topical and mucosal delivery
        of active agents)
ΙT
      Fats and Glyceridic oils, biological studies
        (vegetable; alc.-free foams for topical and mucosal delivery of active
        agents)
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ΙT
      Cosmetics
        (wrinkle-preventing; alc.-free foams for topical and mucosal delivery
        of active agents)
IT
      Skin, disease
        (xerosis; alc.-free foams for topical and mucosal delivery of active
        agents)
TΤ
      Interferons
        (a; alc.-free foams for topical and mucosal delivery of active
        agents)
      50-21-5, Lactic acid, biological studies 50-81-7, L-Ascorbic acid,
IT
      biological studies 57-50-1D, Sucrose, esters 58-08-2, Caffeine,
                         58-55-9, Theophylline, biological studies
     biological studies
      Nicotinic acid, biological studies 68-26-8, Retinol 69-72-7,
      Salicylic acid, biological studies 79-14-1, Glycolic acid, biological
      studies 79-81-2, Retinyl palmitate 79-83-4, Vitamin B3
                                                                 83-86-3,
      Phytic acid
                   96-26-4, Dihydroxyacetone 98-92-0, Niacinamide
      108-46-3, Resorcinol, biological studies 108-95-2, Phenol, biological
               110-27-0, Isopropyl myristate 111-90-0, Transcutol P
      112-92-5, Stearyl alcohol 116-31-4, Retinal 127-47-9, Retinyl acetate
      151-21-3, Sodium lauryl sulfate, biological studies
                                                          302-79-4, Retinoic
      acid 497-76-7, Arbutin 501-30-4, Kojic acid 1986-81-8, Nicotinamide
      N-oxide 2398-81-4, Nicotinic acid N-oxide 6493-05-6, Pentoxyphyllin
      9004-99-3, Myrj 49 9005-67-8, Polysorbate 60 9006-65-9, Dimethicone
           31566-31-1, Glyceryl monostearate 43119-47-7, Tocopheryl
     nicotinate 57828-26-9, Lipoic acid
        (alc.-free foams for topical and mucosal delivery of active agents)
ΙT
      50-23-7, Hydrocortisone 55-56-1, Chlorohexidine 76-25-5,
      Triamcinolone acetonide 134-62-3, DEET 137-58-6, Lidocaine
      443-48-1, Metronidazole 637-58-1, Pramoxine hydrochloride 1177-87-3,
     Dexamethasone acetate 1400-61-9, Nystatin 1405-10-3, Neomycin sulfate
     1405-20-5, Polymixin B sulfate 1405-89-6, Bacitracin zinc
                                                                  2002-29-1,
     Flumetasone pivalate 2152-44-5, Betamethasone valerate 5593-20-4,
     Betamethasone dipropionate 6990-06-3, Fusidic acid 7553-56-2, Iodine,
     biological studies 9005-65-6, Tween 80
                                              12650-69-0, Mupirocin
     23593-75-1, Clotrimazole 59277-89-3, Acyclovir 91161-71-6,
     Terbinafine
        (alc.-free foams for topical and mucosal delivery of active agents)
L9
    ANSWER 31 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                       2004:328051 USPATFULL
TITLE:
                       Bicyclic compound, production and use thereof
INVENTOR(S):
                       Shiraishi, Mitsuru, Amagasaki-shi, JAPAN
                       Baba, Masanori, Kagoshima-shi, JAPAN
                       Aikawa, Katsuji, Takatsuki-shi, JAPAN
                       Kanzaki, Naoyuki, Ibaraki-shi, JAPAN
                       Seto, Masaki, Ibaraki-shi, JAPAN
                       Iizawa, Yuji, Muko-shi, JAPAN
                            NUMBER
                                    KIND DATE
                       US 2004259876
PATENT INFORMATION:
                                         A1
                                              20041223
                                         A1
APPLICATION INFO.:
                                              20040123
                                                        (10)
                       WO 2002-JP8043
                                              20020807
                             NUMBER
                                       DATE
                       JP 2001-240750
PRIORITY INFORMATION:
                                         20010808
                       JP 2002-66809
                                        20020312
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       APPLICATION
LEGAL REPRESENTATIVE:
                       Mark Chao, Intellectual Property Department, Takeda
                       Pharmaceutical North America Inc, 475 Half Day Road
                       Suite 500, Lincolnshire, IL, 60069
NUMBER OF CLAIMS:
                       56
EXEMPLARY CLAIM:
                       1
                       6791
LINE COUNT:
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AB The present invention provides a new cyclic compound having a CCR antagonist activity, especially a CCR5 antagonist activity, and the use

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

thereof. The compound of the present invention is represented by the formula: ##STR1##

wherein, R.sup.1 is a 5- to 6-membered ring group which may be substituted; X.sup.1 is a bond or the like; ring A is a 5- to 6-membered ring group which may be substituted; ring B is a 8- to 10-membered ring group which may be substituted; X.sup.2 is a bivalent group of 1 to 4 atoms; Z.sup.1 is a bivalent cyclic ring group or the like; Z.sup.2 is a bond or the like; and R.sup.2 is an amino group, a nitrogen-containing heterocyclic group which may be substituted or the like, or a salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Chemokine receptors

(CCR2, antagonists; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Chemokine receptors

(CCR5, antagonists; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Chemokine receptors

(antagonists; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Kidney, disease

(chronic nephritis; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Drug delivery systems

(for benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Transplant and Transplantation

(graft-vs.-host reaction; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Heart, disease

(infarction; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT Brain, disease

(ischemia; preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT AIDS (disease)

IT Allergy

IT Allergy inhibitors

IT Anti-AIDS agents

IT Antiarteriosclerotics

IT Antirheumatic agents

IT Arteriosclerosis

IT Autoimmune disease

IT Human

IT Immunomodulators

IT Rheumatoid arthritis

IT Transplant rejection

(preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

TT 3056-17-5, Stavudine 7481-89-2, Zalcitabine 30516-87-1, Zidovudine 37205-61-1, Protease inhibitor 69655-05-6, Didanosine 127779-20-8, Saquinavir 129618-40-2, Nevirapine 134678-17-4, Lamivudine 136470-78-5, Abacavir 136817-59-9, Delavirdine 150378-17-9, Indinavir 154598-52-4, Efavirenz 155213-67-5, Ritonavir 159989-64-7, Nelfinavir 161814-49-9, Amprenavir

(combined with benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

IT 497223-17-3P, 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide 497223-21-9P, 8-[4-(2-Butoxyethoxy)phenyl]-1-

```
isobutyl-N-[4-[[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenyl]-
  1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide 497223-31-1P,
  8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-propylimidazol-2-
  yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
  497223-35-5P, 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-phenyl-N-[4-[[(1-4-1)phenyl-1-phenyl-1-phenyl-N-[4-[[(1-4-1)phenyl-1-phenyl-1-phenyl-N-[4-[[(1-4-1)phenyl-1-phenyl-1-phenyl-1-phenyl-N-[4-[[(1-4-1)phenyl-1-phenyl-1-phenyl-N-[4-[[(1-4-1)phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phen
 propylimidazol-2-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
 benzazocine-5-carboxamide
                                                                                         497223-56-0P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
  (2-methyl-3-hydroxypropyl)-N-[4-[[(1-propylimidazol-5-
 y1) methyl] sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
  497223-80-0P, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[(4-propyl-4H-
  1,2,4-triazol-3-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
                                                                                         497223-86-6P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
 benzazocine-5-carboxamide
 propyl-N-[4-[[(4-propyl-4H-1,2,4-triazol-3-yl)methyl]sulfinyl]phenyl]-
  1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
        (drug candidate, chromatog. resolution; preparation of benzazocinecarboxamides
        and related bicyclic compds. as CCR-5 antagonists for use against HIV
        infectious and other diseases)
  497223-23-1P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-phenyl]-1-propyl-N-[4-[[(1-4-1)phenyl]-1-phenyl]-1-phenyl-N-[4-[[(1-4-1)phenyl]-1-phenyl-1-phenyl-N-[4-[[(1-4-1)phenyl]-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-
 propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
                                                                                           497223-25-3P, (-)-8-[4-(2-
 benzazocine-5-carboxamide
 Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-propyl-1H-imidazol-5-
 yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
        (drug candidate; preparation of benzazocinecarboxamides and related bicyclic
        compds. as CCR-5 antagonists for use against HIV infectious and other
        diseases)
 497223-24-2P, (+)-8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl]-1-propyl-N-[4-[(1-4-1)phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-phenyl-1-ph
 propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
 benzazocine-5-carboxamide
                                                                                           497223-26-4P, (+)-8-[4-(2-
 Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-propyl-1H-imidazol-5-
 yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
 497223-32-2P, (+)-8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-
 propylimidazol-2-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
 benzazocine-5-carboxamide
                                                                                           497223-33-3P, (-)-8-[4-(2-
 Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-propylimidazol-2-
 yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
        (drug candidate; preparation of benzazocinecarboxamides and related bicyclic
       compds. as CCR-5 antagonists for use against HIV infectious and other
       diseases)
 497223-16-2P, 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-
 propylimidazol-5-yl)methyl]sulfanyl]phenyl]-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxamide
                                                                                           497223-20-8P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
 isobutyl-N-[4-[[(1-propyl-1H-imidazol-5-yl)methyl]sulfanyl]phenyl]-
 1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide 497223-34-4P,
 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-propylimidazol-2-
 yl)methyl]sulfanyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
 497223-53-7P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-formyl-N-[4-[[(1-
propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxamide
                                                                                     497223-54-8P, (-)-8-[4-(2-
 Butoxyethoxy)phenyl]-N-[4-[[(1-propylimidazol-5-
 yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
 497223-55-9P
                                                 497223-58-2P, Ethyl 4-[2-[[[4-[[[8-[4-(2-
butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4-tetrahydro-1-benzazocine-5-
yl]carbonyl]amino]phenyl]sulfanyl]methyl]imidazol-1-yl]butanoate
 497223-64-0P, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(4-methyl-1-
propylimidazol-5-yl)methyl]sulfanyl]phenyl]-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxamide
                                                                                      497223-67-3P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
isobutyl-N-[3-methyl-4-[[(1-propylimidazol-5-yl)methyl]sulfanyl]phenyl]-
1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                                                              497223-70-8P,
8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-1-isobutyl-N-[3-methyl-
propylimidazol-5-yl)methyl]sulfanyl]phenyl]-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxamide
                                                                                         497223-79-7P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
isobutyl-N-[4-[(4-propyl-4H-1,2,4-triazol-3-yl)methyl]thio]phenyl]-
1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                                                           497223-84-4P,
8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(4-propyl-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,2,4-triazol-3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H-1,3-4H
yl)methyl]thio]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
(methylamino) -4-oxobutyl]imidazol-2-yl]methyl]sulfanyl]phenyl]-1,2,3,4-
tetrahydro-1-benzazocine-5-carboxamide
       (drug candidate; preparation of benzazocinecarboxamides and related bicyclic
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compds. as CCR-5 antagonists for use against HIV infectious and other
                     diseases)
ΙT
                497223-11-7P, 8-[4-(2-Butoxyethoxy)phenyl]-N-[4-[[N-methyl-N-
                (tetrahydropyran-4-yl)amino]methyl]phenyl]-3,4-dihydro-2H-1-benzoxocin-5-
               carboxamide
                                                     497223-13-9P, 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-
                [[N-methyl-N-(tetrahydropyran-4-yl)amino]methyl]phenyl]-1,2,3,4-
                tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                               497223-18-4P,
                8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[((1-propylimidazol-5-
                yl)methyl]sulfonyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                497223-22-0P, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-propyl-1H-
                imidazol-5-yl)methyl]sulfonyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-
                                                     497223-27-5P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-
                carboxamide
                [4-[[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-
                tetrahydro-1-benzazocine-5-carboxamide oxalate
                                                                                                                                                 497223-28-6P,
                (S) - (-) - 8 - [4 - (2 - Butoxyethoxy)phenyl] - 1 - isobutyl - N - [4 - [[(1 - propyl - 1H - [(1 - propyl - [(1 - propyl - 1H - [(1 - propyl - [(1 - propyl - 1H - [(1 - propyl - [(1 -
                imidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-
                carboxamide methanesulfonate 497223-36-6P, (+)-8-[4-(2-
                Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-propylimidazol-2-
               yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                497223-37-7P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-
               propylimidazol-2-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
               benzazocine-5-carboxamide
                                                                                         497223-41-3P, (-)-8-[4-(2-
               Butoxyethoxy) phenyl]-1-(2-methyl-2-propen-1-yl)-N-[4-[[(1-propylimidazol-
                5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-
                                                     497223-43-5P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-9-
               carboxamide
               methyl-N-[4-[[(1-propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-
               tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                               497223-45-7P,
                (-)-9-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(1-propylimidazol-5-
               yl)methyl]sulfinyl]phenyl]-2,3,4,5-tetrahydro-1H-1-benzazonine-6-
                                                     497223-47-9P
               carboxamide
                                                                                              497223-49-1P, (-)-10-[4-(2-
               Butoxyethoxy) phenyl] -1-propyl-N-[4-[[(1-propylimidazol-5-
               yl)methyl]sulfinyl]phenyl]-1,2,3,4,5,6-hexahydro-1-benzazecine-7-
               carboxamide
                                                     497223-51-5P, (-)-10-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-
               N-[4-[(1-propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4,5,6-
               hexahydro-1-benzazecine-7-carboxamide
                                                                                                                       497223-60-6P
                                                                                                                                                                     497223-62-8P,
               8-[4-(2-Butoxyethoxy)phenyl]-1-phenyl-N-[4-[[(1-propylimidazol-5-
               yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
               497223-65-1P, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(4-methyl-1-
               propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
               benzazocine-5-carboxamide
                                                                                         497223-68-4P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
               isobutyl-N-[3-methyl-4-[[(1-propylimidazol-5-yl)methyl]sulfinyl]phenyl]-
               1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                                                    497223-71-9P,
               8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-1-isobutyl-N-[3-methyl-4-[[(4-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-N-[3-methyl-4-[1-isobutyl-4-[1-isobutyl-1-isobutyl-N-[3-methyl-4-[1-isobutyl-4-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-1-[1-isobutyl-
               propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
               benzazocine-5-carboxamide
                                                                                          497223-72-0P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
               isobutyl-N-[4-[[methyl(tetrahydro-2H-pyran-4-yl)amino]methyl]phenyl]-
               1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
                                                                                                                                               497223-74-2P,
               (S) - (-) - 1 - 1 sobuty 1 - 8 - [4 - (2 - propoxyethoxy) pheny 1] - N - [4 - [[(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 + 1)]] - N - [4 - [(1 - propy 1 - 1 +
               imidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-
                                                    497223-76-4P, (S)-(-)-8-[4-(2-Propoxyethoxy)phenyl]-1-
               propyl-N-[4-[[(1-propyl-1H-imidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-
               tetrahydro-1-benzazocine-5-carboxamide 497223-77-5P,
               imidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-
               carboxamide methanesulfonate
                                                                                                497223-81-1P, (+)-8-[4-(2-
               Butoxyethoxy) phenyl]-1-isobutyl-N-[4-[[(4-propyl-4H-1,2,4-triazol-3-
               yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
               497223-82-2P, (S)-(-)-8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(4-
              propyl-4H-1,2,4-triazol-3-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
              benzazocine-5-carboxamide
                                                                                         497223-87-7P, (+)-8-[4-(2-
              Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(4-propyl-4H-1,2,4-triazol-3-
              yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxamide
               497223-88-8P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-N-[4-[[(4-propyl-
               4H-1,2,4-triazol-3-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
              benzazocine-5-carboxamide
                                                                                          497223-89-9P, Ethyl 4-[2-[[[4-[[[8-[4-(2-
              butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4-tetrahydro-1-benzazocine-5-
              yl]carbonyl]amino]phenyl]sulfinyl]methyl]imidazol-1-yl]butanoate
              497223-91-3P, (-)-8-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxyethoxy)phenyl]-1-(cyclopropylmethyl)-N-[4-(2-Butoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyethoxyeth
               [[(1-propylimidazol-5-yl)methyl]sulfinyl]phenyl]-1,2,3,4-tetrahydro-1-
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497250-40-5P
                                         497223-92-4P
                                                               497223-93-5P
benzazocine-5-carboxamide
   (drug candidate; preparation of benzazocinecarboxamides and related bicyclic
   compds. as CCR-5 antagonists for use against HIV infectious and other
9068-38-6, Reverse transcriptase
   (inhibitors; combined with benzazocinecarboxamides and related bicyclic
   compds. as CCR-5 antagonists for use against \mbox{HIV} infectious and other
                                                             105-58-8, Diethyl carbonate
60-32-2, 6-Aminohexanoic acid
                                             78-84-2
106-94-5, 1-Bromopropane 123-11-5, 4-Methoxybenzaldehyde, reactions
123-38-6, Propionaldehyde, reactions
                                                        452-63-1, 2-Bromo-5-fluorotoluene
513-38-2, Iodoisobutane 616-38-6, Dimethyl carbonate
                                                                                   660-88-8,
                               675-20-7, 2-Piperidone 824-94-2, 4-Methoxybenzyl
5-Aminovaleric acid
                929-17-9, 7-Aminoheptanoic acid
                                                               1193-02-8, 4-Aminothiophenol
chloride
1458-98-6, 3-Bromo-2-methylpropene
                                                      1489-69-6,
Cyclopropanecarboxaldehyde
                                          1761-61-1, 4-Bromo-2-formylphenol
7239-60-3, Triphenylbismuth diacetate 14660-52-7, Ethyl
                             25016-11-9, 1-Methylpyrazol-4-carboxaldehyde
5-bromopentanoate
32634-68-7, Di-p-toluoyl-D-tartaric acid 53250-11-6,
2-Methyl-3-(tetrahydropyran-2-yloxy)propan-1-ol
                                                                         93777-26-5,
5-Bromo-2-fluorobenzaldehyde 130219-46-4, S-(4-Aminophenyl) O-benzyl
carbonothioate
                        229007-09-4, 4-[[N-Methyl-N-(tetrahydropyran-4-
yl)amino]methyl]aniline
                                      279262-28-1, 4-(2-Butoxyethoxy) phenylboronic
          497223-15-1, 5-Chloromethyl-1-propylimidazole hydrochloride
497223-29-7, 2-Chloromethyl-1-propylimidazole hydrochloride
497223-30-0, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-N-[4-[[(1-
propylimidazol-2-yl)methyl]sulfanyl]phenyl]-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxamide
                                        497223-39-9, (-)-4-[[(1-Propylimidazol-5-
yl)methyl]sulfinyl]aniline di-p-toluoyl-D-tartrate
                                                                             497223-57-1, Ethyl
4-[2-[[(4-aminophenyl)sulfanyl]methyl]imidazol-1-yl]butanoate
497223-63-9, 5-Chloromethyl-4-methyl-1-propylimidazole hydrochloride
497223-78-6, 3-Chloromethyl-4-propyl-4H-1,2,4-triazole
                                                                                   497223-83-3,
3-Chloromethyl-4-propyl-4H-1,2,4-triazole hydrochloride 497224-37-0,
4-Amino-2-methylthiophenol
   (preparation of benzazocinecarboxamides and related bicyclic compds. as
   CCR-5 antagonists for use against HIV infectious and other diseases)
15865-19-7P, 1-Propyl-2-piperidone
                                                    128773-73-9P, 1-(4-
Methoxybenzyl)piperidin-2-one
                                              497223-10-6P, 8-[4-(2-
Butoxyethoxy)phenyl]-3,4-dihydro-2H-1-benzoxocin-5-carboxylic acid
497223-12-8P, 8-[4-(2-Butoxyethoxy)phenyl]-1-propyl-1,2,3,4-tetrahydro-1-
benzazocine-5-carboxylic acid
                                              497223-19-5P, 8-[4-(2-
Butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4-tetrahydro-1-benzazocine-5-
carboxylic acid
                          497223-38-8P, (-)-4-[[(1-Propylimidazol-5-
                                        497223-40-2P, 8-[4-(2-Butoxyethoxy)phenyl]-1-
yl)methyl]sulfinyl]aniline
(2-methyl-2-propen-1-yl)-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylic
          497223-42-4P, 8-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-9-methyl-
1,2,3,4-tetrahydro-1-benzazocine-5-carboxylic acid
                                                                             497223-44-6P,
9-[4-(2-Butoxyethoxy)phenyl]-1-propyl-2,3,4,5-tetrahydro-1H-1-benzazonine-
6-carboxylic acid
                            497223-46-8P, 9-[4-(2-Butoxyethoxy)phenyl]-1-isobutyl-
2,3,4,5-tetrahydro-1H-1-benzazonine-6-carboxylic acid
                                                                                  497223-48-0P,
10-[4-(2-Butoxyethoxy)phenyl]-1-propyl-1, 2, 3, 4, 5, 6-hexahydro-1-
benzazecine-7-carboxylic acid 497223-50-4P, 10-[4-(2-
Butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4,5,6-hexahydro-1-benzazecine-7-
                          497223-52-6P, 8-[4-(2-Butoxyethoxy)phenyl]-1-formyl-
carboxylic acid
1,2,3,4-tetrahydro-1-benzazocine-5-carboxylic acid 497223-59-3P,
8-[4-(2-Butoxyethoxy)phenyl]-1-[(1-methylpyrazol-4-yl)methyl]-1,2,3,4-index and index are also below the second of the second 
tetrahydro-1-benzazocine-5-carboxylic acid 497223-61-7P,
8-[4-(2-Butoxyethoxy)phenyl]-1-phenyl-1,2,3,4-tetrahydro-1-benzazocine-5-
                          497223-66-2P, 3-Methyl-4-[[(1-propylimidazol-5-
carboxylic acid
yl)methyl]sulfanyl]aniline 497223-69-5P, 3-Methyl-4-[[(4-methyl-1-
propylimidazol-5-yl)methyl]sulfanyl]aniline
                                                                 497223-73-1P,
1-Isobutyl-8-[4-(2-propoxyethoxy)phenyl]-1,2,3,4-tetrahydro-1-benzazocine-
5-carboxylic acid
                           497223-75-3P, 8-[4-(2-Propoxyethoxy)phenyl]-1-propyl-
1,2,3,4-tetrahydro-1-benzazocine-5-carboxylic acid
                                                                            497223-94-6P, Ethyl
5-(4-bromo-2-formylphenoxy)pentanoate 497223-95-7P, Ethyl
5-[[4'-(2-butoxyethoxy)-3-formyl-[1,1'-biphenyl]-4-yl]oxy]pentanoate
497223-96-8P, Ethyl 8-[4-(2-butoxyethoxy)phenyl]-3,4-dihydro-2H-1-
benzoxocin-4-carboxylate 497223-97-9P, 5-(4-Bromo-2-formyl-N-
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propylanilino)pentanoic acid 497223-98-0P, Methyl 5-(4-bromo-2-formyl-N-

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497223-99-1P, Methyl 8-bromo-1-propyl-1,2,3,4propylanilino)pentanoate tetrahydro-1-benzazocine-5-carboxylate 497224-00-7P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-propyl-1,2,3,4-tetrahydro-1-benzazocine-5-497224-02-9P, 497224-01-8P, 1-Isobutyl-2-piperidone 5-(4-Bromo-2-formyl-N-isobutylanilino)pentanoic acid 497224-03-0P, Methyl 5-(4-bromo-2-formyl-N-isobutylanilino)pentanoate 497224-04-1P, Methyl 8-bromo-1-isobutyl-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylate 497224-05-2P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4-497224-06-3P, tetrahydro-1-benzazocine-5-carboxylate 5-[(4-Bromo-2-formylphenyl)(4-methoxybenzyl)amino]pentanoic acid 497224-07-4P, Methyl 5-[(4-bromo-2-formylphenyl)(4methoxybenzyl)amino]pentanoate 497224-08-5P, Methyl 8-bromo-1-(4-methoxybenzyl)-1,2,3,4-tetrahydro-1-benzazocine-5-497224-09-6P, Methyl 8-bromo-1,2,3,4-tetrahydro-1carboxylate benzazocine-5-carboxylate 497224-10-9P, Methyl 8-[4-(2butoxyethoxy)phenyl]-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylate 497224-11-0P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-(2-methyl-2-propen-1yl)-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylate 497224-12-1P, 5-Bromo-2-fluoro-4-methylbenzaldehyde 497224-13-2P, 5-[(4-Bromo-2-formyl-5-methylphenyl)(4-methoxybenzyl)amino]pentanoic acid 497224-14-3P, Methyl 5-[(4-bromo-2-formyl-5-methylphenyl)(4methoxybenzyl)amino]pentanoate 497224-15-4P, Methyl 8-bromo-1-(4-methoxybenzyl)-9-methyl-1,2,3,4-tetrahydro-1-benzazocine-5carboxylate 497224-16-5P, Methyl 8-bromo-1-isobutyl-9-methyl-1,2,3,4tetrahydro-1-benzazocine-5-carboxylate 497224-17-6P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-isobutyl-9-methyl-1,2,3,4-tetrahydro-1benzazocine-5-carboxylate 497224-18-7P, 6-[(4-Bromo-2-formylphenyl)(4methoxybenzyl)amino]hexanoic acid 497224-19-8P, Methyl 6-[(4-bromo-2-formylphenyl)(4-methoxybenzyl)amino]hexanoate 497224-20-1P, Methyl 9-bromo-1-(4-methoxybenzyl)-2,3,4,5-tetrahydro-1H-1benzazonine-6-carboxylate 497224-21-2P, Methyl 9-bromo-2,3,4,5tetrahydro-1H-1-benzazonine-6-carboxylate 497224-22-3P, Methyl 9-bromo-1-propyl-2,3,4,5-tetrahydro-1H-1-benzazonine-6-carboxylate 497224-23-4P, Methyl 9-[4-(2-butoxyethoxy)phenyl]-1-propyl-2,3,4,5tetrahydro-1H-1-benzazonine-6-carboxylate 497224-24-5P, Methyl 9-bromo-1-isobutyl-2,3,4,5-tetrahydro-1H-1-benzazonine-6-carboxylate 497224-25-6P, Methyl 9-[4-(2-butoxyethoxy)phenyl]-1-isobutyl-2,3,4,5tetrahydro-1H-1-benzazonine-6-carboxylate 497224-26-7P, 7-[(4-Bromo-2-formylphenyl)(4-methoxybenzyl)amino]heptanoic acid 497224-27-8P, Methyl 7-[(4-bromo-2-formylphenyl)(4methoxybenzyl)amino]heptanoate 497224-28-9P, Methyl 10-bromo-1-(4-methoxybenzyl)-1,2,3,4,5,6-hexahydro-1-benzazecine-7carboxylate 497224-29-0P, Methyl 10-bromo-1,2,3,4,5,6-hexahydro-1benzazecine-7-carboxylate 497224-30-3P, Methyl 10-bromo-1-propyl-1,2,3,4,5,6-hexahydro-1-benzazecine-7-carboxylate 497224-31-4P, Methyl 10-[4-(2-butoxyethoxy)phenyl]-1-propyl-1,2,3,4,5,6-hexahydro-1benzazecine-7-carboxylate 497224-32-5P, Methyl 10-bromo-1-isobutyl-1,2,3,4,5,6-hexahydro-1-benzazecine-7-carboxylate 497224-33-6P, Methyl 10-[4-(2-butoxyethoxy)phenyl]-1-isobutyl-1,2,3,4,5,6-hexahydro-1benzazecine-7-carboxylate 497224-34-7P, Methyl 8-bromo-1-formyl-1,2,3,4tetrahydro-1-benzazocine-5-carboxylate 497224-35-8P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-formyl-1,2,3,4-tetrahydro-1-benzazocine-5carboxylate 497224-36-9P, Methyl 8-[4-(2-butoxyethoxy)phenyl]-1-phenyl-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylate 497224-38-1P, Methyl 1-isobutyl-8-[4-(2-propoxyethoxy)phenyl]-1,2,3,4-tetrahydro-1-benzazocine-497224-39-2P, Methyl 8-[4-(2-propoxyethoxy)phenyl]-1-5-carboxylate propyl-1,2,3,4-tetrahydro-1-benzazocine-5-carboxylate (preparation of benzazocinecarboxamides and related bicyclic compds. as CCR-5 antagonists for use against HIV infectious and other diseases)

L9 ANSWER 32 OF 55 USPATFULL on STN ACCESSION NUMBER: 2004:320623 US

TITLE:

INVENTOR(S):

2004:320623 USPATFULL Stable emulsion composition Sato, Jun, Hyogo, JAPAN Taira, Hikaru, Osaka, JAPAN Nara, Eiji, Hyogo, JAPAN Stevens, Harold Jack, Garner, NC, UNITED STATES

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A1
PATENT INFORMATION:
                        US 2004253276
                                                 20041216
                        US 2004-485637
                                          A1 20040805
                                                          (10)
APPLICATION INFO.:
                        WO 2001-US24487
                                                 20010803
                        Utility
DOCUMENT TYPE:
                        APPLICATION
FILE SEGMENT:
LEGAL REPRESENTATIVE:
                        Takeda Pharmaceuticals North America Inc, Intellectual
                        Property Department, Suite 500, 475 Half Day Road,
                        Lincolnshire, IL, 60069
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
                        1
                        6144
LINE COUNT:
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       An emulsion composition comprising (1) a compound (I) represented by the
       formula (I) wherein each symbol is as defined in the specification (2)
       an anionic synthetic phospholipid in a proportion of about 0.0001 about
       5% (W/V) relative to the composition in total, and (3) a
       naturally-occurring phospholipid in a proportion of about 0.1 about 10%
       (W/V) relative to the composition in total is provided. ##STR1##
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      Phospholipids, biological studies
TΤ
        (acidic; stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
      Sterilization and Disinfection
ΙT
        (by autoclaves; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
ΙT
      Lecithins
        (egg yolk; stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
ΙT
      Drug delivery systems
        (emulsions; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
ΙT
      Cytokines
        (inhibitors; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
ΙT
      Glycerides, biological studies
        (medium-chain; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
      Fats and Glyceridic oils, biological studies
IΤ
        (poppyseed; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
TΤ
      Fats and Glyceridic oils, biological studies
        (rice bran; stable emulsion composition comprising sulfonylamino .
        group-containing cyclohexenecarboxylate and phospholipids)
TΤ
      Shock (circulatory collapse)
        (septic; stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
IT
      Fats and Glyceridic oils, biological studies
        (sesame; stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
IT
      Lecithins
        (soya; stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
TΤ
      Autoimmune disease
IT
      Heart, disease
IT
      Sepsis
        (stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
ΙT
      Corn oil
ΙT
      Cottonseed oil
IT
     Monoglycerides
ΙT
      Olive oil
ΙT
      Peanut oil
ΙT
      Phosphatidic acids
IT
      Phosphatidylglycerols
IT
      Phosphatidylinositols
ΙT
      Phosphatidylserines
ΙT
      Rape oil
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TΤ
      Soybean oil
ΙT
      Sunflower oil
        (stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
ΙT
      Autoclaves
        (sterilization by; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
      Fats and Glyceridic oils, biological studies
ΙT
        (vegetable, hydrogenated; stable emulsion composition comprising
        sulfonylamino group-containing cyclohexenecarboxylate and phospholipids)
      Fats and Glyceridic oils, biological studies
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        (vegetable; stable emulsion composition comprising sulfonylamino
        group-containing cyclohexenecarboxylate and phospholipids)
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      10102-43-9, Nitrogen oxide (NO), biological studies
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      Distearoylphosphatidylserine
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        (stable emulsion composition comprising sulfonylamino group-containing
        cyclohexenecarboxylate and phospholipids)
     ANSWER 33 OF 55
                     USPATFULL on STN
ACCESSION NUMBER:
                        2004:299953 USPATFULL
TITLE:
                        Benzazepine derivative, process for producing the same,
                        and use
INVENTOR(S):
                        Shiraishi, Mitsuru, Amagasaki-shi, JAPAN
                        Baba, Masanori, Kagoshima-shi, JAPAN
                        Seto, Masaki, Ibaraki-shi, JAPAN
                        Aramaki, Yoshio, Itami-shi, JAPAN
                        Kanzaki, Naoyuki, Ibaraki-shi, JAPAN
                        Miyamoto, Naoki, Ibaraki-shi, JAPAN
                        Iizawa, Yuji, Muko-shi, JAPAN
                             NUMBER
                                           KIND
                                                   DATE
PATENT INFORMATION:
                        US 2004235822
                                            A 1
                                                 20041125
APPLICATION INFO.:
                        US 2004-486002
                                            A1
                                                 20040205
                                                           (10)
                        WO 2002-JP8045
                                                 20020807
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IT

Safflower oil

NUMBER DATE

PRIORITY INFORMATION: JP 2001-240718 20010808

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Mark Chao, Takeda Pharmaceuticals North America Inc,

Intellectual Property Department, 475 Half Day Road

Suite 500, Lincolnshire, IL, 60069

NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 1 Drawing Page(s)

LINE COUNT: 21520

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

B The present invention provides a novel benzazepine derivative

represented by formula: ##STR1##

wherein, R.sup.1 is a 5- or 6-membered aromatic ring, R.sup.2 is lower alkyl group, etc., Y is an optionally substituted imino group, ring A and ring B are independently an optionally substituted aromatic ring, W is formula --W.sup.1--X.sup.2--W.sup.2-- (W.sup.1 and W.sup.2 are independently S(0).sub.m1 (m1 is 0, 1, or 2), etc., and X.sup.2 is an optionally substituted alkylene group etc.), a preparation method and use thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Chemokine receptors

(CCR5 and CCR2 antagonists; preparation of benzazepine derivs. as CCR5 antagonists)

IT Amidation

(amidation of benzazepinecarboxylic acid derivs.)

IT Transplant and Transplantation

(graft-vs.-host reaction; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Heart, disease

(infarction; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Brain, disease

(ischemia; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Kidney, disease

(nephritis; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Blood

(pharmaceutical prepns.; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT AIDS (disease)

IT Human

ΙT

(preparation and bioeffect of benzazepine derivs. as CCR5 antagonists)

IT Allergy

IT Allergy inhibitors

IT Anti-ischemic agents

Antiarteriosclerotics

IT Antiarthritics

IT Arteriosclerosis

IT Autoimmune disease

IT Blood transfusion

IT Cardiovascular agents

IT Kidney, disease

IT Rheumatoid arthritis

IT Transplant rejection

(preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Chemokine receptors

(preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT Drug delivery systems

(prodrugs; preparation and bioeffect of benzazepine derivs. or prodrugs thereof as CCR5 antagonists)

IT 497848-97-2P 497848-98-3P 497848-99-4P 497849-00-0P 497849-01-1P 497849-02-2P 497849-03-3P 497849-04-4P 497849-05-5P 497849-06-6P

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  (preparation of benzazepine derivs. as CCR5 antagonists)
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  (preparation of benzazepine derivs. as CCR5 antagonists)
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50-00-0, Formalin, reactions
68-12-2, DMF, reactions
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75-03-6, Iodoethane
                                                76-83-5,
                                                              78-98-8,
Triphenylchloromethane 78-76-2, 2-Bromobutane
                                                   78-84-2
                87-90-1, Trichloroisocyanuric acid
                                                      96-26-4,
Pyruvaldehyde
Dihydroxyacetone 98-01-1, 2-Furaldehyde, reactions
                                                        98-59-9, Tosyl
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           100-02-7, 4-Nitrophenol, reactions 100-11-8, 4-Nitrobenzyl
          100-15-2, N-Methyl-4-nitroaniline 100-39-0, Benzyl bromide
bromide
100-52-7, Benzaldehyde, reactions
                                     104-03-0, (4-Nitrophenyl) acetic acid
105-36-2, Bromoacetic acid ethyl ester
                                         106-95-6, Allyl bromide,
            107-08-4, 1-Iodopropane 107-22-2, Glyoxal
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                                                           108-98-5,
Benzenethiol, reactions
                          109-04-6, 2-Bromopyridine
                                                      110-53-2,
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                 110-78-1, Propyl isocyanate 122-04-3, 4-Nitrobenzoyl
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           123-30-8, p-Aminophenol 123-38-6, Propionaldehyde, reactions
124-63-0, Methanesulfonyl chloride
                                     140-88-5, Acrylic acid ethyl ester
288-13-1, Pyrazole 288-32-4, Imidazole, reactions
                                                      288-88-0,
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                    302-01-2, Hydrazine, reactions
                                                      333-20-0, Potassium
                                                367-67-9
              350-46-9, 4-Fluoronitrobenzene
thiocyanate
                                                           383-63-1,
Trifluoroacetic acid ethyl ester 407-25-0, Trifluoroacetic anhydride
433-06-7, 2,2,2-Trifluoroethyl p-toluenesulfonate
                                                     459-22-3,
p-Fluorobenzyl cyanide 498-62-4, Thiophene-3-carboxaldehyde
                                                                  501-53-1,
Benzyloxycarbonyl chloride
                            506-59-2, Dimethylamine hydrochloride
507-20-0, Propane, 2-chloro-2-methyl- 540-51-2, 2-Bromoethanol
542-69-8, 1-Iodobutane
                         542-85-8, Ethyl isothiocyanate
                                                           555-16-8,
4-Nitrobenzaldehyde, reactions
                                 556-53-6, Propylamine hydrochloride
                                    590-17-0, Bromoacetonitrile
557-66-4, Ethylamine hydrochloride
591-82-2, Isobutyl isothiocyanate
                                    592-82-5, n-Butyl isothiocyanate
593-51-1, Methylamine hydrochloride 593-56-6, O-Methylhydroxylamine
                616-47-7, 1-Methylimidazole 623-50-7, Glycolic acid
hydrochloride
                        628-09-1, 3-Chloropropyl acetate 693-98-1, 2-Methylimidazole 704-
              624-76-0
ethyl ester
                                                             628-30-8,
Propyl isothiocyanate
                                                       704-13-2,
3-Hydroxy-4-nitrobenzaldehyde
                                 765-30-0, Cyclopropylamine
                                                              822-36-6,
4-Methylimidazole
                   922-67-8, Methyl propiolate
                                                  1003-67-4,
4-Methylpyridine N-oxide
                          1121-76-2, 4-Chloropyridine N-oxide
1122-71-0, 2-Hydroxymethyl-6-methylpyridine
                                               1124-33-0, 4-Nitropyridine
          1134-43-6
N-oxide
                      1193-02-8, 4-Aminothiophenol
                                                      1450-85-7,
                       1489-69-6, Cyclopropanecarboxaldehyde
2-Mercaptopyrimidine
                                                                1632-76-4,
3-Methylpyridazine
                     1822-51-1, 4-(Chloromethyl)pyridine hydrochloride
1849-36-1, p-Nitrothiophenol
                               2127-09-5, 2-Mercapto-5-nitropyridine
2549-19-1
            2576-47-8, 2-Bromoethylamine hydrobromide
                                                         2637-34-5,
2-Mercaptopyridine
                     2767-70-6, 4-Nitrobenzyltriphenylphosphonium bromide
2969-81-5, Ethyl 4-bromobutyrate
                                   2976-71-8
                                                3034-50-2,
                    3251-56-7, 2-Methoxy-4-nitrophenol
4-Formylimidazole
                                                          3332-29-4,
O-Ethylhydroxylamine hydrochloride
                                      3430-17-9, 2-Bromo-3-methylpyridine
3510-66-5, 2-Bromo-5-methylpyridine 3 4648-54-8, Trimethylsilyl azide 4926-5041-09-8, Isobutylamine hydrochloride
                                       3858-78-4, Butylamine hydrochloride
                                  4926-28-7, 2-Bromo-4-methylpyridine
                                         5315-25-3, 2-Bromo-6-
methylpyridine
                5470-11-1, Hydroxylamine hydrochloride
                                                           5470-70-2,
6-Methylnicotinic acid methyl ester 5533-05-1, 2-(Methoxymethoxy)benzyl
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497853-17-5P

497853-22-2P

497853-19-7P

497853-24-4P

497853-18-6P

497853-23-3P

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          5568-33-2, 2-Chloro-4-nitrobenzaldehyde
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5-Methylisoxazole
                    5788-46-5
                                6164-79-0, Pyrazine-2-carboxylic acid
                                                             6638-79-5,
methyl ester
               6325-91-3, 2-Mercapto-5-nitrobenzimidazole
N, O-Dimethylhydroxylamine hydrochloride
                                          6705-33-5, Pyrazinemethanol
6959-47-3, 2-(Chloromethyl)pyridine hydrochloride
                                                     6959-48-4,
3-(Chloromethyl)pyridine hydrochloride
                                         7051-34-5,
Bromomethylcyclopropane
                          7143-01-3, Methanesulfonic anhydride
                                             7252-53-1,
7149-70-4, 1-Bromo-2-methyl-4-nitrobenzene
                                       7664-41-7, Ammonia, reactions
Cyclopropylmethylamine hydrochloride
10111-08-7, Imidazole-2-carboxaldehyde
                                         10200-59-6, 2-Formylthiazole
10300-69-3, Chloroacetamidine hydrochloride
                                              13183-79-4,
                                     13750-81-7, 1-Methylimidazole-2-
1-Methyl-1,2,3,4-tetrazole-5-thiol
                                          15572-56-2, Isopropylamine
carboxaldehyde
                15178-53-7
                              15430-52-1
                16110-09-1, 2,5-Dichloropyridine
hydrochloride
                                                   16114-05-9
17247-58-4, Bromomethylcyclobutane
                                     18600-40-3, 2-Methoxyethylamine
hydrochloride
                18600-42-5, 4-Nitrobenzylamine hydrochloride
                                                         20716-25-0
18686-82-3, 2-Mercapto-1,3,4-thiadiazole
                                            20020-32-0
22325-27-5, 4,6-Dimethylpyrimidine-2-thiol
                                             22483-09-6,
2-Aminoacetaldehyde dimethylacetal
                                     24854-43-1
                                                   25016-11-9,
4-Formyl-1-methylpyrazole
                            26628-22-8, Sodium azide
                                                        26776-70-5,
                         26914-02-3, Iodopropane
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Dihydroxyacetone dimer
29682-39-1
             29983-22-0
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1-Propylimidazole
                    39252-69-2, 2-Iodoethyl benzoate
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                                                     51791-12-9,
51605-32-4, Ethyl 4-methylimidazole-5-carboxylate
3-Chloromethyl-1, 2, 4-oxadiazole
                                 52334-81-3, 2-Chloro-5-
trifluoromethylpyridine
                          61292-88-4, 4-[3-(Imidazol-1-yl)propyl]aniline
63111-79-5, 5-Chloroimidazo[1,2-a]pyridine
                                             63400-51-1,
Bis(1H-1,2,4-triazol-1-yl)methane
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133303-54-5
              135206-76-7
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2-Chloromethyl-1-(2,2,2-trifluoroethyl)imidazole hydrochloride
135206-95-0, 2-Chloromethyl-1-cyclopropylmethylimidazole hydrochloride
135207-03-3, 2-Chloromethyl-1-cyclopropylimidazole hydrochloride
136507-15-8, 2-Methoxy-4-nitrobenzaldehyde
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4-Fluoro-2-trifluoromethylbenzoic acid
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161500-05-6
              178488-39-6
                            181633-39-6
                                          183786-23-4, 2-Methylnicotinic
acid methyl ester
                    217435-67-1
                                  226930-89-8, 5-Chloromethyl-1-
isobutylimidazole hydrochloride
                                  279262-27-0
                                                279263-04-6
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299402-52-1, 4-[3-(1,2,4-Triazol-1-yl)propyl]aniline
                                                        313725-81-4
313736-34-4
              313738-96-4
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4-[2-(1,2,4-Triazol-1-yl)ethoxy]aniline
                                          461661-44-9
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                            497223-63-9, 5-Chloromethyl-4-methyl-1-
propylimidazole hydrochloride
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              497851-78-2, 2-Mercapto-4-methyl-1,2,4-triazole
497851-79-3
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  (preparation of benzazepine derivs. as CCR5 antagonists)
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497852-06-9
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4-[[(2-Methyl-3-pyridinyl)methyl]sulfanyl]aniline
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4-[[(6-Methyl-3-pyridinyl)methyl]sulfanyl]aniline
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4-[(2-Pyrazinylmethyl)sulfanyl]aniline
                                         497853-55-1,
4-[(3-Pyridazinylmethyl)sulfanyl]aniline
                                           497853-56-2,
2-[(E)-2-(4-Nitrophenyl)ethenyl]-1-propylimidazole
                                                      497853-57-3
497853-58-4
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                                                         497853-62-0
497853-63-1
              497853-64-2, 4-[[2-(1,2,4-Triazol-1-
yl)ethyl]sulfanyl]phenylamine
                                497853-65-3
                                              497853-66-4,
6-[[(1-Propylimidazol-2-yl)methyl]sulfanyl]pyridin-3-amine
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497853-68-6, 4-[[2-(2-Propylimidazol-1-yl)ethyl]sulfanyl]aniline
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497853-69-7, 1-Methyl-5-[[(1-propylimidazol-5-yl)methyl]thio]-1,2,4-
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triazol-3-amine
                 497853-71-1
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hydrochloride
                               497853-72-2
2-[[(1-Propylimidazol-5-yl)methyl]thio]benzimidazol-5-amine
497853-74-4, 4-[(Thiazol-2-ylmethyl)sulfanyl]aniline
                                                         497853-75-5
              497853-77-7, 4-[[(1-Methylimidazol-2-
497853-76-6
                              497853-78-8, 4-[(Isoxazol-5-
yl)methyl]sulfanyl]aniline
ylmethyl)sulfanyl]aniline
                             497853-79-9, 4-[(Pyrazol-1-
                             497853-80-2, 4-[[(1-Ethylimidazol-2-
ylmethyl)sulfanyl]aniline
                              497853-81-3, 4-[[(1-Propylimidazol-2-
yl)methyl]sulfanyl]aniline
yl)methyl]sulfanyl]aniline
                              497853-82-4, 1-Butyl-2-chloromethylimidazole
                497853-83-5, 2-Chloromethyl-1-isobutylimidazole
hydrochloride
                               497853-85-7, 2-Chloromethyl-1-
hydrochloride
                497853-84-6
                                 497853-86-8, 3-Methyl-4-[[(1-
pentylimidazole hydrochloride
methylimidazol-2-yl)methyl]sulfanyl]aniline
                                                497853-87-9,
2-Chloromethyl-1-cyclobutylmethylimidazole hydrochloride
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1-Allyl-2-chloromethylimidazole hydrochloride
2-Chloromethyl-5-methyl-1-propylimidazole hydrochloride
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5-Chloromethyl-1-ethylimidazole hydrochloride
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5-Chloromethyl-1-isopropylimidazole hydrochloride
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5-Chloromethyl-1-cyclopropylmethylimidazole hydrochloride
4-Chloromethyl-1-propylimidazole hydrochloride
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2-(1-Chloroethyl)-1-propylimidazole hydrochloride
                                                      497853-95-9,
(4-Aminophenyl) (1-methylimidazol-2-yl) methanol
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  (preparation of benzazepine derivs. as CCR5 antagonists)
400-77-1P
                                                   4967-77-5P
            1120-82-7P, 1H-Pyrazole-1-methanol
                                                                13287-76-8P
14474-56-7P, 4-Ethoxypyridine N-oxide
                                         14542-12-2P, 2-Thiazolemethanol
16365-27-8P, 2-(4-Nitrophenoxy) ethanol
                                           17265-60-0P
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18527-26-9P
              18527-40-7P
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                                42508-74-7P, (4-Methyl-2-
2-Chloro-4-nitrobenzenethiol
                     53332-64-2P
                                    53712-77-9P, 1-(3-Bromopropyl)-4-
pyridinyl)methanol
               54198-88-8P, 2-(Chloromethyl)pyrimidine
nitrobenzene
                                                           55749-84-3P
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56826-61-0P, (2-Methyl-3-pyridinyl)methanol
                                                              63071-10-3P
63634-44-6P
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4-[2-(Imidazol-1-yl)ethoxy]aniline
                                      76041-72-0P, 2-Mercapto-5-
trifluoromethylpyridine
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        (preparation of benzazepine derivs. as CCR5 antagonists)
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                       2004:273350 USPATFULL
ACCESSION NUMBER:
TITLE:
                       Methods of treating gastrointestinal tract disorders
                       using sodium channel modulators
                       Burgard, Edward C., Chapel Hill, NC, UNITED STATES
INVENTOR(S):
                       Landau, Steven B., Wellesley, MA, UNITED STATES
                       Fraser, Matthew Oliver, Apex, NC, UNITED STATES
PATENT ASSIGNEE(S):
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                            NUMBER
                                         KIND
                                                DATE
PATENT INFORMATION:
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                                               20041028
                                          A1
APPLICATION INFO.:
                       US 2004-769071
                                          Α1
                                               20040130
                                                        (10)
                              NUMBER
                                           DATE
                        -----
PRIORITY INFORMATION:
                       US 2003-443731P 20030130 (60)
                       US 2003-443730P
                                          20030130 (60)
                       US 2003-480565P
                                          20030620 (60)
                       US 2003-480598P
                                          20030620 (60)
                       US 2003-495958P
                                          20030818 (60)
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       APPLICATION
                       ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH
                       TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000
NUMBER OF CLAIMS:
```

LEGAL REPRESENTATIVE:

EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 2 Drawing Page(s)

LINE COUNT: 3754

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ The invention relates to methods of using sodium channel modulators, particularly TTX-R sodium channel modulators and/or activity dependent sodium channel modulators to treat gastrointestinal tract disorders, particularly inflammatory bowel disorders and irritable bowel syndrome.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Digestive tract, disease

IT Drug delivery systems

> (treating gastrointestinal tract disorders using sodium channel modulators)

ΙT Sodium channel

(treating gastrointestinal tract disorders using sodium channel

ΙT 7440-23-5, Sodium, biological studies

> (treating gastrointestinal tract disorders using sodium channel modulators)

ΙŢ 18683-91-5, Ambroxol 84057-84-1, Lamotrigine

(treating gastrointestinal tract disorders using sodium channel

ΙT 130800-90-7, Sipatrigine 133865-88-0, Ralfinamide (treating gastrointestinal tract disorders using sodium channel modulators)

L9 ANSWER 35 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2004:268417 USPATFULL

TITLE: Methods of treating lower urinary tract disorders using

sodium channell modulators

INVENTOR(S): Burgard, Edward C., Chapel Hill, NC, UNITED STATES

Thor, Karl Bruce, Morrisville, NC, UNITED STATES Fraser, Matthew Oliver, Apex, NC, UNITED STATES

PATENT ASSIGNEE(S): Dynogen Pharmaceuticals, Inc., Boston, MA (U.S.

corporation)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: ALSTON & BIRD LLP, BANK OF AMERICA PLAZA, 101 SOUTH

TRYON STREET, SUITE 4000, CHARLOTTE, NC, 28280-4000

NUMBER OF CLAIMS: 45 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 14 Drawing Page(s)

LINE COUNT: 3809

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to methods of using sodium channel modulators, particularly TTX-R sodium channel modulators and/or activity dependent sodium channel modulators to treat painful and non-painful lower urinary tract disorders, particularly painful and non-painful overactive bladder with and/or without loss of urine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 5-HT antagonists

(5-HT3; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Prostate gland, disease

(benign hyperplasia; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Drug delivery systems

(buccal; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Drug delivery systems

(capsules; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Drug delivery systems

(controlled-release; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Bladder, disease

(cystitis; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Drug delivery systems

(granules; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Breathing (animal)

(inhalation; methods of treating lower urinary tract disorders using sodium channel modulators)

IT Urinary tract

(lower; methods of treating lower urinary tract disorders using sodium channel modulators)

- IT Adrenoceptor agonists
- IT Bladder, disease
- IT Cholinergic antagonists

ΙT Drug delivery systems TΤ Human ΙT Prostate gland (methods of treating lower urinary tract disorders using sodium channel modulators) ITSodium channel (methods of treating lower urinary tract disorders using sodium channel modulators) Bradykinin receptors ΙT ΙT Semicarbazones ΙT Tachykinin receptors (methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (nasal; methods of treating lower urinary tract disorders using sodium channel modulators) TT Drug delivery systems (parenterals; methods of treating lower urinary tract disorders using sodium channel modulators) Drug delivery systems ΙT (pellets; methods of treating lower urinary tract disorders using sodium channel modulators) Drug delivery systems ΙT (powders; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (prodrugs; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Prostate gland, disease (prostatitis; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (rectal; methods of treating lower urinary tract disorders using sodium channel modulators) ΤТ Drug delivery systems (solns.; methods of treating lower urinary tract disorders using sodium channel modulators) TΤ Muscle relaxants (spasmolytics; methods of treating lower urinary tract disorders using sodium channel modulators) TΤ Drug delivery systems (sublingual; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (suspensions; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (sustained-release; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (syrups; methods of treating lower urinary tract disorders using sodium channel modulators) IT Drug delivery systems (tablets; methods of treating lower urinary tract disorders using sodium channel modulators) IΤ Drug delivery systems (topical; methods of treating lower urinary tract disorders using sodium channel modulators) ΙT Drug delivery systems (transdermal; methods of treating lower urinary tract disorders using sodium channel modulators) ITAntidepressants (tricyclic; methods of treating lower urinary tract disorders using sodium channel modulators) 10102-43-9, Nitric oxide, biological studies ΙT (methods of treating lower urinary tract disorders using sodium channel modulators) 104-06-3, Thiosemicarbazone IT 298-46-4, Carbamazepine 728-88-1, Tolperisone 31828-71-4, Mexiletine 42971-09-5, Vinpocetine

60142-96-3, Gabapentin 84057-84-1, Lamotrigine 93413-69-5, Venlafaxine 97240-79-4, Topiramate 112856-44-7, Losigamone 116539-59-4, Duloxetine 130800-90-7, Sipatrigine 148553-50-8, Pregabalin

(methods of treating lower urinary tract disorders using sodium channel modulators)

L9 ANSWER 36 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2004:261911 USPATFULL

TITLE: Pharmaceutical compositions containing a COX-II

inhibitor and a muscle relaxant

INVENTOR(S): Faour, Joaquina, Buenos Aires, ARGENTINA

Vergez, Juan A., Buenos Aires, ARGENTINA

NUMBER KIND DATE

PATENT INFORMATION: US 2004204413 A1 20041014 APPLICATION INFO.: US 2001-770901 A1 20010126 (9)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: INNOVAR, LLC, P O BOX 250647, PLANO, TX, 75025

NUMBER OF CLAIMS: 55 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 3 Drawing Page(s)

LINE COUNT: 1747

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides a pharmaceutical composition and dosage form containing in combination a COX-II inhibitor and a muscle relaxant. The pharmaceutical composition is useful for the treatment of pain and pain related disorders and symptoms. The combination provides an improved therapeutic response as compared to either drug alone. The pharmaceutical composition can be included in any dosage form.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Receptors

(COX-1; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Receptors

(COX-2, inhibitors; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(buccal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(capsules; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(carriers; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(cervical; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(dermal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(epidermal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(gastrointestinal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(gels; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems

(granules; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Prosthetic materials and Prosthetics

```
(implants; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (injections; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (intrauterine; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (ligs.; pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
      Drug delivery systems
        (microspheres; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (mucosal; pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
      Drug delivery systems
        (nasal; pharmaceutical compns. containing a COX-II inhibitor and a muscle
IT
      Liquids
        (oils; pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
      Drug delivery systems
        (ointments, creams; pharmaceutical compns. containing a COX-II inhibitor
        and a muscle relaxant)
IT
      Drug delivery systems
        (ointments; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (ophthalmic; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΤT
      Drug delivery systems
        (oral; pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
IΤ
      Drug delivery systems
        (parenterals; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
TΤ
      Drug delivery systems
        (particles; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (pastes; pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
      Adsorbents
IT
      Antioxidants
ΙT
      Buffers
ΙT
      Coloring materials
ΙT
      Detergents
ΙT
      Flavoring materials
IΤ
      Human
ΙT
      Mammalia
ΙT
      Muscle relaxants
IT
      Neuromuscular blocking agents
ΙT
      Plasticizers
IT
      Solvents
IΤ
      Surfactants
ΙT
      Sweetening agents
        (pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
     Acids, uses
ΙT
        (pharmaceutical compns. containing a COX-II inhibitor and a muscle
        relaxant)
ΙT
      Lubricants
        (pharmaceutical; pharmaceutical compns. containing a COX-II inhibitor and a
        muscle relaxant)
ΙT
      Drug delivery systems
        (powders; pharmaceutical compns. containing a COX-II inhibitor and a muscle
```

relaxant)

ITDrug delivery systems (pulmonary; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

ΙT Drug delivery systems (rectal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

Drug delivery systems ΙT (solns.; pharmaceutical compns. containing a COX-II inhibitor and a muscle

ΙT Drug delivery systems (sublingual; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

ΙT Drug delivery systems (suppositories; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

IT Drug delivery systems (sustained-release; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant) ΙT Drug delivery systems

(tablets; pharmaceutical compns. containing a COX-II inhibitor and a muscle IT Drug delivery systems

(transdermal; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant) ΙT Drug delivery systems

(vaginal; pharmaceutical compns. containing a COX-II inhibitor and a muscle

ΙT 329900-75-6, Cyclooxygenase 2 (inhibitors; pharmaceutical compns. containing a COX-II inhibitor and a muscle relaxant)

ΙT 57-94-3, Tubocurarine 58-55-9, Theophylline, biological studies 58-74-2, Papaverine 59-47-2, Mephenesin 65-29-2, Gallamine triethiodide 78-44-4, Carisoprodol 80-33-1, Chlorfensin 8 Chlormezanone 83-98-7, Orphenadrine 95-25-0, Chlorzoxazone 80-77-3, 156-74-1, Decamethonium 303-53-7, Cyclobenzaprine 306-40-1, Succinyl choline 317-34-0, Aminophylline 439-14-5, Diazepam 479-18-5, Diphylline 486-47-5, Ethaverine 511-45-5, Pridinol 532-03-6, Methocarbamol 728-88-1, Tolperisone 886-74-8, Chlorphenesin carbamate 1134-47-0, Baclofen 1665-48-1, Metaxalone 3674-03-1, Cnidilide 4431-01-0, Ligustilide 4844-10-4 7261-97-4, Dantrolene 7601-55-0, Metocurine iodide 15500-66-0, Pancuronium 23214-96-2, Alcuronium 23981-47-7, 6-Methoxy-2-naphthylacetic acid 41340-25-4, Etodolac 42924-53-8, Nabumetone 50700-72-6, Vecuronium 51322-75-9, Tizanidine 51803-78-2, Nimesulide 63038-10-8, Senkyunolide 68399-58-6, Pipecuronium 71125-38-7, Meloxicam 80937-31-1, Flosulide 88149-94-4, Dup-697 122852-42-0, Alosetron 123653-11-2, Ns-398 123663-49-0, T-614 162011-90-7, Rofecoxib 169590-42-5, Celecoxib 444339-05-3, SC 5766 444339-06-4, SC 58215 (pharmaceutical compns. containing a COX-II inhibitor and a muscle

relaxant)

L9 ANSWER 37 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2004:239318 USPATFULL

TITLE: Topical treatment for dyshidrosis (pompholyx) and dry

skin disorders

INVENTOR(S): Mazzio, Elizabeth A., Tallahassee, FL, UNITED STATES Soliman, Karam F., Tallahassee, FL, UNITED STATES

NUMBER KIND DATE ______

PATENT INFORMATION: US 2004185123 A1 20040923 APPLICATION INFO.: US 2004-801520 A1 20040316 (10)

> NUMBER DATE -----

PRIORITY INFORMATION: US 2003-456817P 20030321 (60) DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

Elizabeth A. Mazzio, 982 West Brevard Street, D #22, LEGAL REPRESENTATIVE:

Tallahassee, FL, 32304

NUMBER OF CLAIMS: 21 EXEMPLARY CLAIM: 1

2 Drawing Page(s) NUMBER OF DRAWINGS:

1060 LINE COUNT:

This invention discloses a topical herbal formulation for preventing AΒ and/or treating dyshidrosis (pompholyx), non-responsive to topical steroids. The formulation may also be used to treat contact dermatitis, eczema, palmoplantar pustulosis and skin infections incurred by invasive pathogens such as mold, fungus and bacteria. The formulation is comprised of plant extracts and niacin, that when combined yield an effective multi-faceted pharmaceutical approach to treating dry skin disorders. The active ingredients within the formula include a combination of dry, aqueous, acid and alcohol extracts of black walnut hull (Juglans Nigra), wormwood (Artemisia Absinthium), tumeric rhizome (Curcuma Longa), garlic (Allium sativum), chamomile (Matricaria Chamomile), licorice root (Glycyrrhiza Glabra), St Johns wort (Hypericum perforatum), aloe vera, niacin and herbal anti-bacterial agents.

ANSWER 38 OF 55 USPATFULL on STN L9

ACCESSION NUMBER: 2004:203955 USPATFULL Synergistic combinations TITLE:

INVENTOR(S): Field, Mark John, Kent, UNITED KINGDOM

Williams, Richard Griffith, Kent, UNITED KINGDOM

NUMBER KIND DATE ______ US 2004157847 A1 20040812 US 2004-771183 A1 20040203 (10)

APPLICATION INFO.:

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 2003-640515, filed

on 13 Aug 2003, PENDING

DATE NUMBER _____ GB 2002-19024 20020815

US 2002-411493P 20020916 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: WARNER-LAMBERT COMPANY, 2800 PLYMOUTH RD, ANN ARBOR,

MI, 48105

NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1

PATENT INFORMATION:

PRIORITY INFORMATION:

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 2977

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The instant invention relates to a combination of an alpha-2-delta ligand and a PDEV inhibitor for use in therapy, particularly in the curative, prophylactic or palliative treatment of pain, particularly neuropathic pain. Particularly preferred alpha-2-delta ligands are gabapentin and pregabalin.

Particularly preferred PDEV inhibitors are sildenafil, vardenafil and

tadalafil.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Analgesics ΙT

ΙT Combination chemotherapy

ΙT GABA agonists

(analgesic synergistic combinations of $\alpha 2\delta$ ligand and a PDEV inhibitor)

ΙT 60142-96-3, Gabapentin 139755-83-2, Sildenafil 148553-50-8, Pregabalin 171596-29-5, Tadalafil 224785-90-4, Vardenafil (analgesic synergistic combinations of $\alpha 2\delta$ ligand and a PDEV inhibitor)

IT 105-56-6, Ethyl cyanoacetate 107-82-4, 1-Bromo-3-methylbutane 547-63-7, Methyl isobutyrate 6196-80-1, 1-Iodo-4-methylpentane 7540-51-4, (-)-Citronellol 77943-39-6 128342-71-2 143615-81-0, S-Citronellyl bromide 208836-20-8, (R)-2,6-Dimethyl-2-nonene

```
(analgesic synergistic combinations of \alpha 2\delta ligand and a
        PDEV inhibitor)
IT
      105-42-0P
                  2746-14-7P 5497-67-6P
                                            13955-70-9P
                                                          50902-80-2P
      52745-93-4P
                    53353-03-0P
                                  55505-25-4P
                                                59983-44-7P 81291-39-6P
      86534-82-9P
                    86534-85-2P
                                  115109-01-8P
                                                 117604-35-0P
                                                                171627-77-3P
      313653-09-7P
                     313653-10-0P
                                    313653-11-1P
                                                   313653-16-6P
                                                                  313653-17-7P
                                                                 . 313653-39-3P
      313653-18-8P
                     313653-19-9P
                                    313653-37-1P
                                                   313653-38-2P
                                    610300-02-2P
                                                   610300-03-3P
      343338-28-3P
                     610300-01-1P
                                                                   610300-05-5P
      610300-09-9P
                     610300-35-1P
                                    610300-36-2P
                                                   610300-37-3P
                                                                  610300-38-4P
      610300-39-5P
                     610300-40-8P
                                    610300-42-0P
                                                   610300-43-1P
                                                                   610300-44-2P
      610300-58-8P 610300-57
      610300-45-3P
                     610300-46-4P
                                    610300-47-5P
                                                   610300-48-6P
                                                                   610300-49-7P
                                    610300-55-5P
                                                   610300-56-6P
                                                                   610300-57-7P
                     610300-59-9P
                                    736929-83-2P
                                                   736929-85-4P
                                                                  736929-87-6P
      736929-92-3P
                     736930-00-0P
                                    736930-01-1P
                                                   736930-02-2P
        (analgesic synergistic combinations of \alpha 2\delta ligand and a
        PDEV inhibitor)
ΤT
      610300-00-0P
                     610300-04-4P
                                    610300-06-6P
                                                   610300-07-7P
                                                                   610300-08-8P
                     610300-11-3P
                                    610300-12-4P
                                                   610300-13-5P
                                                                   610300-14-6P
      610300-10-2P
      610300-15-7P
                     610300-19-1P
                                    610300-20-4P
                                                   610300-30-6P
                                                                   610300-32-8P
      664345-46-4P
        (analgesic synergistic combinations of \alpha 2\delta ligand and a
        PDEV inhibitor)
IT
      334826-98-1
                    335077-70-8
        (analyseic synergistic combinations of \alpha 2\delta ligand and a
        PDEV inhibitor)
IT
      9068-52-4
        (inhibitors; analgesic synergistic combinations of \alpha 2\delta
        ligand and a PDEV inhibitor)
     ANSWER 39 OF 55 USPATFULL on STN
ACCESSION NUMBER:
                        2004:121106 USPATFULL
TITLE:
                        Synergistic combinations
INVENTOR(S):
                        Field, Mark John, Sandwich, UNITED KINGDOM
                        Williams, Richard Griffith, Sandwich, UNITED KINGDOM
                                          KIND DATE
                             NUMBER
                        _____
PATENT INFORMATION:
                        US 2004092522
                                         A1
                                                20040513
APPLICATION INFO.:
                        US 2003-640515
                                          A1
                                                20030813 (10)
                             NUMBER
                                            DATE
                        -----
                        GB 2002-19024 20020815
PRIORITY INFORMATION:
                        US 2002-411493P
                                          20020916 (60)
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        APPLICATION
LEGAL REPRESENTATIVE:
                        David R. Kurlandsky, Warner-Lambert Company LLC, 2800
                        Plymouth Road, Ann Arbor, MI, 48105
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        4 Drawing Page(s)
LINE COUNT:
                        2958
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AΒ
       The instant invention relates to a combination of an alpha-2-delta
       ligand and a PDEV inhibitor for use in therapy, particularly in the
       curative, prophylactic or palliative treatment of pain,
      particularly neuropathic pain. Particularly
      preferred alpha-2-delta ligands are gabapentin and pregabalin.
       Particularly preferred PDEV inhibitors are sildenafil, vardenafil and
       tadalafil.
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
IT
      Drug delivery systems
        (capsules, controlled-release; fused bicyclic or tricyclic amino acid
       preparation and use in treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (capsules, enteric-coated; fused bicyclic or tricyclic amino acid
       preparation and use in treatment of fibromyalgia)
```

ΙT

Drug delivery systems

```
(capsules; fused bicyclic or tricyclic amino acid preparation and use in
        treatment of fibromyalgia)
IT
      Muscle, disease
        (fibromyalgia; fused bicyclic or tricyclic amino acid preparation and use in
        treatment of fibromyalgia)
TT
      Drug delivery systems
ΙT
      Resolution (separation)
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
        fibromyalgia)
      Drug delivery systems
IT
        (injections, i.m.; fused bicyclic or tricyclic amino acid preparation and
        use in treatment of fibromyalgia)
      Drug delivery systems
ΙT
        (injections, i.v.; fused bicyclic or tricyclic amino acid preparation and
        use in treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (suppositories, vaginal; fused bicyclic or tricyclic amino acid preparation
        and use in treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (suppositories; fused bicyclic or tricyclic amino acid preparation and use
        in treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (syrups; fused bicyclic or tricyclic amino acid preparation and use in
        treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (tablets, controlled-release; fused bicyclic or tricyclic amino acid
        preparation and use in treatment of fibromyalgia)
TΤ
      Drug delivery systems
        (tablets, enteric-coated; fused bicyclic or tricyclic amino acid preparation
        and use in treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (tablets; fused bicyclic or tricyclic amino acid preparation and use in
        treatment of fibromyalgia)
ΙT
      Drug delivery systems
        (transdermal; fused bicyclic or tricyclic amino acid preparation and use in
        treatment of fibromyalqia)
IT
      473829-32-2P
                     473829-33-3P
                                     473829-34-4P
                                                    473829-35-5P
                                                                   473829-36-6P
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
        fibromyalgia)
ΙT
      335458-65-6
                    335458-65-6D, derivs.
                                             335671-52-8
                                                           335671-52-8D, derivs.
      335671-53-9
                                             335671-55-1
                    335671-53-9D, derivs.
                                                           335671-55-1D, derivs.
      473829-37-7
                    473829-38-8
                                  473829-39-9
                                                 473829-40-2
                                                               473829-41-3
                                  473829-44-6
                                                 473829-45-7
      473829-42-4
                    473829-43-5
                                                               473829-46-8
      473829-47-9
                    473829-48-0
                                  473829-49-1
                                                 473829-50-4
                                                               473829-51-5
      473829-52-6
                    473829-53-7
                                  473829-54-8
                                                 473829-56-0
                                                               473829-57-1
      473829-58-2
                    473924-33-3
                                  473924-35-5
                                                 473924-39-9
                                                               663178-19-6
      663178-19-6D, derivs.
                              663178-20-9
                                             663178-21-0
                                                           663178-21-0D, derivs.
                    663178-23-2
                                  663178-23-2D, derivs.
      663178-22-1
                                                           663178-24-3
      663178-24-3D, derivs.
                              663178-25-4
                                             663616-76-0
                                                           663616-77-1
      663616-78-2
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
        fibromyalgia)
ΙT
      473829-04-8P
                     473829-05-9P
                                    473829-06-0P
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
        fibromyalgia)
ΙT
      76-02-8, Trichloroacetyl chloride
                                          105-56-6, Ethyl cyanoacetate
      110-83-8, Cyclohexene, reactions
                                         2627-86-3, (S)-(-)-\alpha-
      Methylbenzylamine
                          3886-69-9
                                      6921-34-2, Benzylmagnesium chloride
      13173-09-6, Bicyclo[3.2.0]hept-2-en-6-one
                                                   71155-04-9
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
        fibromyalgia)
IT
      13756-54-2P, Bicyclo[3.2.0]heptan-6-one
                                                27655-70-5P
                                                               32166-29-3P
      81444-96-4P
                    473829-02-6P
                                   473829-03-7P 473829-07-1P
                                                                  473829-08-2P
      473829-09-3P
                     473829-10-6P
                                    473829-11-7P
                                                  .473829-12-8P
                                                                   473829-13-9P
      473829-14-0P
                     473829-15-1P
                                    473829-16-2P
                                                    473829-17-3P
                                                                   473829-18-4P
      473829-19-5P
                                    473829-21-9P
                     473829-20-8P
                                                    473829-22-0P
                                                                   473829-23-1P
      473829-24-2P
                     473829-25-3P
                                    473829-26-4P
                                                    473829-27-5P
                                                                   473829-28-6P
      473829-29-7P
                     473829-30-0P
                                    473829-31-1P
        (fused bicyclic or tricyclic amino acid preparation and use in treatment of
```

T.9 ANSWER 40 OF 55 USPATFULL on STN

ACCESSION NUMBER:

2004:121082 USPATFULL

Substituted glycine derivatives for use as medicaments TITLE: INVENTOR(S):

Blakemore, David, Sandwich, UNITED KINGDOM Bryans, Justin S., Sandwich, UNITED KINGDOM Chu, Wai-Lam Alex, San Diego, CA, UNITED STATES

Maw, Graham N., Sandwich, UNITED KINGDOM Rawson, David J., Sandwich, UNITED KINGDOM Thompson, Lisa R., Sandwich, UNITED KINGDOM

NUMBER KIND DATE

PATENT INFORMATION: US 2004092498 A1 20040513

20030813 (10) APPLICATION INFO.: US 2003-640520 A1

> NUMBER DATE -----

PRIORITY INFORMATION:

GB 2002-19153 20020816 US 2002-413856P 20020925 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: David R. Kurlandsky, Warner-Lambert Company LLC, 2800

Plymouth Road, Ann Arbor, MI, 48105

NUMBER OF CLAIMS: 10 EXEMPLARY CLAIM: 1 LINE COUNT: 1995

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The compounds of formula (I) are substituted glycine derivatives useful in the treatment of epilepsy, faintness attacks, hypokinesia, cranial disorders, neurodegenerative disorders, depression, anxiety, panic, pain, arthritis, neuropathological disorders, sleep disorders, visceral pain disorders and gastrointestinal disorders. Processes for the preparation of the final products and intermediates useful in the process are included. Pharmaceutical compositions containing one or more of the compounds are also included. ##STR1##

wherein R.sup.1 is hydroxycarbonyl, a carboxylic acid biostere or prodrug thereof;

R.sup.3, R.sup.3a, R.sup.2 and R.sup.2a are independently selected from H, C.sub.1-C.sub.6 alkyl, and C.sub.1-C.sub.6 alkoxy C.sub.1-C.sub.6 alkyl;

Z is;

(i) a C-linked, 5 membered heterocycloalky or heteroaryl substituted with C.sub.1-C.sub.6 alkyl or fused with C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl, or monocyclic heteroaryl, wherein the fused ring is optionally substituted with one or two substituents selected from the group consisting of halogen, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy, perfluoro C.sub.1-C.sub.6 alkyl, perfluoro C.sub.1-C.sub.6 alkoxy, cyano, C.sub.1-C.sub.6 alkyl amino, C.sub.1-C.sub.6 alkyl thio, C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl, and monocyclic heteroaryl; or

(ii) the group; ##STR2##

wherein R.sup.4 and R.sup.4a are independently H, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy or C.sub.1-C.sub.6 alkoxy C.sub.1-C.sub.6 alkyl;

R.sup.5 is C.sub.1-C.sub.6 alkyl, C.sub.3-C.sub.12 cycloalkyl, 4-12 membered heterocycloalkyl, aryl or heteroaryl and R.sup.5 is optionally substituted with one or two substituents selected from the group consisting of halogen, C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkoxy, perfluoro C.sub.1-C.sub.6 alkyl, perfluoro C.sub.1-C.sub.6 alkoxy, cyano, C.sub.1-C.sub.6 alkyl amino, di-C.sub.1-C.sub.6 alkyl amino,

amino C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkyl amino C.sub.1-C.sub.6 alkyl, di-C.sub.1-C.sub.6 alkyl amino C.sub.1-C.sub.6 alkyl, C.sub.1-C.sub.6 alkyl thio, C.sub.3-C.sub.8 cycloalkyl, 4-8 membered heterocycloalkyl, phenyl and monocyclic heteroaryl; and either; (i) Y is S, O, NH or CH.sub.2 and X is a direct link or C.sub.1-C.sub.2 alkyl optionally substituted with C.sub.1-C.sub.6 alkyl or di-C.sub.1-C.sub.6 alkyl or 1-4 fluorine atoms; or (ii) X is S, O, CH.sub.2 or NH and Y is C.sub.1-C.sub.2 alkyl optionally substituted with C.sub.1-C.sub.6 alkyl or di-C.sub.1-C.sub.6 alkyl or 1-4 fluorine atoms. CAS INDEXING IS AVAILABLE FOR THIS PATENT. Drug delivery systems (capsules; preparation of substituted glycine derivs. for use as medicaments) Disorder (cranial; preparation of substituted glycine derivs. for use as medicaments) Bladder, disease (cystitis; preparation of substituted glycine derivs. for use as medicaments) Nervous system, disease (degeneration; preparation of substituted glycine derivs. for use as medicaments) Mental disorder (depression; preparation of substituted glycine derivs. for use as medicaments) Viscera (disease, pain; preparation of substituted glycine derivs. for use as medicaments) Sleep (disorder; preparation of substituted glycine derivs. for use as medicaments) Intestine, disease (functional; preparation of substituted glycine derivs. for use as

TΤ

ΤТ

TΤ

IT

ΙT

ΙT

ΙT

ΙT

medicaments)

ΙT Intestine, disease

(inflammatory; preparation of substituted glycine derivs. for use as medicaments)

ΙT Drug delivery systems

> (injections; preparation of substituted glycine derivs. for use as medicaments)

IT Intestine, disease

(irritable bowel syndrome; preparation of substituted glycine derivs. for use as medicaments)

TΤ Disorder

(neuropathol.; preparation of substituted glycine derivs. for use as medicaments)

ΤТ Pancreas, disease

(pancreatitis; preparation of substituted glycine derivs. for use as medicaments)

ΙT Anxiety

> (panic disorders; preparation of substituted glycine derivs. for use as medicaments)

ΙT

(pelvic; preparation of substituted glycine derivs. for use as medicaments)

ΙT Analgesics

ΙT Anticonvulsants

ΙT Antidepressants

ΙT Anxiety

ΙT Anxiolytics

ΙT Dysmenorrhea

ΙT Epilepsy

ΙT Human

ΙT Hypokinesia

ITOsteoarthritis

```
ΙT
      Pain
ΙT
      Rheumatoid arthritis
        (preparation of substituted glycine derivs. for use as medicaments)
ΙT
      Drug delivery systems
        (suppositories, vaginal; preparation of substituted glycine derivs. for use
        as medicaments)
ΙT
      Drug delivery systems
        (suppositories; preparation of substituted glycine derivs. for use as
        medicaments)
ΙT
      Drug delivery systems
        (syrups; preparation of substituted glycine derivs. for use as medicaments)
ΙT
      Drug delivery systems
        (tablets; preparation of substituted glycine derivs. for use as medicaments)
ΙT
      Drug delivery systems
        (transdermal; preparation of substituted glycine derivs. for use as
        medicaments)
ΙT
      Pain
        (visceral; preparation of substituted glycine derivs. for use as
       medicaments)
                                   663623-20-9P 663623-21-0P 663623-29-8P
TT
      663623-18-5P 663623-19-6P
      663623-32-3P 663623-35-6P
        (preparation of substituted glycine derivs. for use as medicaments)
      53492-40-3P 663623-22-1P 663623-23-2P 663623-24-3P 663623-25-4P
IT
                                                663623-30-1P 663623-31-2P
      663623-26-5P
                   663623-27-6P
                                 663623-28-7P
      663623-33-4P 663623-34-5P 663623-36-7P
                                                 663623-37-8P 663623-38-9P
      663623-39-0P
        (preparation of substituted glycine derivs. for use as medicaments)
      96-32-2, Methyl Bromoacetate 106-53-6 106-54-7 107-04-0 108-43-0
ΙT
      120-83-2 497-25-6, 2-Oxazolidinone 1122-97-0 5292-43-3 6258-66-8
                13214-66-9, Benzenebutanamine 13552-21-1 24424-99-5,
      6456-74-2
      Di-tert-butyl dicarbonate 58861-74-8 88543-97-9 135361-30-7
      156275-96-6, Triisopropylsilanethiol 175442-03-2, 2-(4-Chloro-phenoxy)-
      propionaldehyde 663623-50-5 663623-51-6
        (preparation of substituted glycine derivs. for use as medicaments)
     1199-28-6P 64010-13-5P 663623-40-3P 663623-41-4P 663623-42-5P
ΙT
     663623-43-6P 663623-44-7P 663623-45-8P, 7-Isoquinolinethiol
     663623-46-9P
                    663623-47-0P 663623-48-1P 663623-49-2P
        (preparation of substituted glycine derivs. for use as medicaments)
     ANSWER 41 OF 55 USPATFULL on STN
L9
ACCESSION NUMBER: 2004:83229 USPATFULL
TITLE:
                       Combination Drugs
INVENTOR(S):
                       Ilzawa, Juji, Muko-shi, JAPAN
                       Ii, Masayuki, Minoh-shi, JAPAN
                       Hashiguchi, Shohei, Toyonaka-shi, JAPAN
                       Kitazaki, Tomoyuki, Kobe-shi, JAPAN
                           NUMBER KIND DATE
                       ______
                       US 2004063685 A1 20040401
US 2003-433826 A1 20030606
WO 2001-JP10773 20011207
PATENT INFORMATION:
APPLICATION INFO.:
                                                       (10)
                            NUMBER DATE
                       _____
PRIORITY INFORMATION:
                       JP 2000-379787 20001208
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       APPLICATION
LEGAL REPRESENTATIVE:
                       TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL
                       PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,
                       LINCOLNSHIRE, IL, 60069
NUMBER OF CLAIMS:
                       17
EXEMPLARY CLAIM:
                       1
NUMBER OF DRAWINGS:
                       2 Drawing Page(s)
LINE COUNT:
                       3792
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
AB
      The present invention relates to a pharmaceutical agent containing an
      anti-sepsis drug (e.g., cycloalkene compound), and at least one kind of
```

drug selected from the group consisting of an antibacterial agent, an

antifungal agent, a non-steroidal antiinflammatory drug, a steroid and an anticoagulant in combination.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

```
IT
      Antibacterial agents
IT
      Anticoagulants
IT
      Disinfectants
      Drug interactions
IT
IΤ
      Fungicides
IT
      Sepsis
         (combination of cycloalkene antiseptics and other drugs)
ΙT
      Steroids, biological studies
         (combination of cycloalkene antiseptics and other drugs)
IT
      Drug delivery systems
         (injections; combination of cycloalkene antiseptics and other drugs)
IT
      Anti-inflammatory agents
         (nonsteroidal; combination of cycloalkene antiseptics and other drugs)
ΙT
      Drug delivery systems
        (tablets; combination of cycloalkene antiseptics and other drugs)
      174317-21-6P
IT
                     243983-42-8P
                                     243983-43-9P
                                                    243983-44-0P
                                                                    243983-45-1P
      243983-46-2P
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                     243983-52-0P
                                                    243983-54-2P
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                                     243983-53-1P
      243983-56-4P
                     243983-57-5P
                                     243983-58-6P
                                                    243983-59-7P,
      1-Cyclohexene-1-carboxylic acid, 2-[[(4-fluorophenyl)amino]sulfonyl]-,
      ethyl ester
                    243983-62-2P
                                    243983-63-3P
                                                   243983-64-4P
                                                                   243983-65-5P
      243983-66-6P
                     243983-67-7P, Benzoic acid, 2-[[[2-(ethoxycarbonyl)-2-
      cyclohexen-1-yl]sulfonyl]amino]-, methyl ester
                                                        243983-68-8P
                     243983-70-2P
      243983-69-9P
                                     243983-71-3P
                                                    243983-72-4P
                                                                    243983-73-5P
      243983-74-6P
                     243983-75-7P
                                     243983-77-9P
                                                    243983-78-0P
                                                                    243983-79-1P
      243983-80-4P
                     243983-81-5P
                                     243983-82-6P
                                                    243983-83-7P
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                     243983-86-0P
                                     243983-87-1P
                                                    243983-88-2P
                                                                    243983-89-3P
      243983-90-6P
                     243983-91-7P
                                     243983-92-8P
                                                    243983-93-9P
                                                                    243983-94-0P
                     243983-96-2P
      243983-95-1P
                                     243983-97-3P
                                                    243983-98-4P
                                                                    243983-99-5P
      243984-00-1P
                     243984-01-2P
                                     243984-02-3P
                                                    243984-03-4P
                                                                    243984-04-5P
      243984-05-6P
                     243984-06-7P
                                     243984-07-8P
                                                    243984-08-9P
                                                                    243984-09-0P
      243984-10-3P, 1-Cyclohexene-1-carboxylic acid, 6-[[(2-chloro-4-
      fluorophenyl)amino]sulfonyl]-, ethyl ester, (6S)-
                                                           243984-11-4P
      243984-12-5P
                     243984-13-6P
                                     243984-14-7P
                                                    243984-15-8P
                                                                    243984-16-9P
      243984-17-0P
                     243984-18-1P
                                     243984-19-2P, 1-Cyclohexene-1-carboxylic
      acid, 6-[[(2,4-difluorophenyl)amino]sulfonyl]-3-(1,1-dimethylethyl)-,
      ethyl ester, (3R,6R)-rel-
                                  243984-20-5P 243984-21-6P
                                                                  243984-22-7P
      243984-23-8P, 1-Cyclohexene-1-carboxylic acid, 6-[[(2-chloro-4-
      fluorophenyl)amino]sulfonyl]-3,3-dimethyl-, ethyl ester
                                                                  243984-24-9P
                     352006-80-5P
      352006-79-2P
                                     352006-81-6P
        (combination of cycloalkene antiseptics and other drugs)
IT
      72558-82-8, Ceftazidime
        (combination of cycloalkene antiseptics and other drugs)
IT
      54928-91-5
        (combination of cycloalkene antiseptics and other drugs)
                     243984-28-3P
IT
      243984-27-2P
                                     243984-29-4P
                                                    243984-30-7P
                                                                    243984-31-8P
      243984-32-9P
                     243984-33-0P
                                                    243984-35-2P
                                     243984-34-1P
                                                                    243984-37-4P
                                                    243984-41-0P
      243984-38-5P
                     243984-39-6P
                                     243984-40-9P
                                                                    243984-43-2P
      243984-44-3P
                     243984-46-5P
        (combination of cycloalkene antiseptics and other drugs)
ΙT
                     324767-79-5P
      243984-26-1P
                                                    324767-81-9P
                                     324767-80-8P
                                                                    324767-82-0P
      324767-85-3P
                     324767-86-4P
                                     324767-92-2P
                                                    324767-94-4P
                                                                    324767-95-5P
        (combination of cycloalkene antiseptics and other drugs)
     ANSWER 42 OF 55
                      USPATFULL on STN
ACCESSION NUMBER:
                        2004:25269 USPATFULL
TITLE:
                        Methods and compositions for the treatment of
                        neuropathic pain, tinnitus, and other
                        disorders using R(-)-ketoprofen
INVENTOR(S):
                        Jerussi, Thomas P., Framingham, MA, UNITED STATES
                        Rubin, Paul D., Sudbury, MA, UNITED STATES
PATENT ASSIGNEE(S):
                        Sepracor, Inc. (U.S. corporation)
                             NUMBER
                                          KIND
                                                   DATE
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PATENT INFORMATION: US 2004019111 20040129 Α1 20030717 (10) US 2003-620704 APPLICATION INFO.: A1 RELATED APPLN. INFO.: Division of Ser. No. US 2002-62766, filed on 5 Feb 2002, GRANTED, Pat. No. US 6620851 Division of Ser. No. US 2000-507470, filed on 22 Feb 2000, GRANTED, Pat. No. US 6362227 NUMBER DATE PRIORITY INFORMATION: US 1999-122382P 19990302 (60) DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000, LEGAL REPRESENTATIVE: WASHINGTON, DC, 20006 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 881 LINE COUNT: CAS INDEXING IS AVAILABLE FOR THIS PATENT. Methods of treating neuropathic pain, tinnitus, and related disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical compositions useful in the treatment of neuropathic pain and tinnitus which comprise optically pure R(-)-ketoprofen. CAS INDEXING IS AVAILABLE FOR THIS PATENT. IΤ Nervous system (Guillain-Barre syndrome; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TΤ Antibiotics (aminoglycoside; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) IT Brain (cerebellum, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TT Movement disorders (cerebral palsy; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TT Analgesics ΙT Anemia (disease) ΙT Aneurysm ΙT Arteriosclerosis ΙT Cardiovascular agents ΙT Diuretics ΙT Hypertension ΙT Hypothyroidism ΙT Meningitis TΤ Syphilis (compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) IT Heavy metals (compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TΤ Cardiovascular system IT Spinal cord (disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) IT (inner, labyrinthitis; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) Nerve, disease (neuropathy, pain from; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TТ (otitis; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) ΙT Nerve, disease (peripheral, injury; compns. for treatment of neuropathic pain and

tinnitus with R-(-)-ketoprofen)

IT Brain (stem, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Drug delivery systems

(tablets; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Brain

(thalamus, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Ear

(tinnitus; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Injury

(trauma; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT 63-36-5D, Salicylate, derivs., biological studies 130-95-0, Quinine 630-08-0, Carbon monoxide, biological studies (compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT 56105-81-8, (-)-Ketoprofen 56105-81-8D, (-)-Ketoprofen, salts or solvates

(compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

L9 ANSWER 43 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2004:24403 USPATFULL

TITLE: Bioadhesive compositions and methods for topical

administration of active agents

INVENTOR(S): Houze, David, Coconut Grove, FL, UNITED STATES

Mantelle, Juan, Miami, FL, UNITED STATES Kanios, David, Miami, FL, UNITED STATES

PATENT ASSIGNEE(S): NOVEN PHARMACEUTICALS, INC. (U.S. corporation)

NUMBER KIND DATE
US 2004018241 A1 20040129

APPLICATION INFO.: US 2003-436126 A1 20030513 (10)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1998-161312, filed

on 28 Sep 1998, GRANTED, Pat. No. US 6562363

NUMBER DATE

PRIORITY INFORMATION: WO 1998-US20091 19980925

US 1997-60155P 19970926 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: FOLEY AND LARDNER, SUITE 500, 3000 K STREET NW,

WASHINGTON, DC, 20007

NUMBER OF CLAIMS: 24 EXEMPLARY CLAIM: 1 LINE COUNT: 2739

PATENT INFORMATION:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Bioadhesive compositions in a flexible, finite form for topical application to skin or mucous membranes comprising a composition which results from an admixture of at least one PVP polymer, at least one bioadhesive, optionally a pharmaceutically acceptable solvent suitable for use with an active agent, and methods of administering active agents to a subject, are disclosed. The bioadhesive composition can either include an active agent incorporated directly in the composition, or a separate source of an active agent.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Polysaccharides, biological studies

(bioadhesive compns. for topical administration of active agents)

IT Drug delivery systems

(bioadhesive; bioadhesive compns. for topical administration of active agents)

IT Alcohols, biological studies

(polyhydric; bioadhesive compns. for topical administration of active

agents)
56-81-5, Glycerol, biological studies 9000-36-6, Karaya gum

25086-89-9, Kollidon VA64

(bioadhesive compns. for topical administration of active agents)

137-58-6, Lidocaine 1393-25-5, Secretin 1786-81-8, Prilocaine hydrochloride 9003-39-8, Pvp 170277-31-3, Infliximab 185243-69-0,

Etanercept

IT

TΤ

(bioadhesive compns. for topical administration of active agents)

L9 ANSWER 44 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2003:300888 USPATFULL

TITLE: Stable emulsion compositions INVENTOR(S): Sato, Jun, Kawanishi-shi, JAPAN

APPLICATION INFO.: US 2002-182762 A1 20020730 (10)

WO 2001-JP705 20010201

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TAKEDA PHARMACEUTICALS NORTH AMERICA, INC, INTELLECTUAL

PROPERTY DEPARTMENT, 475 HALF DAY ROAD, SUITE 500,

LINCOLNSHIRE, IL, 60069

NUMBER OF CLAIMS: 29 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 1 Drawing Page(s)

LINE COUNT: 3760

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB An emulsion composition containing a compound represented by the

formula: ##STR1##

wherein R is an aliphatic hydrocarbon group optionally having substituents, an aromatic hydrocarbon group optionally having substituents, a heterocyclic group optionally having substituents, a group represented by the formula: --OR.sup.1 (wherein R.sup.1 is a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents) or a group represented by the formula: ##STR2##

wherein R.sup.1b is a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents, R.sup.1c is the same as or different from R.sup.1b and is a hydrogen atom or an aliphatic hydrocarbon group optionally having substituents, R.sup.0 is a hydrogen atom or an aliphatic hydrocarbon group, or R and R.sup.0 in combination represent a bond, Ar is an aromatic hydrocarbon group optionally having substituents ##STR3##

and the like, and n is an integer of 1 to 4, a salt thereof or a prodrug thereof, wherein the composition is adjusted to have a pH of not more than about 6, shows improved stability of the compound, a salt thereof or a prodrug thereof, and realizes expression of superior efficacy.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Autoimmune disease

IT Heart, disease

IT Sepsis

(anti-inflammatory emulsions containing phenylsulfamoylcyclohexene carboxylate derivs.)

IT Cytokines

IT Interleukin 1

IT Interleukin 6

IT Tumor necrosis factors

(anti-inflammatory emulsions containing phenylsulfamoylcyclohexene carboxylate derivs.)

IT Corn oil

IT Cottonseed oil

IT Glycerides, biological studies

IT Olive oil

IT Peanut oil

```
ΙT
      Phosphatidic acids
ΙT
      Phosphatidylcholines, biological studies
ΙT
      Phosphatidylethanolamines, biological studies.
ΙT
      Phosphatidylglycerols
IT
      Phosphatidylinositols
ΙT
      Rape oil
ΙT
      Safflower oil
ΙT
      Soybean oil
ΙT
      Sunflower oil
         (anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
ΙT
        (egg yolk; anti-inflammatory emulsions containing
        phenylsulfamoylcyclohexene carboxylate derivs.)
ΙT
      Drug delivery systems
        (emulsions; anti-inflammatory emulsions containing
        phenylsulfamoylcyclohexene carboxylate derivs.)
ΙT
      Fats and Glyceridic oils, biological studies
        (poppyseed; anti-inflammatory emulsions containing
        phenylsulfamoylcyclohexene carboxylate derivs.)
ΙT
      Fats and Glyceridic oils, biological studies
        (rice bran; anti-inflammatory emulsions containing
        phenylsulfamoylcyclohexene carboxylate derivs.)
IT
      Shock (circulatory collapse)
        (septic; anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
ΙT
      Fats and Glyceridic oils, biological studies
        (sesame; anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
ΙT
      Lecithins
        (soya; anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
TΤ
      Fats and Glyceridic oils, biological studies
        (vegetable, partially hydrogenated; anti-inflammatory emulsions containing
        phenylsulfamoylcyclohexene carboxylate derivs.)
IT
      174317-21-6
                    243983-42-8
                                   243983-43-9
                                                 243983-44-0
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      243983-51-9
                    243983-52-0
                                   243983-53-1
                                                 243983-54-2
                                                                243983-55-3
      243983-56-4
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                                                 243983-59-7
                                                                243983-62-2
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                                   243983-80-4
                                                 243983-81-5
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                                   243983-85-9
                                                 243983-86-0
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                                                               243983-92-8
                    243983-94-0
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                                   243983-95-1
                                                 243983-96-2
                                                               243983-97-3
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      243983-98-4
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                                                               243984-02-3
      243984-03-4
                    243984-04-5
                                   243984-05-6
                                                 243984-07-8
                                                                243984-08-9
      243984-09-0
                    243984-10-3
                                   243984-11-4
                                                 243984-12-5
                                                                243984-13-6
      352006-79-2
                    352006-80-5
                                   352006-81-6
        (anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
ΙT
      10102-43-9, Nitrogen oxide (NO), biological studies
        (anti-inflammatory emulsions containing phenylsulfamoylcyclohexene
        carboxylate derivs.)
                      USPATFULL on STN
L9
     ANSWER 45 OF 55
ACCESSION NUMBER:
                        2003:264858 USPATFULL
TITLE:
                        Methods and drug delivery systems for the treatment of
                        orofacial diseases
INVENTOR(S):
                        Kochinke, Frank, San Jose, CA, UNITED STATES
                             NUMBER
                                           KIND
                                                   DATE
                                          ----- -----
PATENT INFORMATION:
                        US 2003185872
                                                 20031002
                                            A 1
APPLICATION INFO.:
                        US 2002-113730
                                            Α1
                                                 20020327
                                                           (10)
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        APPLICATION
LEGAL REPRESENTATIVE:
                        REED & EBERLE LLP, 800 MENLO AVENUE, SUITE 210, MENLO
```

PARK, CA, 94025

NUMBER OF CLAIMS: 136 EXEMPLARY CLAIM: 1 LINE COUNT: 2698

ΙT

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention relates to methods of treating various orofacial diseases involving inflammation, infection and/or pain, using intratissue controlled release drug delivery systems. More particularly, the invention relates to methods for localized or targeted administration of a sustained release formulation of an agent such as an anti-inflammatory agent to a specified tissue location within the orofacial environment.

orofacial environment. CAS INDEXING IS AVAILABLE FOR THIS PATENT. Analgesics IT Anesthetics TT Anti-inflammatory agents TΤ Antibacterial agents ΙT Antitumor agents IT Bone formation IT Disease, animal IT Dissolution IT Drug delivery systems IT Human ΙT Inflammation ΙT Muscle relaxants ΙT Pain ΙT Periodontium, disease ΙT Radiotherapy (drug delivery systems for treatment of orofacial diseases) ΙT Growth factors, animal ΙT Prostaglandins (drug delivery systems for treatment of orofacial diseases) ΙT Thyroid gland (drugs for; drug delivery systems for treatment of orofacial diseases) ΙT Drug delivery systems (microparticles; drug delivery systems for treatment of orofacial diseases) ΙT Anti-inflammatory agents (nonsteroidal; drug delivery systems for treatment of orofacial diseases) ΙT Drug delivery systems (semisolid; drug delivery systems for treatment of orofacial diseases) TΤ Drug delivery systems (solids; drug delivery systems for treatment of orofacial diseases) IΤ Inflammation ΙT Mouth, disease (stomatitis; drug delivery systems for treatment of orofacial diseases) IT13598-36-2D, Phosphonic acid, alkylidenebis- derivs. (Bisphosphonate; drug delivery systems for treatment of orofacial diseases) ΙT 50-18-0, Cyclophosphamide 50-02-2, Dexamethasone 50-23-7, 50-24-8, Prednisolone 51-21-8, Fluorouracil Hydrocortisone 51-48-9, Thyroxine, biological studies 51-52-5, Propylthiouracil 53-06-5, 53-33-8, Paramethasone 58-05-9, Leucovorin Cortisone 60-54-8, 60-56-0, Methimazole 67-73-2, Fluocinolone acetonide Tetracycline 127-31-1, Fludrocortisone 124-94-7, Triamcinolone 125-58-6 137-58-6, Lidocaine 356-12-7, Fluocinonide 378-44-9, Betamethasone 1524-88-5, Flurandrenolide 2668-66-8, Medrysone 1404-90-6, Vancomycin 3093-35-4, Halcinonide 3801-06-7, Fluorometholone acetate 4533-89-5, Flunisolide acetate 5534-09-8, Beclomethasone dipropionate 6893-02-3, 9001-78-9, Alkaline phosphatase Triiodothyronine 9002-72-6, Growth 15663-27-1, Cisplatin 25122-46-7, Clobetasol propionate hormone 33564-31-7, Diflorasone diacetate 40391-99-9 41575-94-4, Carboplatin 51333-22-3, Budesonide 51022-69-6, Amcinonide 63612-50-0, Nilutamide 66734-13-2, Alclometasone dipropionate 66635-92-5, S-Ketorolac 90350-40-6 85721-33-1, Ciprofloxacin 129318-43-0, Alendronate sodium

(drug delivery systems for treatment of orofacial diseases) 80619-02-9, 5-Lipoxygenase 141907-41-7, Matrix metalloendoproteinase

(inhibitors; drug delivery systems for treatment of orofacial diseases)

L9 ANSWER 46 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2003:145892 USPATFULL

TITLE: Curing method for pathologic syndrome and medicinal

preparation

INVENTOR(S): Epshtein, Oleg Iliich, Kazeny, RUSSIAN FEDERATION

Shtark, Mark Borisovich, Zolotodolinskaya, RUSSIAN

FEDERATION

Kolyadko, Tamara Mikhailovna, Shironitsev, RUSSIAN

FEDERATION

NUMBER DATE

PRIORITY INFORMATION: RU 2000-115594 20000620

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Ilya Zborovsky, 6 Schoolhouse Way, Dix Hills, NY, 11746

NUMBER OF CLAIMS: 16
EXEMPLARY CLAIM: 1
LINE COUNT: 2894

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a pathological syndrome includes administration of an activated form of ultra-low doses of antibodies to an antigen, wherein said activated form is obtained by repeated consecutive dilution combined with external impact, and the antigen is a substance or a pharmaceutical agent exerting influence upon the mechanisms of formation of this particular pathological syndrome.

Pharmaceutical agent for treating a pathological syndrome contains activated form of ultra-low doses of monoclonal, polyclonal or natural antibodies to an antigen, wherein said activated form is prepared by means of repeated consecutive dilution and external treatment, predominantly based on homeopathic technology, and said antigen is a substance or a drug acting as a direct cause of the pathological syndrome or involved in regulation of mechanisms of its formation. At that, activated forms of ultra-low doses of antibodies are raised against antigens of exogenous or endogenous origin, against autologous antigens, fetal antigens; anti-idiotypic antibodies are used too.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Blood-group substances

(Rh, antibodies to; curative method for pathol. syndromes and homeopathic medicinal prepns.)

- IT Cannabinoids
- IT Interferons
- IT Prostaglandins

(antibodies to; curative method for pathol. syndromes and homeopathic medicinal prepns.)

- IT Antibodies
- IT Antigens
- IT Haptens

(curative method for pathol. syndromes and homeopathic medicinal prepns.)

IT Drug delivery systems

(homeopathic; curative method for pathol. syndromes and homeopathic medicinal prepns.)

IT Antibodies

(monoclonal; curative method for pathol. syndromes and homeopathic medicinal prepns.)

IT 50-02-2 50-06-6, Phenobarbital, biological studies 50-23-7, Hydrocortisone 50-28-2, Estradiol, biological studies 50-35-1, Thalidomide 50-37-3, Lsd 50-48-6, Amitriptyline 50-49-7, Imipramine

50-55-5, Reserpine 50-67-9, Serotonin, biological studies 50-78-2, Aspirin 51-41-2, Noradrenalin 51-45-6, Histamine, biological studies 51-55-8, Atropine, biological studies 51-60-5, Proserine 51-61-6, Dopamine, biological studies 51-84-3, Acetylcholine, biological studies 52-53-9, Verapamil 52-86-8, Haloperidol 53-86-1, Indomethacin 54-11-5, Nicotine 54-31-9, Furosemide 54-85-3, Isoniazid 55-63-0, 56-40-6, Glycine, biological studies 56-84-8, Aspartic Nitroglycerin acid, biological studies 56-86-0, Glutamic acid, biological studies 57-27-2, Morphine, biological studies 57-41-0, Phenytoin 57-47-6, 57-66-9, Probenecid 57-92-1, Streptomycin, biological Physostigmine studies 58-08-2, Caffeine, biological studies 58-22-0, Testosterone 58-55-9, Theophylline, biological studies 58-82-2, Bradykinin 58-93-5, Hypothiazide 59-05-2, Methotrexate 59-26-7, Cordiamine 59-43-8, Thiamin, biological studies 59-66-5, Acetazolamide 59-67-6, Nicotinic acid, biological studies 59-92-7, Levo-dopa, biological 60-99-1, Tisercin 64-39-1, Promedol 71-63-6, Digitoxin studies 71-73-8, Thiopental sodium 76-57-3, Codeine 77-10-1, Phencyclidine 86-54-4, Apressin 87-33-2, Nitrosorbide 92-84-2, Phenothiazine 97-77-8, Disulfiram 103-90-2, Paracetamol 137-58-6, Lidocaine 146-22-5, Nitrazepam 298-46-4, Tegretol 299-42-3, Ephedrine 318-98-9, Anapriline 364-62-5, Metoclopramide 437-38-7, Fentanil 439-14-5, Diazepam 443-48-1, Metronidazole 465-65-6, Naloxone 511-12-6, Dihydroergotamine 586-06-1, Orciprenaline 621-72-7, Dibazol 835-31-4, Naphthizine 982-43-4, Libexin 985-12-6, No-spa 1069-66-5, Depakin 1078-21-3, Phenibut 1134-47-0, Baclofen 1406-16-2, Vitamin 1406-18-4, Vitamin e 1490-04-6, Menthol 1972-08-3, Tetrahydrocannabinol 2898-12-6, Mezapam 3644-61-9, Midocalm 3737-09-5, Ritmilen 3930-20-9, Sotalol 4205-91-8, Clofelin 5786-21-0, Azaleptine 6740-88-1, Ketamine 6893-02-3, Triiodothyronine 7085-55-4, Troxerutin 7491-74-9, Nootropil 9002-72-6, Somatotropin 9004-10-8, Insulin, biological studies 9005-49-6, Heparin, biological 9007-12-9, Calcitonin 9007-92-5, Glucagon, biological studies 9015-82-1, Angiotensin-converting enzyme 9015-94-5, Renin, biological 9025-82-5, Phosphodiesterase 9035-34-1, Cytochrome a studies 10540-29-1, Tamoxifen 11103-57-4, Vitamin A 11128-99-7, Angiotensin 12656-61-0, Cerebrolysin 13292-46-1, Rifampicin 13311-84-7, Flutamide 13392-18-2, Fenoterol 14286-84-1, Halidor 14402-89-2, Sodium nitroprusside 14611-51-9, Selegiline 14769-73-4, Levamisol 14838-15-4, Norephedrine 14976-57-9, Tavegil 15307-86-5, Diclofenac 15663-27-1, Cisplatin 15687-27-1, Ibuprofen 15876-67-2, Ubretid 16110-51-3, Cromolyn 16773-42-5, Ornidazole 17479-19-5, Dihydroergocristine 18559-94-9, Salbutamol 19216-56-9, Prazosin 19774-82-4, Cordarone 20830-75-5, Digoxin 22254-24-6, Atrovent 23214-92-8, Doxorubicin 23288-49-5, Probucol 23476-83-7, Prospidine 25614-03-3, Bromocryptine 25717-80-0, Molsidomine 27236-88-0, Sodium hydroxybutyrate 28797-61-7, Pirenzepine 29122-68-7, Atenolol 31637-97-5, Etofibrate 34262-84-5 34580-13-7, Ketotifen 34580-14-8, Zaditen 36282-47-0, Tramal 36894-69-6 39391-18-9, Cyclooxygenase 42399-41-7, Diltiazem 42408-82-2, Butorphanol 51753-57-2, Phenazepam 54063-53-5, Propafenone 54739-18-3, Fluvoxamine 54910-89-3, Fluoxetine 55142-85-3, Ticlopidine 57808-66-9, Motilium 59122-46-2, Misoprostol 59467-70-8, Midazolam 62571-86-2, Captopril 62683-29-8, Colony stimulating factor 66357-35-5, Ranitidine 66829-00-3, 71320-77-9, Moclobemide 72841-18-0, Cytochrome a3 Aminalone 73590-58-6, Omeprazole 75438-57-2, Moxonidine 75847-73-3, Enalapril 79617-96-2, Sertraline 76824-35-6, Famotidine 79794-75-5, Loratadine 80214-83-1, Rulid 81093-37-0, Pravastatin 82626-48-0, Zolpidem 84057-84-1, Lamotrigin 85721-33-1, Ciprofloxacin 88040-23-7, Tsefepim 96829-58-2, Orlistat 103628-46-2, Sumatriptan 106266-06-2, 106463-17-6, Omnic 110942-02-4, Aldesleukin Risperidone 111470-99-6, Norvasc 121181-53-1, Filgrastim 124750-99-8, Cozaar 142805-56-9, Topoisomerase ii 214692-62-3, Omez 383123-63-5, Detralex (antibodies to; curative method for pathol. syndromes and homeopathic medicinal prepns.)

L9 ANSWER 47 OF 55 USPATFULL on STN

ACCESSION NUMBER: 2002:266356 USPATFULL

TITLE: Methods and compositions for the treatment of neuropathic pain, tinnitus, and other

disorders using R(-)-ketoprofen

Jerussi, Thomas P., Framingham, MA, UNITED STATES

Rubin, Paul D., Sudbury, MA, UNITED STATES

PATENT ASSIGNEE(S): Sepracor, Inc. (U.S. corporation)

US 6620851 B2 20030916
APPLICATION INFO.: US 2002-62766 A1 20020205 (10)

RELATED APPLN. INFO.: Division of Ser. No. US 2000-507470, filed on 22 Feb

2000, GRANTED, Pat. No. US 6362227

NUMBER DATE

PRIORITY INFORMATION: US 1999-122382P 19990302 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PENNIE & EDMONDS LLP, 1667 K STREET NW, SUITE 1000,

WASHINGTON, DC, 20006

NUMBER OF CLAIMS: 44
EXEMPLARY CLAIM: 1
LINE COUNT: 885

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Methods of treating neuropathic pain, tinnitus, and related disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical compositions useful in the treatment of neuropathic pain and tinnitus which comprise optically pure R(-)-ketoprofen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Nervous system

(Guillain-Barre syndrome; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Antibiotics

(aminoglycoside; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Brain

INVENTOR(S):

(cerebellum, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Movement disorders

(cerebral palsy; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Analgesics

IT Anemia (disease)

IT Aneurysm

IT Arteriosclerosis

IT Cardiovascular agents

IT Diuretics

IT Hypertension

IT Hypothyroidism

IT Meningitis

IT Syphilis

(compns. for treatment of neuropathic pain and tinnitus with $R-(-)-{\sf ketoprofen})$

IT Heavy metals

(compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Cardiovascular system

IT Spinal cord

(disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Ear

(inner, labyrinthitis; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Nerve, disease

(neuropathy, pain from; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

ΙT Ear (otitis; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TТ Nerve, disease (peripheral, injury; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TΤ Brain (stem, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) IT Drug delivery systems (tablets; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) IΤ Brain (thalamus, disease; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TT (tinnitus; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) ТТ Injury (trauma; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) 63-36-5D, Salicylate, derivs., biological studies ΤТ 130-95-0, Quinine 630-08-0, Carbon monoxide, biological studies (compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) TΤ 56105-81-8, (-)-Ketoprofen 56105-81-8D, (-)-Ketoprofen, salts or solvates (compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen) L9 ANSWER 48 OF 55 USPATFULL on STN ACCESSION NUMBER: 2002:63939 USPATFULL TITLE: Methods for the treatment of tinnitus and other disorders using R(-)ketoptofen INVENTOR(S): Jerussi, Thomas P., Framingham, MA, United States Rubin, Paul D., Sudbury, MA, United States Sepracor, Inc., Marlborough, MA, United States (U.S. PATENT ASSIGNEE(S): corporation) NUMBER KIND DATE US 6362227 В1 PATENT INFORMATION: 20020326 20000222 (9) APPLICATION INFO.: US 2000-507470 DATE NUMBER PRIORITY INFORMATION: US 1999-122382P 19990302 (60) DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED Criares, Theodore J. PRIMARY EXAMINER: ASSISTANT EXAMINER: Kim, Jennifer LEGAL REPRESENTATIVE: Pennie & Edmonds LLP NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1 NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s) LINE COUNT: 772 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Methods of treating neuropathic pain, tinnitus, and AΒ related disorders are disclosed. These methods comprise the administration of optically pure R(-)-ketoprofen. Also disclosed are pharmaceutical compositions useful in the treatment of neuropathic pain and tinnitus which comprise optically pure R(-)-ketoprofen.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Nervous system

(Guillain-Barre syndrome; compns. for treatment of neuropathic pain and tinnitus with R-(-)-ketoprofen)

IT Antibiotics

```
(aminoglycoside; compns. for treatment of neuropathic pain and tinnitus
        with R-(-)-ketoprofen)
ΙT
      Brain
        (cerebellum, disease; compns. for treatment of neuropathic pain and
        tinnitus with R-(-)-ketoprofen)
IT
      Movement disorders
        (cerebral palsy; compns. for treatment of neuropathic pain and tinnitus
        with R-(-)-ketoprofen)
ΙT
      Analgesics
ΙT
      Anemia (disease)
IT
      Aneurysm
ΙT
      Arteriosclerosis
ΙT
      Cardiovascular agents
ΙT
      Diuretics
ΙT
      Hypertension
ΙT
      Hypothyroidism
ΙT
      Meningitis
ΙT
      Syphilis
        (compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
      Heavy metals
TΤ
        (compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
IΤ
      Cardiovascular system
ΙT
      Spinal cord
        (disease; compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
IT
        (inner, labyrinthitis; compns. for treatment of neuropathic pain and
        tinnitus with R-(-)-ketoprofen)
IT
      Nerve, disease
        (neuropathy, pain from; compns. for treatment of neuropathic pain and
        tinnitus with R-(-)-ketoprofen).
ΙT
        (otitis; compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
ΙT
      Nerve, disease
        (peripheral, injury; compns. for treatment of neuropathic pain and
        tinnitus with R-(-)-ketoprofen)
ΙT
        (stem, disease; compns. for treatment of neuropathic pain and tinnitus
        with R-(-)-ketoprofen)
IT
      Drug delivery systems
        (tablets; compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
IT
      Brain
        (thalamus, disease; compns. for treatment of neuropathic pain and
        tinnitus with R-(-)-ketoprofen)
TT
      Ear
        (tinnitus; compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
TΤ
      Injury
        (trauma; compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
ΙT
      63-36-5D, Salicylate, derivs., biological studies
                                                           130-95-0, Quinine
      630-08-0, Carbon monoxide, biological studies
        (compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
ΙT
      56105-81-8, (-)-Ketoprofen
                                   56105-81-8D, (-)-Ketoprofen, salts or
      solvates
        (compns. for treatment of neuropathic pain and tinnitus with
        R-(-)-ketoprofen)
     ANSWER 49 OF 55
L9
                      USPATFULL on STN
ACCESSION NUMBER:
                        1999:141355 USPATFULL
TITLE:
                        Polyetherester copolymers as drug delivery matrices
INVENTOR(S):
                        Goedemoed, Jaap H., Amsterdam, Netherlands
                        Hennink, Wim E., Waddinxveen, Netherlands
```

Osteotech, Inc., Eatontown, NJ, United States (U.S.

PATENT ASSIGNEE(S):

corporation)

NUMBER KIND DATE ______ US 5980948 US 1996-699896 19991109 PATENT INFORMATION: 19960816 APPLICATION INFO.: (8) DOCUMENT TYPE: Utility FILE SEGMENT: Granted Kulkosky, Peter F. PRIMARY EXAMINER: LEGAL REPRESENTATIVE: Banner & Witcoff, Ltd. 48 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 18 Drawing Figure(s); 15 Drawing Page(s) NUMBER OF DRAWINGS: LINE COUNT: 2170 CAS INDEXING IS AVAILABLE FOR THIS PATENT. A composition for delivering a biologically active agent to a host. The AB composition comprises a product including a biologically active agent encapsulated in a matrix comprising a polyetherester copolymer, such as a polyethylene glycol terephthalate/polybutylene terephthalate copolymer. The polyetherester copolymer protects the biologically active agent (including proteins, peptides, and small drug molecules) from degradation or denaturation, and therefore such copolymers may be employed in a variety of drug delivery systems and vaccines. CAS INDEXING IS AVAILABLE FOR THIS PATENT. Polyoxyalkylenes, biological studies TΤ (polyester-; polyetherester copolymers as drug delivery matrixes) ΙT Microspheres (drug delivery systems) ΙT Vaccines (polyetherester copolymers as drug delivery matrixes) IT Polyesters, biological studies (polyoxyalkylene-; polyetherester copolymers as drug delivery matrixes) 30497-78-0P ΙT (polyetherester copolymers as drug delivery matrixes) ΙT 26780-50-7, Glycolide-lactide copolymer (polyetherester copolymers as drug delivery matrixes) ANSWER 50 OF 55 USPATFULL on STN ACCESSION NUMBER: 1998:17360 USPATFULL TITLE: Compositions and methods for topical administration of pharmaceutically active agents INVENTOR(S): Kanios, David P., Miami, FL, United States Gentile, Joseph A., Plantation, FL, United States Mantelle, Juan A., Miami, FL, United States Sablotsky, Steven, Miami, FL, United States PATENT ASSIGNEE(S): Noven Pharmaceuticals, Inc., Miami, FL, United States (U.S. corporation) NUMBER KIND DATE US 5719197 US 1995-477361 PATENT INFORMATION: 19980217 APPLICATION INFO.: 19950607 (8) RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1993-112330, filed on 27 Aug 1993, now patented, Pat. No. US 5446070 which is a continuation-in-part of Ser. No. US 1991-813196, filed on 23 Dec 1991, now patented, Pat. No. US 5234957 which is a continuation-in-part of Ser. No. US 1991-661827, filed on 27 Feb 1991, now abandoned , said Ser. No. US 1995-477361, filed on 7 Jun 1995 which is a continuation-in-part of Ser. No. US 1993-67001, filed on 26 May 1993 which is a continuation of Ser. No. US 1991-671709, filed on 2 Apr 1991, now patented, Pat. No. US 5300291 which is a continuation-in-part of Ser. No. US 1989-295847, filed on 11 Jan 1989, now patented, Pat. No. US 4994267 which is a continuation-in-part of Ser. No. US 1988-164482, filed on 4 Mar 1988, now patented, Pat. No. US 4814168

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Azpuru, Carlos A. LEGAL REPRESENTATIVE: Foley & Lardner NUMBER OF CLAIMS: 27 EXEMPLARY CLAIM: 1 LINE COUNT: 1799 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Compositions for topical application comprising a therapeutically effective amount of a pharmaceutical agent(s), a pharmaceutically acceptable bioadhesive carrier, a solvent for the pharmaceutical agent(s) in the carrier and a clay, and methods of administering the pharmaceutical agents to a mammal are disclosed. CAS INDEXING IS AVAILABLE FOR THIS PATENT. Muscarinic receptors (blocking drugs; topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) ΙT Nervous system diseases (dizziness, inhibitors; topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) ΙT Nervous system agents (miotics; topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) ΙT Eve ΙT Nervous system agents (mydriatics; topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) IΤ Hormones (animal), biological studies (non-steroidal; topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) IΤ Solvents (topical pharmaceutical compns. comprising bioadhesive carrier, solvent and clay) IT Adrenoceptor agonists ΙT Allergy inhibitors IΤ Analgesics IΤ Androgens TΤ Anti-inflammatory drugs IΤ Antiandrogens ΙT Antiarrhythmic drugs ΙT Anticonvulsants TΨ Antidepressants ΤТ Antidiabetic agents ΤТ Antiestrogens TΤ Antihistamines TΤ Antihypertensives TT Antimalarials ΙT Antimicrobial agents ΙT Antimigraine drugs ΙT Antiparkinsonian agents ITAntipsychotics ΙT Antipyretics IT Antitumor agents ΙT Antiulcer agents IΤ Appetite depressants ΙT Bentonite, biological studies IT Calcium channel blockers ΙT Cardiotonics ΙT Cholinergic agonists ΙT Clays, biological studies ΙT Coronary vasodilators IT Decongestants IT Enzymes, biological studies IT Estrogens TΤ Fungicides Glycols, biological studies TΤ Inhalants (drug delivery systems) TΨ ΙT Mucous membrane ΙT Muscarinic antagonists ΙT Muscle relaxants

```
IT
      Nervous system agents
TΤ
      Peptides, biological studies
ΙT
      Plasticizers
ΙT
      Polyhydric alcohols
ΙT
      Polyoxyalkylenes, biological studies
IT
      Resins
TT
      Skin
      Spasmolytics
TT
      Topical drug delivery systems
IΤ
ΙT
      Tranquilizers
IT
      Vasoconstrictors
ΙT
      Vitamins
IT
      β-Adrenoceptor antagonists
        (topical pharmaceutical compns. comprising bioadhesive carrier, solvent
        and clay)
                        50-28-2, Estradiol, biological studies
IT
      50-27-1, Estriol
                                                                 50-28-2D,
      Estradiol, esters 50-70-4, Sorbitol., biological studies 51-98-9,
                            52-76-6 53-16-7, Estrone, biological studies
      Norethindrone acetate
      56-53-1, Diethylstilbestrol 56-81-5, 1,2,3-Propanetriol, biological
              57-55-6, 1,2-Propanediol, biological studies 57-63-6, Ethinyl
      estradiol;
                  57-83-0, Progesterone, biological studies 58-18-4,
      Methyltestosterone 58-22-0, Testosterone; 59-46-1, Procaine
      68-22-4, Norethindrone 68-23-5, Norethynodrel 68-96-2,
      Hydroxyprogesterone 71-58-9, Medroxyprogesterone acetate;
      Mestranol 76-43-7, Fluoxymesterone; 79-64-1, Dimethisterone
      85-79-0, Dibucaine 94-09-7, Benzocaine 94-24-6, Tetracaine
                                                                      96-88-8,
      Mepivacaine 107-21-1, 1,2-Ethanediol, biological studies
                                                                 107-41-5,
      Hexylene glycol, 133-16-4, Chloroprocaine 137-58-6, Lidocaine
      152-62-5, Dydrogesterone 297-76-7, Ethynodiol diacetate
                                                                472-54-8,
      19-Norprogesterone 474-86-2, Equilin 586-60-7, Dyclonine
                                                                    595-33-5,
      Megestrol acetate 630-56-8, Hydroxyprogesterone caproate
                                                                 721-50-6,
      Prilocaine
                  979-32-8, Estradiol valerate 1961-77-9, Chlormadinone;
      5633-18-1, Melengestrol 6533-00-2 7280-37-7, Estropipate
      Guar gum 9000-36-6, Karaya gum 9000-65-1, Tragacanth gum
      Pectin 9004-34-6, Cellulose, biological studies 10116-22-0,
      Demegestone 11138-66-2, Xanthan gum 22916-47-8, Miconazole.
      23593-75-1, Clotrimazole. 25265-71-8, Dipropylene glycol
      Butylene glycol
                      25322-68-3
                                    25322-69-4, Polypropylene glycol
      34184-77-5, Promegestone 36637-18-0, Etidocaine 38396-39-3,
      Bupivacaine
        (topical pharmaceutical compns. comprising bioadhesive carrier, solvent
        and clay)
    ANSWER 51 OF 55 USPATFULL on STN
1.9
                       95:78209 USPATFULL
ACCESSION NUMBER:
TITLE:
                       Compositions and methods for topical administration of
                       pharmaceutically active agents
INVENTOR(S):
                       Mantelle, Juan A., Miami, FL, United States
PATENT ASSIGNEE(S):
                       Nover Pharmaceuticals, Inc., Miami, FL, United States
                       (U.S. corporation)
                           NUMBER
                                       KIND DATE
                       -----
PATENT INFORMATION:
                       US 5446070
                                               19950829
APPLICATION INFO.:
                       US 1993-112330
                                               19930827 (8)
DISCLAIMER DATE:
                       20100810
RELATED APPLN. INFO.:
                       Continuation-in-part of Ser. No. US 1991-813196, filed
                       on 23 Dec 1991, now patented, Pat. No. US 5234957 which
                       is a continuation-in-part of Ser. No. US 1991-661827,
                       filed on 27 Feb 1991, now abandoned
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       Granted
PRIMARY EXAMINER:
                       Page, Thurman K.
ASSISTANT EXAMINER:
                       Azpuru, Carlos
LEGAL REPRESENTATIVE:
                       Foley & Lardner
NUMBER OF CLAIMS:
                       45
EXEMPLARY CLAIM:
                       1
LINE COUNT:
                       2434
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
```

Compositions for topical application comprising a therapeutically AΒ effective amount of a pharmaceutical agent(s), a pharmaceutically acceptable carrier, and a solvent for the pharmaceutical agent(s) in the carrier and methods of administering the pharmaceutical agents to a mammal are disclosed. CAS INDEXING IS AVAILABLE FOR THIS .PATENT. Acrylic polymers, biological studies TΨ Carbohydrates and Sugars, biological studies ΙT ΙT Monosaccharides ΙT Polysaccharides, biological studies Siloxanes and Silicones, biological studies ΙT (aminolevulinic acid adhesive topical pharmaceuticals) Carboxylic acids, biological studies ΙT (aliphatic, aminolevulinic acid adhesive topical pharmaceuticals) IΤ Oligosaccharides (di-, aminolevulinic acid adhesive topical pharmaceuticals) Pharmaceutical dosage forms IT (topical, adhesive; aminolevulinic acid adhesive topical pharmaceuticals) IT 50-81-7, Ascorbic acid, biological studies 50-99-7, Dextrose, biological studies 57-48-7, Fructose, biological studies 65-85-0, Benzoic acid, biological studies 77-92-9, Citric acid, biological 106-60-5, δ -Aminolevulinic acid 144-62-7, Oxalic acid, biological studies 921-60-8, L-Glucose 9003-27-4, Polyisobutylene 9004-53-9, Dextrin 9004-54-0, Dextran, biological studies 31074-60-9, 63450-14-6, Gelva 788 162731-15-9, Duro-Tak 87-2852 GMS 1430 (aminolevulinic acid adhesive topical pharmaceuticals) L9 ANSWER 52 OF 55 USPATFULL on STN ACCESSION NUMBER: 94:73310 USPATFULL TITLE: Organosilane derivatives, pharmaceutical compositions containing them and process for preparing same INVENTOR(S): Farkas, Sandor, Budapest, Hungary Foldeak, Sandor, Szeged, Hungary Karpati, Egon, Budapest, Hungary Hegyes, Peter, Szeged, Hungary Kreidl, Janos, Budapest, Hungary Szporny, Laszlo, Budapest, Hungary Czibula, Laszlo, Budapest, Hungary Petofi-Vass, Szilvia, Szeged, Hungary PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar RT., Budapest, Hungary (non-U.S. corporation) KIND DATE NUMBER 19940823 19921218 (7) US 5340823 PATENT INFORMATION: APPLICATION INFO.: US 1992-993139 Division of Ser. No. US 1991-736962, filed on 29 Jul RELATED APPLN. INFO.: 1991, now patented, Pat. No. US 5198446 NUMBER DATE HU 1990-4647 19900727 PRIORITY INFORMATION: DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Chang, Celia LEGAL REPRESENTATIVE: Dubno, Herbert, Myers, Jonathan NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 811 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AΒ A method of treating a mammalian subject for Parkinson's disease or to provide a central muscle relaxant effect, which comprises the step of administering to the mammalian subject in need of the

R.sub.1 and R.sub.2 each independently stand for hydrogen, C.sub.1 to

treatment, a therapeutically effective amount of a compound of the

Formula (I) ##STR1## wherein m is 1,2 or 3;

C.sub.4 straight or branched chain alkyl, C.sub.1 to C.sub.4 alkoxy, C.sub.5 to C.sub.7 cycloalkyl, or halogen; and

B is a 5- or 6-membered saturated or unsaturated heterocyclic group containing a nitrogen heteroatom, the heterocyclic group being bound through its heterocyclic nitrogen atom to the remainder of the compound, and which can contain one or two additional heteroatoms selected from the group consisting of an oxygen heteroatom, a sulfur heteroatom, and one or two additional nitrogen heteroatoms, which may be as an .dbd.N--, --NH-- or --NR-- group, where R is a C.sub.1 to C.sub.5 alkyl or C.sub.1 to C.sub.5 alkycarbonyl group, the nitrogen-containing heterocyclic group is unsubstituted or substituted on one of its carbon atoms by C.sub.1 to C.sub.4 alkyl or C.sub.1 to C.sub.4 alkoxycarbonyl; or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

RELATED APPLN. INFO.:

```
ΙT
      Muscle relaxants
        ((heterocyclylalkyl)dimethylbenzylsilanes)
      352-11-4, 4-Fluorobenzyl chloride
TΤ
        (Grignard reaction of, with chloro(chloromethyl)dimethylsilane, in
        preparation of muscle relaxant)
      1719-57-9, Chloro(chloromethyl)dimethylsilane
ΤТ
        (Grignard reaction of, with fluorobenzyl chloride, in preparation of muscle
        relaxant)
ΙT
      110-85-0, Piperazine, reactions
                                        110-89-4, Piperidine, reactions
      110-91-8, Morpholine, reactions
                                       123-75-1, Pyrrolidine, reactions
      288-32-4, Imidazole, reactions
                                       288-88-0, 1H-1,2,4-Triazole
                                                                       693-98-1,
                         5610-49-1, N-Butylpiperazine
      2-Methylimidazole
                                                          15862-72-3
        (condensation of, with (chloromethyl) silane derivative, in preparation of muscle
        relaxant)
                  119307-54-9
                                               140944-88-3
IΤ
      5356-99-0
                                140944-87-2
                                                             140944-89-4
      140944-90-7
                    140944-91-8
                                   140944-92-9
                                                 140944-93-0
                                                               140944-94-1
      140944-95-2
                    140944-96-3
                                   140944-97-4
                                                 140944-98-5
                                                               140944-99-6
      140945-00-2
                    141028-27-5
                                  141028-28-6
        (condensation of, with heterocycles, in preparation of central muscle
        relaxants)
ΙT
      119307-44-7P
        (preparation and condensation of, with heterocycles, in preparation of muscle
        relaxant)
ΙT
      140944-30-5P 140944-31-6P
                                   140944-32-7P
                                                  140944-33-8P
      140944-34-9P
                     140944-35-0P
                                     140944-36-1P
                                                    140944-37-2P
                                                                   140944-38-3P
      140944-39-4P
                     140944-40-7P
                                     140944-41-8P
                                                    140944-42-9P
                                                                   140944-43-0P
                                                    140944-47-4P
      140944-44-1P
                     140944-45-2P
                                     140944-46-3P
                                                                   140944-48-5P
                                                                   140944-53-2P
      140944-49-6P
                     140944-50-9P
                                     140944-51-0P
                                                    140944-52-1P
                                                                   140944-58-7P
      140944-54-3P
                     140944-55-4P
                                     140944-56-5P
                                                    140944-57-6P
      140944-59-8P
                     140944-60-1P
                                     140944-61-2P
                                                    140944-62-3P
                                                                   140944-63-4P
      140944-64-5P
                     140944-65-6P
                                     140944-66-7P
                                                    140944-67-8P
                                                                   140944-68-9P
                                                                   140944-73-6P
      140944-69-0P
                     140944-70-3P
                                     140944-71-4P
                                                    140944-72-5P
                                                                   140944-78-1P
      140944-74-7P
                     140944-75-8P
                                     140944-76-9P
                                                    140944-77-0P
      140944-79-2P
                     140944-80-5P
                                     140944-81-6P
                                                    140944-82-7P
                                                                   140944-83-8P
      140944-84-9P
                     140944-85-0P
                                     140944-86-1P
        (preparation of, as central muscle relaxant)
     ANSWER 53 OF 55
                      USPATFULL on STN
ACCESSION NUMBER:
                        94:64252 USPATFULL
TITLE:
                        Compositions and methods for topical administration of
                        pharmaceutically active agents
                        Mantelle, Juan A., Miami, FL, United States
INVENTOR(S):
PATENT ASSIGNEE(S):
                        Noven Pharmaceuticals, Inc., Miami, FL, United States
                        (U.S. corporation)
                             NUMBER
                                          KIND
                                                   DATE
PATENT INFORMATION:
                        US 5332576
                                                 19940726
APPLICATION INFO.:
                        US 1993-64587
                                                 19930521
                                                           (8)
                        Division of Ser. No. US 1991-813196, filed on 23 Dec
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1991, now patented, Pat. No. US 5234957 which is a continuation-in-part of Ser. No. US 1991-661827, filed

on 27 Feb 1991, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Michl, Paul R. ASSISTANT EXAMINER: Azpuru, Carlos LEGAL REPRESENTATIVE: Foley & Lardner

NUMBER OF CLAIMS: 15
EXEMPLARY CLAIM: 1
LINE COUNT: 1195

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition for topical application comprising a therapeutically effective amount of a pharmaceutical agent(s), a flexible, finite, pharmaceutically acceptable, bioadhesive carrier, and a solvent for the pharmaceutical agent(s) in the carrier and a method of administering the pharmaceutical agent to a mammal are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Rubber, butadiene-styrene, biological studies

(PSA 578A, transdermal drug delivery system containing)

IT Epoxy resins, biological studies
IT Phenolic resins, biological studies
IT Rubber, natural, biological studies

(transdermal drug delivery system containing)

IT Tackifiers

(transdermal drug delivery systems containing)

IT Petroleum resins

(aliphatic, transdermal drug delivery system containing)

IT Petroleum resins

(aliphatic-aromatic, Exxon 346, Exxon 109A, transdermal drug delivery system containing)

IT Pharmaceutical dosage forms

(transdermal, multipolymers and rubbers in)

IT 9003-55-8

IT

TT

(rubber, PSA 578A, transdermal drug delivery system containing)

50-28-2, Estradiol, biological studies 55-63-0, Nitroglycerin

(transdermal delivery system containing)

107-22-2, Glyoxal 1309-48-4, Magnesium oxide, biological studies 1314-13-2, Zinc oxide, biological studies 7789-09-5, Ammonium dichromate 9003-31-0, Polyisoprene 9011-05-6, Urea-formaldehyde resin 24937-78-8, Flexbond 150 26375-31-5, Airflex 416 103018-21-9, Aerotex 3730 122178-22-7, Noven 109A

(transdermal drug delivery system containing)

L9 ANSWER 54 OF 55 USPATFULL on STN

ACCESSION NUMBER: 93:65429 USPATFULL

TITLE: Compositions and methods for topical administration of

pharmaceutically active agents

INVENTOR(S): Mantelle, Juan A., Miami, FL, United States

PATENT ASSIGNEE(S): Noven Pharmaceuticals, Inc., Miami, FL, United States

(U.S. corporation)

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1991-661827, filed

on 27 Feb 1991, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Page, Thurman K. ASSISTANT EXAMINER: Azpuru, Carlos LEGAL REPRESENTATIVE: Foley & Lardner

NUMBER OF CLAIMS: 23 EXEMPLARY CLAIM: 1 LINE COUNT: 1218

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A composition for topical application comprising a therapeutically effective amount of a pharmaceutical agent(s), a flexible, finite, pharmaceutically acceptable, bioadhesive carrier, and a solvent for the pharmaceutical agent(s) in the carrier and a method of administering the

```
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      Hormones
        (nonsteroidal, topical formulation of)
ΙT
      Adrenergic agonists
ΙT
      Allergy inhibitors
ΙT
      Analgesics
ΙT
      Antiarrhythmics
IT
      Antidepressants
ΙT
      Antidiabetics and Hypoglycemics
ΙT
      Antihistaminics
ΙT
      Antihypertensives
ΙT
      Antimalarials
ΙT
      Antipyretics
IT
      Appetite depressants
ΙT
      Bactericides, Disinfectants, and Antiseptics
IT
      Cardiotonics
ΙT
      Cholinergic agonists
IT
      Decongestants
      Fungicides and Fungistats
ΙT
IT
      Inflammation inhibitors
ΙT
      Miotics
ΙT
      Muscle relaxants
ΙT
      Mydriatics
ΙT
      Neoplasm inhibitors
ΙT
      Nervous system agents
ΙT
      Psychotropics
      Tranquilizers and Neuroleptics
IT
TΤ
      Ulcer inhibitors
TΤ
      Vasoconstrictors
TT
      Peptides, biological studies
TΥ
      Vitamins
      Androgens
ΙT
      Enzymes
ΙT
IT
      Estrogens
        (topical formulation of)
ΤТ
      Parkinsonism
        (treatment of, drugs for, formulation for topical delivery of)
TT
      Estrogens
        (antiestrogens, topical formulation of)
TΤ
      Tranquilizers and Neuroleptics
        (antipsychotics, topical formulation of)
IT
      Ion channel blockers
        (calcium, topical formulation of)
ΙT
      Vasodilators
        (coronary, topical formulation of)
TΤ
      Headache
        (migraine, treatment of, drugs for, formulation for topical delivery
        of)
IT
      Cholinergic antagonists
        (muscarinic, topical formulation of)
IT
      Pharmaceutical dosage forms
        (topical, with high drug concentration, in flexible and finite carrier)
IT
      Adrenergic antagonists
        (\beta-, \text{ topical formulation of})
ΙT
      50-27-1, Estriol
                         50-28-2, 17\beta-Estradiol, biological studies
      51-98-9, Norethindrone acetate 52-76-6 53-16-7, Estrone, biological
                56-53-1, Diethylstilbestrol 57-63-6 57-83-0, Progesterone,
      studies
     biological studies 58-18-4, Methyltestosterone 58-22-0, Testosterone
      59-46-1, Procaine
                          68-22-4, Norethindrone
                                                  68-23-5, Norethynodrel
      68-96-2, 17α-Hydroxyprogesterone 71-58-9, Medroxyprogesterone
      acetate
               72-33-3, Mestranol 76-43-7, Fluoxymesterone
                                                                 79-64-1,
                       85-79-0, Dibucaine 94-09-7, Benzocaine
      Dimethisterone
                                                                   94-24-6,
      Tetracaine
                   96-88-8, Mepivacaine 133-16-4, Chloroprocaine
                                                                      136-47-0,
      Tetracaine hydrochloride 137-58-6, Lidocaine 152-62-5, Dydrogesterone
     297-76-7, Ethynodiol diacetate 472-54-8, 19-Norpregn-4-ene-3,20-dione
      474-86-2, Equilin
                         536-43-6, Dyclonine hydrochloride
                                                               586-60-7,
                  595-33-5, Megestrol acetate 630-56-8
                                                          721-50-6, Prilocaine
```

979-32-8, 17 β -Estradiol valerate 1722-62-9, Mepivacaine hydrochloride 1786-81-8, Prilocaine hydrochloride 1961-77-9, Chlormadinone 5633-18-1, Melengestrol 6533-00-2, Norgestrel 7280-37-7, Estropipate 10116-22-0, Demegestone 18010-40-7, Bupivacaine hydrochloride 34184-77-5, Promegestone 36637-18-0, Etidocaine 38396-39-3, Bupivacaine (topical formulation of)

L9 ANSWER 55 OF 55 USPATFULL on STN

ACCESSION NUMBER: 93:24922 USPATFULL

TITLE: Organosilane derivatives, pharmaceutical compositions

containing them and process for preparing same

INVENTOR(S): Farkas, Sandor, Budapest, Hungary

Foldeak, Sandor, Szeged, Hungary Karpati, Egon, Budapest, Hungary Hegyes, Peter, Szeged, Hungary Kreidl, Janos, Budapest, Hungary Szporny, Laszlo, Budapest, Hungary Czibula, Laszlo, Budapest, Hungary

Petofi-Vass, Szilvia, Szeged, Hungary

PATENT ASSIGNEE(S): Richter Gedeon Vegyeszeti Gyar RT., Budapest, Hungary

(non-U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: HU 1990-4647 19900727

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Ivy, C. Warren ASSISTANT EXAMINER: Chang, Celia

LEGAL REPRESENTATIVE: Dubno, Herbert, Myers, Jonathan

NUMBER OF CLAIMS: 3
EXEMPLARY CLAIM: 1
LINE COUNT: 793

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A method of treating a mammalian subject for **Parkinson's** disease or to provide a central muscle relaxant effect, which comprises the step of administering to the mammalian subject in need of the treatment, a therapeutically effective amount of a compound of the Formula (I) ##STR1## wherein m is 1,2 or 3;

R.sub.1 and R.sub.2 each independently stand for hydrogen, C.sub.1 to C.sub.4 straight or branched chain alkyl, C.sub.1 to C.sub.4 alkoxy, C.sub.5 to C.sub.7 cycloalkyl, or halogen; and

B is a 5- or 6-membered saturated or unsaturated heterocyclic group containing a nitrogen heteroatom, the heterocyclic group being bound through its heterocyclic nitrogen atom to the remainder of the compound, and which can contain one or two additional heteroatoms selected form the group consisting of an oxygen heteroatom, a sulfur heteroatom, and one or two additional nitrogen heteroatoms, which may be as an .dbd.N--, --NH-- or --NR-- group, where R is a C.sub.1 to C.sub.5 alkyl or C.sub.1 to C.sub.5 alkycarbonyl group, the nitrogen-containing heterocyclic group is unsubstituted or substituted on one of its carbon atoms by C.sub.1 to C.sub.4 alkyl or C.sub.1 to C.sub.4 alkoxycarbonyl; or a pharmaceutically acceptable salt thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT Muscle relaxants

((heterocyclylalkyl)dimethylbenzylsilanes)

IT 352-11-4, 4-Fluorobenzyl chloride

(Grignard reaction of, with chloro(chloromethyl)dimethylsilane, in preparation of muscle relaxant)

IT 1719-57-9, Chloro(chloromethyl)dimethylsilane

```
(Grignard reaction of, with fluorobenzyl chloride, in preparation of muscle
                                        110-89-4, Piperidine, reactions
ΙT
      110-85-0, Piperazine, reactions
                                       123-75-1, Pyrrolidine, reactions
      110-91-8, Morpholine, reactions
      288-32-4, Imidazole, reactions
                                       288-88-0, 1H-1,2,4-Triazole
                                                                      693-98-1,
                                                         15862-72-3
                         5610-49-1, N-Butylpiperazine
      2-Methylimidazole
        (condensation of, with (chloromethyl) silane derivative, in preparation of muscle
        relaxant)
                                140944-87-2
                                                             140944-89-4
                  119307-54-9
                                              140944-88-3
ΙT
      5356-99-0
      140944-90-7
                    140944-91-8
                                  140944-92-9
                                                140944-93-0
                                                               140944-94-1
                                                140944-98-5
                                                               140944-99-6
      140944-95-2
                    140944-96-3
                                  140944-97-4
                                  141028-28-6
      140945-00-2
                    141028-27-5
        (condensation of, with heterocycles, in preparation of central muscle
        relaxants)
ΙT
      119307-44-7P
        (preparation and condensation of, with heterocycles, in preparation of muscle
        relaxant)
ΙT
      140944-30-5P 140944-31-6P
                                  140944-32-7P
                                                 140944-33-8P
                    140944-35-0P
      140944-34-9P
                                    140944-36-1P
                                                   140944-37-2P
                                                                   140944-38-3P
      140944-39-4P
                     140944-40-7P
                                    140944-41-8P
                                                   140944-42-9P
                                                                   140944-43-0P
                                                   140944-47-4P
                                                                   140944-48-5P
      140944-44-1P
                     140944-45-2P
                                    140944-46-3P
      140944-49-6P
                    140944-50-9P
                                    140944-51-0P
                                                   140944-52-1P
                                                                   140944-53-2P
                                    140944-56-5P
                                                   140944-57-6P
                                                                   140944-58-7P
      140944-54-3P
                    140944-55-4P
                                                   140944-62-3P
                                                                   140944-63-4P
      140944-59-8P
                    140944-60-1P
                                    140944-61-2P
                                    140944-66-7P
                                                   140944-67-8P
      140944-64-5P
                    140944-65-6P
                                                                   140944-68-9P
                                                   140944-72-5P
      140944-69-0P
                    140944-70-3P
                                    140944-71-4P
                                                                   140944-73-6P
      140944-74-7P
                    140944-75-8P
                                    140944-76-9P
                                                   140944-77-0P
                                                                   140944-78-1P
                                                   140944-82-7P
                                                                   140944-83-8P
      140944-79-2P
                     140944-80-5P
                                    140944-81-6P
      140944-84-9P
                     140944-85-0P
                                    140944-86-1P
        (preparation of, as central muscle relaxant)
=> d his
     (FILE 'HOME' ENTERED AT 17:35:08 ON 25 APR 2006)
     FILE 'CAPLUS, EMBASE, BIOSIS, USPATFULL' ENTERED AT 17:35:22 ON 25 APR
T.1
            410 S 150812-12-7/RN OR RETIGABINE
L2
           1089 S EPERISONE OR SILPERISONE OR 163437-00-1/RN OR 140944-31-6/RN
L3
             30 S MYDETONE OR MYDETON OR NSC 107321
L4
           1099 S L2 OR L3
L5
            181 S L4 AND PAIN
L6
             84 S L1 AND PAIN
1.7
            163 DUP REM L5 (18 DUPLICATES REMOVED)
1.8
            163 FOCUS L7 1-
L9
             55 S L8 AND (NEURALGIA OR NEUROPATHIC OR ARTHRITIS OR ARTHROSIS O
=> dup rem 16
PROCESSING COMPLETED FOR L6
L10
             63 DUP REM L6 (21 DUPLICATES REMOVED)
=> d ibib abs 1-63
L10 ANSWER 1 OF 63 USPATFULL on STN
ACCESSION NUMBER:
                        2006:54662 USPATFULL
TITLE:
                        Prodrugs containing novel bio-cleavable linkers
INVENTOR(S):
                        Satyam, Apparao, Mumbai, INDIA
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 2006046967
                                           A1
                                                20060302
APPLICATION INFO.:
                        US 2005-213396
                                           A1
                                                20050826
                                                          (11)
                               NUMBER
                                             DATE
PRIORITY INFORMATION:
                        IN 2005-7792005
                                           20050701
                        US 2004-604632P
                                           20040826 (60)
DOCUMENT TYPE:
                        Utility
```

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Sreenivasarao Vepachedu, 1230 Georgetown Way, Vernon

Hills, IL, 60061, US

NUMBER OF CLAIMS: 30 EXEMPLARY CLAIM: 1 LINE COUNT: 4813

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides the compounds of formula (I) or pharmaceutically

acceptable salts thereof. The invention also provides pharmaceutical

compositions comprising one or more compounds of formula I or

intermediates thereof and one more of pharmaceutically acceptable carriers, vehicles or diluents. The invention further provides methods of preparation and methods of use of prodrugs including NO-releasing

prodrugs, double prodrugs and mutual prodrugs comprising the compounds

of formula I.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 2 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2006:40294 USPATFULL

TITLE: Organ preconditioning, arrest, protection, preservation

and recovery

INVENTOR(S): Dobson, Geoffrey Philip, Queensland, AUSTRALIA

PATENT ASSIGNEE(S): Global Cardiac Solutions Pty Ltd, Wulguru, AUSTRALIA,

4811 (non-U.S. corporation)

20050617 PCT 371 date

NUMBER DATE

PRIORITY INFORMATION: US 2002-60436175 20021223

AU 2003-2003900296 20030123 AU 2003-2003903127 20030620

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: SENNIGER POWERS, ONE METROPOLITAN SQUARE, 16TH FLOOR,

ST LOUIS, MO, 63102, US

NUMBER OF CLAIMS: 21 EXEMPLARY CLAIM: 1-25

NUMBER OF DRAWINGS: 35 Drawing Page(s)

LINE COUNT: 4190

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a method for reducing electrical disturbance of a cell's resting membrane potential comprising administering an effective amount of a composition comprising an effective amount of a local anaesthetic and of one or more of a

potassium channel opener, adenosine receptor agonist, an

anti-adrenergic, a calcium antagonist, an opioid, an NO donor and a

sodium hydrogen exchange inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 3 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2006:16435 USPATFULL

TITLE: 1,2,4-Triaminobenzene derivatives useful for treating

disorders of the central nervous system

INVENTOR(S): Rottlander, Mario, Greve, DENMARK

Ritzen, Andreas, Vanlose, DENMARK Norgaard, Morten Bang, Lyngby, DENMARK Khanzhin, Nikolay, Frederiksberg, DENMARK

Tornoe, Christian Wenzel, Kobenhavn S, DENMARK

NUMBER KIND DATE

US 2006014822 PATENT INFORMATION: APPLICATION INFO.:

20060119 Α1 US 2003-540075 20031218 (10) A1

WO 2003-DK906

20031218 20050622 PCT 371 date

NUMBER DATE

_____ DK 2002-2012 20021227 PRIORITY INFORMATION:

US 2003-436697P 20021227 (60)

Utility DOCUMENT TYPE: APPLICATION FILE SEGMENT:

LUNDBECK RESEARCH USA, INC., ATTENTION: STEPHEN G. LEGAL REPRESENTATIVE:

KALINCHAK, LEGAL, 215 COLLEGE ROAD, PARAMUS, NJ, 07652,

US

28 NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 2431

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention concerns 1,2,4-triaminobenzene derivatives of the general formula I or pharmaceutically acceptable salts thereof and the

use thereof.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 4 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2006130554 EMBASE

TITLE:

British Pharmacological Society - Winter meeting 2005.

19-22 December 2005, London, UK.

AUTHOR: Salt T.E.

CORPORATE SOURCE: T.E. Salt, University College London, Institute of

Ophthalmology, Department of Visual Science, 11-43 Bath Street, London EC1V 9EL, United Kingdom. t.salt@ucl.ac.uk

SOURCE: IDrugs, (2006) Vol. 9, No. 3, pp. 161-164. .

ISSN: 1369-7056 CODEN: IDRUFN

COUNTRY:

United Kingdom

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 800 Neurology and Neurosurgery

029 Clinical Biochemistry

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English

Entered STN: 31 Mar 2006 ENTRY DATE:

Last Updated on STN: 31 Mar 2006

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L10 ANSWER 5 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2005:371026 CAPLUS

DOCUMENT NUMBER: 142:404278

TITLE: Combination of retigabine and sodium channel

inhibitors or sodium channel-influencing agents for

treating pain

INVENTOR(S): Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,

Mathias

PATENT ASSIGNEE(S): Germany

SOURCE: U.S. Pat. Appl. Publ., 4 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND DATE	APPLICATION NO.	DATE		
~					
US 2005090547	A1 20050428	US 2003-727655	20031205		
WO 2005039577	A1 20050506	WO 2004-US35296	20041022		
W: AE, AG, AL,	AM, AT, AU, AZ,	BA, BB, BG, BR, BW, BY,	BZ, CA, CH,		
		DM, DZ, EC, EE, EG, ES,			

```
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
                                                               A 20031023
                                           DE 2003-10349729
PRIORITY APPLN. INFO.:
                                           US 2003-727655
                                                               A 20031205
                                                              A 20031205
                                           US 2003-727658
                                           DE 2003-10359336
                                                             A 20031216
     The invention discloses pharmaceutical combinations of retigabine
ΑB
     and sodium channel inhibitors for treating pain which is
     accompanied by an increase in muscle tone.
    ANSWER 6 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2005:395097 CAPLUS
DOCUMENT NUMBER:
                        142:435800
                        Combinations of potassium channel openers and sodium
TITLE:
                        channel inhibitors or sodium channel-influencing
                        active compounds for treating pain
INVENTOR(S):
                        Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,
                        Mathias
PATENT ASSIGNEE(S):
                        Xcel Pharmaceuticals, Inc., USA
SOURCE:
                        PCT Int. Appl., 20 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                           APPLICATION NO.
     PATENT NO.
                        KIND
                               DATE
                                                                  DATE
                                           -----
                                                                  _____
     -----
                        ____
                               -----
     WO 2005039577
                               20050506 WO 2004-US35296
                                                                  20041022
                        A1
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
            LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
            NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
            TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
            AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
            EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
            SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
            SN, TD, TG
    US 2005090547
                         Α1
                               20050428
                                           US 2003-727655
                                                                  20031205
    US 2005089559
                        A1
                               20050428
                                           US 2003-727658
                                                                  20031205
    DE 10359336
                         A1
                               20050525
                                           DE 2003-10359336
                                                                  20031216
PRIORITY APPLN. INFO.:
                                           DE 2003-10349729
                                                              A 20031023
                                           US 2003-727655
                                                               A 20031205
                                           US 2003-727658
                                                               A 20031205
                                           DE 2003-10359336
                                                              A 20031216
AΒ
    The invention relates to pharmaceutical combinations of potassium channel
    openers and sodium channel inhibitors for treating pains which
     are accompanied by an increase in muscle tone.
REFERENCE COUNT:
                        9
                              THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L10 ANSWER 7 OF 63 USPATFULL on STN
ACCESSION NUMBER:
                       2005:287567 USPATFULL
TITLE:
                       Derivatives of N-phenylanthranilic acid and
                       2-benzimidazolone as potassium channel and/or neuron
```

activity modulators

corporation)

Attali, Bernard, Rechovot, ISRAEL Peretz, Asher, Givataim, ISRAEL

Ramot At Tel Aviv University Ltd. (non-U.S.

INVENTOR(S):

PATENT ASSIGNEE(S):

NUMBER KIND DATE ______

PATENT INFORMATION:

APPLICATION INFO.:

US 2005250833 A1 20051110 US 2005-110669 A1 20050421 (11)

RELATED APPLN. INFO.:

Continuation-in-part of Ser. No. WO 2003-IL855, filed

on 21 Oct 2003, UNKNOWN

NUMBER DATE _____

PRIORITY INFORMATION:

US 2002-419525P 20021021 (60)

US 2005-654448P 20050222 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: Martin MOYNIHAN, c/o ANTHONY CASTORINA, SUITE 207, 2001

JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1

NUMBER OF DRAWINGS:

31 Drawing Page(s)

LINE COUNT:

3172

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided which are useful in the

treatment of conditions such as central or peripheral nervous system

disorders through the modulation of potassium ion flux through

voltage-dependent potassium channels and/or depressing cortical and/or

peripheral neuron activity are disclosed. Novel derivatives of

N-phenylanthranilic acid are also disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 8 OF 63 USPATFULL on STN

ACCESSION NUMBER:

2005:104540 USPATFULL

TITLE:

Potassium channel mediated delivery of agents through

the blood-brain barrier

INVENTOR(S):

Black, Keith L., Los Angeles, CA, UNITED STATES

Cedars-Sinai Medical Center (U.S. corporation)

Ningaraj, Nagendra S., Brentwood, TN, UNITED STATES

PATENT ASSIGNEE(S):

NUMBER KIND DATE -----

PATENT INFORMATION: APPLICATION INFO.:

US 2005089473 A1 20050428 US 2004-938674 A1 20040910 (10)

NUMBER DATE _____

PRIORITY INFORMATION:

US 2004-548636P 20040227 (60) US 2003-528440P 20031210 (60) US 2003-502159P 20030910 (60)

Utility

DOCUMENT TYPE: FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: KING & SPALDING LLP, 191 PEACHTREE STREET, N.E.,

ATLANTA, GA, 30303-1763, US

NUMBER OF CLAIMS:

EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

1 21 Drawing Page(s)

LINE COUNT:

6783

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AΒ

This invention includes pharmaceutical compositions, methods and kits for the treatment or diagnosis of a malignant tumors, including brain tumors, and diseases or disorders characterized by abnormal brain

tissue.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 9 OF 63 USPATFULL on STN

ACCESSION NUMBER:

2005:69682 USPATFULL

TITLE:

Fused ring heterocycles as potassium channel modulators McNaughton-Smith, Grant Andrew, Morrisville, NC, UNITED

INVENTOR(S):

STATES

Amato, George Salvatore, Cary, NC, UNITED STATES

Thomas, James Barnwell, JR., Efland, NC, UNITED STATES

Icagen, Inc., Durham, NC (U.S. corporation) PATENT ASSIGNEE(S):

KIND DATE NUMBER PATENT INFORMATION:

US 2005059823 A1 20050317 US 2004-937958 A1 20040910 APPLICATION INFO.: 20040910 (10)

NUMBER DATE _____

PRIORITY INFORMATION: US 2003-502109P 20030910 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO

CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 13 Drawing Page(s)

LINE COUNT: 2153

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided which are useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels. More particularly, the invention provides quinazolinone, compositions and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases, maintaining bladder control or treating urinary incontinence) and as neuroprotective agents (e.g., to prevent stroke and the like) by modulating potassium channels associated with the onset or recurrence of the indicated conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 10 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2005:44329 USPATFULL

TITLE: Methods and materials for the treatment of pain

comprising opioid antagonists

INVENTOR(S): Burns, Lindsay H., San Francisco, CA, UNITED STATES Schoenhard, Grant L., San Carlos, CA, UNITED STATES

> KIND DATE NUMBER _____

PATENT INFORMATION: US 2005038062 A1 20050217 APPLICATION INFO.: US 2004-825257 A1 20040414 APPLICATION INFO.: 20040414 (10)

> NUMBER DATE _______

PRIORITY INFORMATION: US 2003-463004P 20030414 (60) DOCUMENT TYPE:

Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: Janet M. McNicholas, Ph.D., McAndrews, Held & Malloy,

Ltd., 34th Floor, 500 West Madison Street, Chicago, IL,

60661

NUMBER OF CLAIMS: 272 EXEMPLARY CLAIM: 1 LINE COUNT: 2752

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Methods and compositions for treating subjects with pain, including neuropathic pain, using opioid antagonists or

combinations of opioid antagonists and opioid agonists, including, for example, wherein the amount of an opioid antagonist enhances the

neuropathic pain-alleviating potency of an opioid agonist.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 11 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2005371994 EMBASE

TITLE: Antiepileptics and the treatment of neuropathic

pain: Evidence from animal models.
Blackburn-Munro G.; Erichsen H.K.

AUTHOR: Blackburn-Munro G.; Erichsen H.K.
CORPORATE SOURCE: G. Blackburn-Munro, Department of Pharmacology, NeuroSearch

A/S, Pederstrupvej 93, DK-2750 Ballerup, Denmark.

qbm@neurosearch.dk

SOURCE: Current Pharmaceutical Design, (2005) Vol. 11, No. 23, pp.

2961-2976. . Refs: 211

ISSN: 1381-6128 CODEN: CPDEFP

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 9 Sep 2005

Last Updated on STN: 9 Sep 2005

AΒ Neuropathic pain is characterised by both positive (hyperalgesia and allodynia) and negative (sensory deficits) symptoms and remains intractable to many commonly used analgesics. Antiepileptics are increasingly utilised in the treatment of neuropathic pain. This class of drugs works via three major mechanisms of action in order to dampen neuronal hyperexcitability within the central nervous system: potentiation of GABA transmission, reduction of glutamate-mediated excitatory transmission, and block of voltage-activated ion channels. latter mechanism of action in particular, is exemplified by the success of the newer generation of antiepileptics such as lamotrigine and gabapentin in the clinical treatment of neuropathic pain symptoms. In the current review article, we will examine in detail, the antinociceptive effects of a diverse range of antiepileptics as tested in animal models of nerve injury. Where appropriate, we will compare these findings with their analgesic efficacy in the clinical treatment of neuropathic pain. .COPYRGT. 2005 Bentham Science Publishers Ltd.

L10 ANSWER 12 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2005:311504 CAPLUS

DOCUMENT NUMBER: 142:423370

AUTHOR(S):

TITLE: Meclofenamic acid and diclofenac, novel templates of

KCNQ2/Q3 potassium channel openers, depress cortical neuron activity and exhibit anticonvulsant properties Peretz, Asher; Degani, Nurit; Nachman, Rachel; Uziyel, Yael; Gibor, Gilad; Shabat, Doron; Attali, Bernard

CORPORATE SOURCE: Department of Physiology and Pharmacology, Sackler Faculty of Medical Sciences, Tel Aviv University, Tel

Aviv-Jaffa, Israel

SOURCE: Molecular Pharmacology (2005), 67(4), 1053-1066

CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

AB The voltage-dependent M-type potassium current (M-current) plays a major role in controlling brain excitability by stabilizing the membrane potential and acting as a brake for neuronal firing. The KCNQ2/Q3 heteromeric channel complex was identified as the mol. correlate of the M-current. Furthermore, the KCNQ2 and KCNQ3 channel α subunits are mutated in families with benign familial neonatal convulsions, a neonatal form of epilepsy. Enhancement of KCNQ2/Q3 potassium currents may provide an important target for antiepileptic drug development. Here, we show that meclofenamic acid (meclofenamate) and diclofenac, two related mols. previously used as anti-inflammatory drugs, act as novel KCNQ2/Q3 channel openers. Extracellular application of meclofenamate (EC50 = 25 μM) and diclofenac (EC50 = 2.6 μM) resulted in the activation of KCNQ2/Q3 K+ currents, heterologously expressed in Chinese hamster ovary cells. Both

openers activated KCNQ2/Q3 channels by causing a hyperpolarizing shift of the voltage activation curve (-23 and -15 mV, resp.) and by markedly slowing the deactivation kinetics. The effects of the drugs were stronger on KCNQ2 than on KCNQ3 channel α subunits. In contrast, they did not enhance KCNQ1 K+ currents. Both openers increased KCNQ2/Q3 current amplitude at physiol. relevant potentials and led to hyperpolarization of the resting membrane potential. In cultured cortical neurons, meclofenamate and diclofenac enhanced the M-current and reduced evoked and spontaneous action potentials, whereas in vivo diclofenac exhibited an anticonvulsant activity (ED50 = 43 mg/kg). These compds. potentially constitute novel drug templates for the treatment of neuronal hyperexcitability including epilepsy, migraine, or neuropathic

pain.
REFERENCE COUNT:

THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 13 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2005292868 EMBASE

TITLE: A potassium channel, the M-channel, as a therapeutic

target.

AUTHOR: Surti T.S.; Jan L.Y.

CORPORATE SOURCE: L.Y. Jan, Howard Hughes Medical Institute, Department of

Physiology, University of California San Francisco, 1550 4th Street, San Francisco, CA 94143-0725, United States.

gkw@itsa.ucsf.edu

SOURCE: Current Opinion in Investigational Drugs, (2005) Vol. 6,

No. 7, pp. 704-711. .

Refs: 68

ISSN: 1472-4472 CODEN: CIDREE

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 005 General Pathology and Pathological Anatomy

008 Neurology and Neurosurgery

029 Clinical Biochemistry

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 21 Jul 2005

Last Updated on STN: 21 Jul 2005

AB Compounds that stimulate or inhibit M-channels (ie, voltage-gated potassium channels formed by KCNQ2, KCNQ3 and KCNQ5) have been evaluated in clinical trials for epilepsy, stroke and Alzheimer's disease. The importance of M-channel function in reducing neuronal excitability is underscored by the finding that KCNQ2/3 mutations causing mild reduction of M-channel activity are linked to neonatal epilepsy. M-channel openers decrease the hyperexcitability responsible for epileptic seizures, neuropathic pain and migraine. Conversely, M-channel blockers may enhance cognitive functions. The M-channel has thus emerged as a promising target for treating epilepsy, stroke, migraine, pain, dementia, anxiety and bipolar disorder. .COPYRGT. The Thomson Corporation.

L10 ANSWER 14 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

reserved on SIN

ACCESSION NUMBER: 2005100568 EMBASE

TITLE: Recent developments on KCNQ potassium channel openers.

AUTHOR: Wu Y.-J.; Dworetzky S.I.

CORPORATE SOURCE: Y.-J. Wu, Department of Neuroscience Chemistry,

Bristol-Myers Squibb Pharmaceutical, Research Institute, 5 Research Parkway, Wallingford, CT 06492, United States.

yong-jin.wu@bms.com

SOURCE: Current Medicinal Chemistry, (2005) Vol. 12, No. 4, pp.

453-460. Refs: 56

ISSN: 0929-8673 CODEN: CMCHE7

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

029 Clinical Biochemistry

030 Pharmacology

037 Drug Literature Index

LANGUAGE: SUMMARY LANGUAGE:

English English

ENTRY DATE:

Entered STN: 17 Mar 2005

Last Updated on STN: 17 Mar 2005

During the past five years, several members of the KCNQ potassium channel gene family have been identified with a high degree of CNS specificity. Within the KCNQ family, the combination of the KCNQ2/KCNQ3 proteins, and the KCNQ5/KCNQ3 arrangement has been identified as the molecular correlates of the different M-currents. Several lines of evidence are emerging demonstrating the importance of these channels in regulating neuronal excitability; for example, determination of the excitability threshold, firing properties, and responsiveness of neurons to synaptic inputs. Recent studies have shown that KCNQ openers have potential for the treatment of several CNS disorders characterized by neuronal hyperexcitability, such as migraine, epilepsy and neuropathic pain.

This article reviews the recent developments of KCNQ potassium channel

openers. .COPYRGT. 2005 Bentham Science Publishers Ltd.

L10 ANSWER 15 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2005458642 EMBASE

TITLE: Retigabine: A novel anticonvulsant drug.

AUTHOR: Anand I.S.; Shah J.S.; Patel S.K.; Patel C.N.

CORPORATE SOURCE: I.S. Anand, Department of Pharmacology, Shri Sarvajanik

Pharmacy College, Near Arvind Baug, Mehsana - 384 001,

Gujarat State, India. inderlilly@yahoo.com

SOURCE: Indian Journal of Pharmacology, (2005) Vol. 37, No. 5, pp.

340-341. . Refs: 19

ISSN: 0253-7613 CODEN: INJPD2

COUNTRY: India

DOCUMENT TYPE: Journal; (Short Survey)
FILE SEGMENT: 030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

050 Epilepsy 052 Toxicology

LANGUAGE:

English

ENTRY DATE: Entered STN: 3 Nov 2005

Last Updated on STN: 3 Nov 2005

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L10 ANSWER 16 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2005176416 EMBASE

TITLE: Understanding neuropathic pain.

AUTHOR: Zieglgansberger W.; Berthele A.; Tolle T.R. CORPORATE SOURCE: Dr. W. Zieglgansberger, Dept. of Clinical

Neuropharmacology, Max Planck Institute of Psychiatry,

Kraepelinstrasse 2, 80804 Munich, Germany.

wzg@mpipsykl.mpg.de

SOURCE: CNS Spectrums, (2005) Vol. 10, No. 4, pp. 298-308.

Refs: 90

ISSN: 1092-8529 CODEN: CNSPFH

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 2 Jun 2005

Last Updated on STN: 2 Jun 2005

AB Neuropathic pain is defined as a chronic pain

condition that occurs or persists after a primary lesion or dysfunction of

the peripheral or central nervous system. Traumatic injury of peripheral nerves also increases the excitability of nociceptors in and around nerve crunks and involves components released from nerve terminals (neurogenic inflammation) and immunological and vascular components from cells resident within or recruited into the affected area. Action potentials generated in nociceptors and injured nerve fibers release excitatory neurotransmitters at their synaptic terminals such as L-glutamate and substance P and trigger cellular events in the central nervous system that extend over different time frames. Short-term alterations of neuronal excitability, reflected for example in rapid changes of neuronal discharge activity, are sensitive to conventional analgesics, and do not commonly involve alterations in activity-dependent gene expression. Novel compounds and new regimens for drug treatment to influence activity-dependent long-term changes in pain transducing and suppressive systems (pain matrix) are emerging.

L10 ANSWER 17 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

2005:603095 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 143:126615

TITLE: Anxiolytic effects of maxipost (BMS-204352) and

retigabine via activation of neuronal Kv7

channels

Korsgaard, M. P. G.; Hartz, B. P.; Brown, W. D.; AUTHOR(S):

Ahring, P. K.; Strobaek, D.; Mirza, N. R.

CORPORATE SOURCE: NeuroSearch A/S, Ballerup, Den.

SOURCE: Journal of Pharmacology and Experimental Therapeutics

(2005), 314(1), 282-292

CODEN: JPETAB; ISSN: 0022-3565

PUBLISHER: American Society for Pharmacology and Experimental

Therapeutics

DOCUMENT TYPE: Journal LANGUAGE: English

Neuronal Kv7 channels are recognized as potential drug targets for treating hyperexcitability disorders such as pain, epilepsy, and mania. Hyperactivity of the amygdala has been described in clin. and preclin. studies of anxiety, and therefore, neuronal Kv7 channels may be a relevant target for this indication. In patch-clamp electrophysiol. on cell lines expressing Kv7 channel subtypes, Maxipost (BMS-204352) exerted pos. modulation of all neuronal Kv7 channels, whereas its R-enantiomer was a neg. modulator. By contrast, at the Kv7.1 and the large conductance Ca2+-activated potassium channels, the two enantiomers showed the same effect, namely, neg. and pos. modulation at the two channels, resp. At GABAA receptors ($\alpha 1\beta 2\gamma 2s$ and $\alpha 2\beta 2\gamma 2s$) expressed in Xenopus oocytes, BMS-204352 was a neg. modulator, and the R-enantiomer was a pos. modulator. The observation that the S- and R-forms exhibited opposing effects on neuronal Kv7 channel subtypes allowed us to assess the potential role of Kv7 channels in anxiety. In vivo, BMS-204352 (3-30 mg/kg) was anxiolytic in the mouse zero maze and marble burying models of anxiety, with the effect in the burying model antagonized by the R-enantiomer (3 mg/kg). Likewise, the pos. Kv7 channel modulator retigabine was anxiolytic in both models, and its effect in the burying model was blocked by the Kv7 channel inhibitor 10,10-bis-pyridin-4-ylmethyl-10H-anthracen-9-one (XE-991) (1 mg/kg). Doses at which BMS-204352 and retigabine induce anxiolysis could be dissociated from effects on sedation or memory impairment. In conclusion, these in vitro and in vivo studies provide compelling evidence that neuronal Kv7 channels are a target for developing novel anxiolytics.

REFERENCE COUNT: 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 18 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2005123422 EMBASE

Gateways to Clinical Trials: January/February 2005. TITLE:

AUTHOR: Bayes M.; Rabasseda X.; Prous J.R.

SOURCE: Methods and Findings in Experimental and Clinical Pharmacology, (2005) Vol. 27, No. 1, pp. 49-77. .

Refs: 162

ISSN: 0379-0355 CODEN: MFEPDX

COUNTRY: Spain

DOCUMENT TYPE: Journal; General Review FILE SEGMENT: 030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 31 Mar 2005

Last Updated on STN: 31 Mar 2005

Gateways to Clinical Trials is a guide to the most recent clinical trials AB reported in current literature and congresses. The data in the following tables have been retrieved from the Clinical Trials Knowledge Area of Prous Science Integrity®, the drug discovery and development portal, http://integrity.prous.com. This issue focuses on the following selection of drugs: [188Re]-HDD; A-179578, adalimumab, AK-602, albumin interferon alfa, alfimeprase, amelubant, anakinra, anti-CD2 MAb, APD-356, aripiprazole, atvogen; Bimatoprost, bimosiamose, BLP-25, brivaracetam; Caspofungin acetate, cilansetron, CMV vaccine (bivalent), conivaptan hydro chloride, Cypher; Darbepoetin alfa, darifenacin hydrobromide, D-D4FC, decitabine, dnaJP1, doranidazole, dronedarone hydrochloride; Efalizumab, efaproxiral sodium, emtricitabine, Endeavor, entecavir, erlotinib hydrochloride, escitalopram oxalate, etoricoxib, etravirine, ezetimibe; Fampridine, fenretinide, ferumoxtran-10, forodesine hydrochloride; Gantacurium chloride, gemifloxacin mesilate, Glyminox, GW-501516; HBV-ISS, hepavir B, human insulin, HuMax-CD20, hyaluronic acid, HyCAMP; Icatibant, IDEA-070, IGN-311, imatinib mesylate, insulin detemir, insulin glargine, insulin glulisine; Lapatinib, lasofoxifene tartrate, LB-80380, liarozolefumarate, liposome encapsulated doxorubicin, lumiracoxib, LY-570310; MC-1, melatonin, merimepodib, metanicotine, midostaurin; Natalizumab, nicotine conjugate vaccine, NYVAC-HIV C; Patupilone, peginterferon alfa-2a, peginterferon alfa-2b, peginterferon alfa-2b/ribavirin, pelitinib, Peru-15, pexelizumab, PHP, pimecrolimus, prednisolone sodium metasulfobenzoate; Recombinant $\alpha(1)$ -antitrypsin (AAT), retigabine, rHA influenza vaccine, rifalazil, rofecoxib, rosiglitazone maleate/Metformin hydrochloride, rostaporfin, rosuvastatin calcium, rubitecan; Selenite sodium, semilente insulin, SMP-797, sorafenib; Talampanel, tenofovir disoproxil fumarate, TER-199, tiotropium bromide, torcetrapib, treprostinil sodium, TTA; ValboroPro, valdecoxib, val-mCyd, valtorcitabine dihydrochloride: XP-828L. .COPYRGT. 2005 Prous Science. All rights reserved.

L10 ANSWER 19 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

reserved on SIN

ACCESSION NUMBER: 2005300056 EMBASE

TITLE: Overactive urinary bladder: Targeting sensory pathways.

AUTHOR: Lecci A.; Maggi C.A.

CORPORATE SOURCE: A. Lecci, Clinical Research Dept. Menarini Ricerche, Via

Sette Santi 1, 50131 Florence, Italy. alecci@menarini-

ricerche.it

SOURCE: Drug Discovery Today: Therapeutic Strategies, (2005) Vol.

2, No. 1, pp. 15-23. .

Refs: 48

ISSN: 1740-6773

PUBLISHER IDENT.: S 1740-6773(05)00013-6

COUNTRY:

United Kingdom
Journal; Article

DOCUMENT TYPE: FILE SEGMENT:

008 Neurology and Neurosurgery

028 Urology and Nephrology

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered

Entered STN: 21 Jul 2005

Last Updated on STN: 21 Jul 2005

AB Capsaicin and related compounds can exert a therapeutic benefit in patients with neurogenic bladder hyper-reflexia and other micturition disturbances. Modulation can be achieved by drugs acting at several levels of the micturition pathway. At the peripheral level, drugs might modulate sensory inputs arising from the bladder by acting directly not

only on afferent neurons but also on other kinds of cells. Sensory modulation can be achieved through drugs acting on receptors or ion channels. Targeting either can be effective strategies to treat bladder overactivity. .COPYRGT. 2005 Elsevier Ltd. All rights reserved.

L10 ANSWER 20 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4

ACCESSION NUMBER: 2005:461606 CAPLUS

DOCUMENT NUMBER: 143:37850

Retigabine: chemical synthesis to clinical TITLE:

application

AUTHOR(S): Blackburn-Munro, G.; Dalby-Brown, W.; Mirza, N. R.;

Mikkelsen, J. D.; Blackburn-Munro, R. E.

CORPORATE SOURCE: NeuroSearch A/S, Ballerup, Den.

SOURCE: CNS Drug Reviews (2005), 11(1), 1-20

CODEN: CDREFB; ISSN: 1080-563X

PUBLISHER: Neva Press

DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Retigabine [D23129; N-(2-amino-4-(4-

fluorobenzylamino)-phenyl)carbamic acid Et ester] is an antiepileptic drug with a recently described novel mechanism of action that involves opening of neuronal KV7.2-7.5 (formerly KCNQ2-5) voltage-activated K+ channels. These channels (primarily KV7.2/7.3) enable generation of the M-current, a subthreshold K+ current that serves to stabilize the membrane potential and control neuronal excitability. In this regard, retigabine has been shown to have a broad-spectrum of activity in animal models of elec.-induced (amygdala-kindling, maximal electroshock) and chemical-induced (pentylenetetrazole, picrotoxin, NMDA) epileptic seizures. encouraging results suggest that retigabine may also prove useful in the treatment of other diseases associated with neuronal hyperexcitability. Neuropathic pain conditions are characterized by pathol. changes in sensory pathways, which favor action potential generation and enhanced pain transmission. Although sometimes difficult to treat with conventional analgesics, antiepileptics can relieve some symptoms of neuropathic pain. A number of recent studies have reported that retigabine can relieve pain -like behaviors (hyperalgesia and allodynia) in animal models of neuropathic pain. Neuronal activation within several key structures within the CNS can also be observed in various animal models of anxiety. Moreover, amygdala-kindled rats, which have a lowered threshold for neuronal activation, also display enhanced anxiety-like responses. Retigabine dose-dependently reduces unconditioned anxiety-like behaviors when assessed in the mouse marble burying test and zero maze. Early clin. studies have indicated that retigabine is rapidly absorbed and distributed, and is resistant to first pass metabolism Tolerability is good in humans when titrated up to its therapeutic dose range (600-1200 mg/day). No tolerance, dependence or withdrawal potential has been reported, although adverse effects can include mild dizziness, headache, nausea and somnolence. Thus, retigabine may prove to be useful in the treatment of a diverse range of disease states in which neuronal hyperexcitability is a common underlying factor.

REFERENCE COUNT: 101 THERE ARE 101 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 21 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2005066140 EMBASE TITLE: Anticonvulsant agents.

AUTHOR: Malawska B.

CORPORATE SOURCE: B. Malawska, Jagiellonian University, Medical College,

Dept. of Physicochem. Drug Analysis, Medyczna 9, 30-688

Krakow, Poland

SOURCE: Current Topics in Medicinal Chemistry, (2005) Vol. 5, No.

1, pp. 1-2. .

ISSN: 1568-0266 CODEN: CTMCCL

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Editorial

FILE SEGMENT: 800 Neurology and Neurosurgery 029 Clinical Biochemistry

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

050 Epilepsy

LANGUAGE:

English

ENTRY DATE:

Entered STN: 24 Feb 2005

Last Updated on STN: 24 Feb 2005

DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L10 ANSWER 22 OF 63 USPATFULL on STN

ACCESSION NUMBER:

2004:292714 USPATFULL

TITLE:

Compositions of a cyclooxygenase-2 selective inhibitor and a potassium ion channel modulator for the treatment

of pain, inflammation or inflammation

mediated disorders

INVENTOR(S):

Stephenson, Diane T., Groton, CT, UNITED STATES Taylor, Duncan P., Bridgewater, NJ, UNITED STATES

PATENT ASSIGNEE(S):

Pharmacia Corporation (U.S. corporation)

		NUMBER	KIND	DATE	
PATENT INFORMATION:	US	2004229803	A1	20041118	
APPLICATION INFO.:	US	2004-828734	A1	20040421	(10)

US 2003-464775P 20030423 (60) US 2003-464609P 20030422 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: SENN

SENNIGER POWERS LEAVITT AND ROEDEL, ONE METROPOLITAN

SQUARE, 16TH FLOOR, ST LOUIS, MO, 63102

NUMBER OF CLAIMS: 38
EXEMPLARY CLAIM: 1
LINE COUNT: 3986

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides compositions and methods for the treatment of pain, inflammation or inflammation mediated disorders in a subject. More particularly, the invention provides a combination therapy for the treatment of pain, inflammation or inflammation mediated disorders comprising the administration to a subject of a potassium ion channel modulator in combination with a cyclooxygenase-2 selective inhibitor.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 23 OF 63 USPATFULL on STN

ACCESSION NUMBER:

2004:255194 USPATFULL

TITLE:
INVENTOR(S):

Quinazolinones as potassium channel modulators McNaughton-Smith, Grant A., Morrisville, NC, UNITED

STATES

Thomas, James B., JR., Efland, NC, UNITED STATES

Amato, George S., Cary, NC, UNITED STATES

PATENT ASSIGNEE(S): ICAgen, Inc., Durham, NC (U.S. corporation)

	NUMBER	KIND	DATE	•		
·						
PATENT INFORMATION:	US 2004198724	A1	20041007			
APPLICATION INFO.:	US 2003-746205	A1	20031223	(10)		

NUMBER DATE

PRIORITY INFORMATION: US 2002-436145P 20021223 (60)

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT: LEGAL REPRESENTATIVE:

TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

NUMBER OF CLAIMS: 32 EXEMPLARY CLAIM:

9 Drawing Page(s) NUMBER OF DRAWINGS:

1550 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided which are useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels. More particularly, the invention provides quinazolinone, compositions and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases) and as neuroprotective agents (e.g., to prevent stroke and the like) by modulating potassium channels associated with the onset or recurrence of the indicated conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 24 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:190628 USPATFULL

2-aryl thiazole derivatives as KCNQ modulators TITLE:

Boy, Kenneth M., Durham, CT, UNITED STATES INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

Guernon, Jason M., Hamden, CT, UNITED STATES

NUMBER KIND DATE ______ PATENT INFORMATION: US 2004147401 A1 20040729 APPLICATION INFO.: US 2003-731854 A1 20031209 A1 20031209 (10)

> NUMBER DATE ______

PRIORITY INFORMATION: US 2002-435970P 20021220 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS:

EXEMPLARY CLAIM: 1 LINE COUNT: 2064

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel 2-arylthiazole derivatives of Formula I are described which are openers of KCNQ potassium channels and are useful in the treatment of disorders that are responsive to the opening of the KCNQ potassium channels, including pain and migraine. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 25 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:179098 USPATFULL.

TITLE: Aminoalkyl thiazole derivatives as KCNQ modulators

INVENTOR(S): Boy, Kenneth M., Durham, CT, UNITED STATES

Wu, Yong-Jin, Madison, CT, UNITED STATES

NUMBER KIND DATE -----US 2004138268 A1 20040715 US 6933308 B2 20050823 PATENT INFORMATION: B2 20050823 US 2003-730781 A1 20031209 (10) APPLICATION INFO.:

NUMBER DATE _____ ____

PRIORITY INFORMATION: US 2002-435971P 20021220 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: EXEMPLARY CLAIM: . 1 LINE COUNT: 1292

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Novel aminoalkylthiazole derivatives of Formula I are described which are openers of KCNQ potassium channels and are useful in the treatment of disorders responsive to the opening of the KCNQ potassium channels,

including pain and migraine. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 26 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:159203 USPATFULL

TITLE: 1-aryl-2-hydroxyethyl amides as potassium channel

openers

INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

> Sun, Li-Qiang, Glastonbury, CT, UNITED STATES He, Huan, Wallingford, CT, UNITED STATES

L'Heureux, Alexandre, Longueuil, CANADA

NUMBER KIND DATE ______

US 2004122007 A1 20040624 US 2003-719465 A1 20031121 PATENT INFORMATION: APPLICATION INFO.: A1 20031121 (10)

> NUMBER DATE ______

US 2002-428338P 20021122 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 1584

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides novel aryl hydroxyethyl amides and related derivatives having the general Formula I ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6, R.sup.7 and A are as defined in the specification, or a nontoxic pharmaceutically acceptable salt, solvate or hydrate thereof which are openers or activators of KCNQ potassium channels. The present invention also provides pharmaceutical compositions comprising said aryl hydroxyethyl amides and to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 27 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:145092 USPATFULL

TITLE: 3-(Pyridinyl-piperazin-1-yl)-phenylethyl amides as

potassium channel openers

INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

Sun, Li-Qiang, Glastonbury, CT, UNITED STATES

Chen, Jie, Madison, CT, UNITED STATES

NUMBER KIND DATE US 2004110765 A1 20040610 US 2003-719188 A1 20031121 PATENT INFORMATION:

APPLICATION INFO.: A1 20031121 (10)

> NUMBER DATE ------

PRIORITY INFORMATION: US 2002-428354P 20021122 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1
LINE COUNT: 718

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides piperazinyl phenylethyl amides and

related derivatives having the general Formula I ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6, A and B are as defined in the specification, or a nontoxic pharmaceutically acceptable salt, solvate or hydrate thereof which are openers or activators of KCNQ potassium channels. The present invention also provides pharmaceutical compositions comprising said piperazinyl phenylethyl amides and to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain, and anxiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 28 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:145081 USPATFULL

TITLE: Arylcyclopropylcarboxylic amides as potassium channel

openers

INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

Sun, Li-Qiang, Glastonbury, CT, UNITED STATES

L'Heureux, Alexandre, Longueuil, CANADA

NUMBER DATE

PRIORITY INFORMATION: US 2002-428337P 20021122 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: 8
EXEMPLARY CLAIM: 1

LINE COUNT: 1409

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel arylcyclopropylcarboxylic amides and related derivatives having the general Formula I ##STR1##

wherein R, R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6 and R.sup.7 are as defined in the specification, or a nontoxic pharmaceutically acceptable salt, solvate or hydrate thereof which are openers or activators of KCNQ potassium channels. The present invention also provides pharmaceutical compositions comprising said arylcyclopropylcarboxylic amides and to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 29 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:139445 USPATFULL

TITLE: 3-Heterocyclic benzylamide derivatives as potassium

channel openers

INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

L'Heureux, Alexandre, Longueuil, CANADA He, Huan, Wallingford, CT, UNITED STATES

NUMBER KIND DATE

PATENT INFORMATION:

US 2004106621 A1 20040603

APPLICATION INFO.:

US 2003-719187 A1 20031121 (10)

NUMBER DATE ______

PRIORITY INFORMATION:

US 2002-428353P 20021122 (60)

DOCUMENT TYPE:

Utility

FILE SEGMENT:

APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

1337

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention provides novel 3-heterocyclic benzylamides and

related derivatives having the general Formula I ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6, A and Het are as defined in the specification, or a nontoxic pharmaceutically acceptable salt, solvate or hydrate thereof which are openers or activators of KCNQ potassium channels. The present invention also provides pharmaceutical compositions comprising said novel 3-heterocyclic benzylamides and to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 30 OF 63 USPATFULL on STN

ACCESSION NUMBER:

2004:133912 USPATFULL

TITLE:

Pyridinyl, pyrimidinyl and pyrazinyl amides as

potassium channel openers

INVENTOR(S):

Wu, Yong-Jin, Madison, CT, UNITED STATES

Sun, Li-Qiang, Glastonbury, CT, UNITED STATES

Chen, Jie, Madison, CT, UNITED STATES He, Huan, Wallingford, CT, UNITED STATES

KIND DATE NUMBER ______ US 2004102449 A1 20040527 PATENT INFORMATION: US 6900210 B2 20050531 US 2003-719538 A1 20031121 (10)

APPLICATION INFO.:

NUMBER DATE ______

PRIORITY INFORMATION:

US 2002-428352P 20021122 (60)

DOCUMENT TYPE:

Utility APPLICATION

FILE SEGMENT:

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS:

8

EXEMPLARY CLAIM:

1589

1 LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel heterocyclic amides and related

derivatives having the general Formula I ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4, R.sup.5, R.sup.6, A, B and Z are as defined in the specification, or a nontoxic pharmaceutically acceptable salt, solvate or hydrate thereof which are openers or activators of KCNQ potassium channels. The present invention also provides pharmaceutical compositions comprising said heterocyclic amides and to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine or a migraine attack, bipolar disorders, epilepsy, acute and chronic pain and anxiety.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 31 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2004:39407 USPATFULL

TITLE: Methods for treating hyperactive gastric motility

Argentieri, Thomas M., Yardley, PA, UNITED STATES INVENTOR(S): Wyeth, Madison, NJ, UNITED STATES (U.S. corporation) PATENT ASSIGNEE(S):

KIND DATE NUMBÉR ______ US 2004029949 A1 20040212 PATENT INFORMATION: 20060321

US 2003-635081 A1 Division of Scr 20030806 (10) APPLICATION INFO.:

Division of Ser. No. US 2002-114148, filed on 2 Apr RELATED APPLN. INFO.:

2002, ABANDONED

NUMBER DATE -----

US 2001-281471P 20010404 (60) PRIORITY INFORMATION:

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

WYETH, PATENT LAW GROUP, FIVE GIRALDA FARMS, MADISON, LEGAL REPRESENTATIVE:

NJ, 07940

NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM: 1 LINE COUNT: 629

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention provides methods and pharmaceutical compositions for treating, inhibiting or preventing hyperactive gastric motility in a mammal utilizing agonists of KCNQ potassium channels, including KCNQ2, KCNQ3, KCNQ4 and KCNQ5 potassium channels, alone or in combination. The hyperactive gastric motility may be associated with maladies including, colitis, irritable bowel syndrome and Crohn's disease. Compounds useful in these methods include the 1,2,4-triamino-benzene derivatives described in U.S. Pat. Number 5,384,330 (Dieter et al.) and the substituted 3-phenyl oxindole compounds described in U.S. Pat. Number 5,565,483 (Hewawasam et al.).

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 32 OF 63 USPATFULL on STN

ACCESSION NUMBER:

TITLE:

INVENTOR(S):

2004:7342 USPATFULL.

Proteins and nucleic acids encoding same

Guo, Xiaojia (Sasha), Branford, CT, UNITED STATES

Li, Li, Branford, CT, UNITED STATES

Patturajan, Meera, Branford, CT, UNITED STATES Shimkets, Richard A., Guilford, CT, UNITED STATES Casman, Stacie J., North Haven, CT, UNITED STATES Malyankar, Uriel M., Branford, CT, UNITED STATES Tchernev, Velizar T., Branford, CT, UNITED STATES Vernet, Corine A., North Branford, CT, UNITED STATES Spytek, Kimberly A., New Haven, CT, UNITED STATES Shenoy, Suresh G., Branford, CT, UNITED STATES Alsobrook, John P., II, Madison, CT, UNITED STATES Edinger, Schlomit, New Haven, CT, UNITED STATES Peyman, John A., New Haven, CT, UNITED STATES Stone, David J., Guilford, CT, UNITED STATES Ellerman, Karen, Branford, CT, UNITED STATES Gangolli, Esha A., Madison, CT, UNITED STATES Boldog, Ferenc L., North Haven, CT, UNITED STATES Colman, Steven D., Guilford, CT, UNITED STATES Eisen, Andrew, Rockville, MD, UNITED STATES Liu, Xiaohong, Lexington, MA, UNITED STATES Padigaru, Muralidhara, Branford, CT, UNITED STATES

Spaderna, Steven K., Berlin, CT, UNITED STATES Zerhusen, Bryan D., Branford, CT, UNITED STATES

KIND DATE NUMBER

US 2004005576 A1 20040108 PATENT INFORMATION:

A1 20020830 (10) APPLICATION INFO.: US 2002-231913

Continuation of Ser. No. US 2001-10680, filed on 6 Dec RELATED APPLN. INFO.:

2001, PENDING

NUMBER DATE ______

US 2000-251660P PRIORITY INFORMATION: 20001206 (60)

US 2001-260326P 20010108 (60)

US 2001-318712P 20010912 (60) US 2000-255029P 20001212 (60)

US 2001-263800P 20010124 (60) US 2001-286183P 20010424 (60)

US 2001-269942P 20010220 (60)

US 2001-313627P 20010820 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

MINTZ, LEVIN, COHN, FERRIS, GLOVSKY, AND POPEO, P.C., LEGAL REPRESENTATIVE:

ONE FINANCIAL CENTER, BOSTON, MA, 02111

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 17887

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Disclosed are polypeptides and nucleic acids encoding same. Also disclosed are vectors, host cells, antibodies and recombinant methods for producing the polypeptides and polynucleotides, as well as methods

for using same.

AUTHOR(S):

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 33 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:126100 CAPLUS

DOCUMENT NUMBER: 140:400505

TITLE: M-current modulators alter rat spinal nociceptive

transmission: an electrophysiological study in vitro Rivera-Arconada, I.; Martinez-Gomez, J.; Lopez-Garcia,

J. A.

CORPORATE SOURCE: Campus Universitario, Facultad de Medicina,

Departamento de Fisiologia, Universidad de Alcala,

Madrid, 28871, Spain

SOURCE: Neuropharmacology (2004), 46(4), 598-606

CODEN: NEPHBW; ISSN: 0028-3908

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB M-currents constitute a unique effector system to control neuronal excitability due to their voltage and ligand sensitivities. Here the authors have used retigabine, an M-current agonist, and XE-991, an M-current antagonist, to study the possible involvement of these currents in the processing of spinal sensory and motor processing of nociceptive information in normal, untreated rats. Expts. were performed in a hemisected spinal cord preparation from rat pups using extracellular recordings. Responses to activation of nociceptive and non-nociceptive afferent fibers were recorded. M-current modulators were bath applied to

the entire cord or applied locally by pressure ejection. Retigabine and XE-991 produced long-lasting and concentration-dependent effects on nociceptive reflexes showing only minor effects on non-nociceptive reflexes. Retigabine depressed responses to repetitive stimulation of the dorsal root recorded from motor neurons and dorsal horn neurons, whereas XE-991 showed the opposite potentiatory effect and reversed effects of retigabine. Local application of the modulators close by motor nuclei produced changes in reflex responses similar to those caused by bath application. These results constitute a clear indication of the existence of functional M-currents in dorsal and ventral horn elements of the mammalian spinal cord where they may serve to regulate early sensory and motor processing of nociceptive information. The weak effect of modulators on non-nociceptive reflexes suggest that

M-currents constitute a promising novel target for analgesics.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS L10 ANSWER 34 OF 63 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 2004:134964 BIOSIS DOCUMENT NUMBER: PREV200400137120

TITLE: Retigabine hyperpolarises rat dorsal root

ganglion cells and reduces excitability by activation of

KCNQ channels.

AUTHOR(S): Herrik, Kjartan Frisch [Reprint Author]; Jensen, Henrik

Sindal [Reprint Author]; Stroebaek, Dorte [Reprint Author]; Jensen, Bo Skaaning [Reprint Author]; Christophersen, Palle

[Reprint Author]

CORPORATE SOURCE: NeuroSearch, Ballerup, Denmark

SOURCE: Biophysical Journal, (January 2004) Vol. 86, No. 1, pp.

532a. print.

Meeting Info.: 48th Annual Meeting of the Biophysical Society. Baltimore, MD, USA. February 14-18, 2004.

Biophysical Society.

ISSN: 0006-3495 (ISSN print).

DOCUMENT TYPE: Conference; (Meeting)

Conference; Abstract; (Meeting Abstract)

LANGUAGE:

ENTRY DATE: Entered STN: 10 Mar 2004

English

Last Updated on STN: 10 Mar 2004

AB In neuropathic pain, dorsal root ganglion (DRG) neurons may shift activity pattern from the normally silent phenotype driven by sensory inputs to a spontaneous active type responsible for ectopic input to pain centers in the CNS. Increasing the resting K+-conductance in DRG could dampen such activity. KCNQ2-5 channels are voltage-activated potassium channels active below the action potential threshold and potentially important for excitability regulation. Furthermore, the KCNQ channel activator, retigabine, shows effect in rat models of chronic pain. Using whole-cell patch clamp and real-time RT-PCR we investigated whether expression and function

clamp and real-time RT-PCR we investigated whether expression and function of KCNQ channels in isolated DRG from normal embryonic (eDRG) and adult rats (aDRG) may, at least partly, explain the analgesic effect of retigabine. Spontaneously active, cultured DRG cells firing APs at a constant rate were rarely observed (1 of 202 eDRG) although more frequently in aDRGs (5 of 45 cells). Retigabine (10 uM)

reversibly silenced these cells by hyperpolarization. Likewise, current-evoked single APs were ameliorated. The effect was quantified by concentration-response experiments in the low uM concentration range and both capsaicin sensitive as well as insensitive cells responded to

retigabine. XE-991 (30 uM), a selective KCNQ blocker, completely reversed the effect, as did TEA in the concentration range of 1-10 mM. In voltage-clamp, retigabine left-shifted the zero-current potential and increased the zero-current conductance, indicating augmented

potassium conductance. In some cells **retigabine** clearly activated currents with M-channel characteristics. Real time RT-PCR studies with acutely dissociated DRG showed most prominent mRNA signal from KCNQ2, but all subtypes were detected. KCNQ2 and KCNQ3 were downregulated in adult rat DRG leaving KCNQ4 and KCNQ5 as the most frequent. These studies indicate expression and functional importance of KCNQ channels in rat DRG verifying KCNQ-channels as important **pain** targets.

L10 ANSWER 35 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2005025254 EMBASE

TITLE: GABA puts a stop to pain.

AUTHOR: Jasmin L.; Wu M.V.; Ohara P.T.

CORPORATE SOURCE: L. Jasmin, Department of Neurological Surgery, University

of California, San Francisco, CA 94143-0112, United States.

ucpain@itsa.ucsf.edu

SOURCE: Current Drug Targets: CNS and Neurological Disorders,

(2004) Vol. 3, No. 6, pp. 487-505. .

Refs: 414

ISSN: 1568-007X CODEN: CDTCCC

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 005 General Pathology and Pathological Anatomy

008 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

039 Pharmacy

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 27 Jan 2005

Last Updated on STN: 27 Jan 2005

A lack of inhibition, particularly that mediated by gamma-amino butyric AΒ acid (GABA), the main inhibitory transmitter of the central nervous system (CNS), is responsible for many pain states. Until recently, few GABA acting drugs were available and were prescribed mostly for relieving muscle spasms, anxiety and epilepsy, but rarely for pain. The basic metabolic pathway of GABA is well known and we are now beginning to understand the function of this neurotransmitter in the complex circuitry underlying pain, especially in the context of nerve injury. Analgesic compounds are now being developed targeting GABA transporters as well as GABA associated enzymes and receptors. Some GABA analogs act by inhibiting ion channels, a property that contributes to their analgesic effects. However, despite considerable progress in developing new compounds, the use of systemically acting GABAergic drugs is limited by unwanted side-effects on systems other than those involved in pain , and by the fact that in certain areas of the brain, GABA can enhance rather than reduce pain. The advent of new drugs targeting subtypes of GABA receptors and transporters and the possibility of using newly developed delivery systems, such as intrathecal pumps and viral vectors, to target specific areas of the nervous system will likely help circumvent these problems. .COPYRGT. 2004 Bentham Science Publishers Ltd.

L10 ANSWER 36 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2004170351 EMBASE

TITLE: KCNQ potassium channels: Drug targets for the treatment pf

epilepsy and pain.

AUTHOR: Wickenden A.D.; Roeloffs R.; McNaughton-Smith G.; Rigdon

G.C.

CORPORATE SOURCE: A.D. Wickenden, Icagen Inc., 4222 Emperor Boulevard,

Durham, NC 27703, United States. awickenden@icagen.com Expert Opinion on Therapeutic Patents, (2004) Vol. 14, No.

4, pp. 457-469. .

Refs: 98

ISSN: 1354-3776 CODEN: EOTPEG

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

030 Pharmacology

O37 Drug Literature Index O38 Adverse Reactions Titles

050 Epilepsy

LANGUAGE: English SUMMARY LANGUAGE: English

SOURCE:

ENTRY DATE: Entered STN: 6 May 2004

Last Updated on STN: 6 May 2004

Epilepsy and neuropathic **pain** are disorders characterised by excessive neuronal activity. These disorders are currently managed by drugs that are capable of dampening neuronal excitability, including voltage-gated sodium channel blockers, voltage-operated calcium channel modulators and modulators of inhibitory GABAergic neurotransmission. However, these drugs are rarely 100% efficacious and their use is often associated with limiting side effects. Thus, there is a clear medical need for novel agents to treat these diseases. One potential mechanism that has not yet been exploited is potassium (K(+)) channel opening. A significant (and growing) body of genetic, molecular, physiological and pharmacological evidence now exists to indicate that KCNQ-based currents represent particularly interesting targets for the treatment of diseases

such as epilepsy and neuropathic **pain**. Evidence supporting these K(+) channels as novel drug targets will be reviewed in the following article. Worldwide patent activity relating to KCNQ channels and KCNQ-modulating drugs and their uses will also be summarised. 2004 .COPYRGT. Ashley Publications Ltd.

L10 ANSWER 37 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:283501 CAPLUS

DOCUMENT NUMBER: 140:385895

TITLE: The anti-hyperalgesic activity of retigabine

is mediated by KCNQ potassium channel activation

Dost, R.; Rostock, A.; Rundfeldt, C.

CORPORATE SOURCE: elbion AG, Radebeul, 01445, Germany

SOURCE: Naunyn-Schmiedeberg's Archives of Pharmacology (2004),

369(4), 382-390

CODEN: NSAPCC; ISSN: 0028-1298

PUBLISHER: Springer-Verlag

DOCUMENT TYPE: Journal LANGUAGE: English

AUTHOR(S):

Retigabine (N-(2-amino-4-(4-fluorobenzylamino)-phenyl) carbamic acid Et ester) has a broad anticonvulsant spectrum and is currently in clin. development for epilepsy. The compound has an opening effect on neuronal KCNQ channels. At higher concns. an augmentation of gamma-aminobutyric acid (GABA) induced currents as well as a weak blocking effect on sodium and calcium currents were observed The goal of this study was to characterize the activity of retigabine in models of acute and neuropathic pain and to investigate if the potassium channel opening effect of retigabine contributes to its activity. Retigabine was tested in mice and rats in the tail flick model of acute pain and in the nerve ligation model with tight ligation of the 5th spinal nerve (L5) using both thermal and tactile stimulation. While retigabine like gabapentin had almost no analgesic effect in mice it showed some analgesic effects in rats in the tail flick model. These effects could not be antagonized with linopirdine, a selective KCNQ potassium channel blocker, indicating a different mode of action for this activity. In L5-ligated rats retigabine significantly and dose-dependently elevated the pain threshold and prolonged the withdrawal latency after tactile and thermal stimulation, resp. In the L5 ligation model with thermal stimulation retigabine 10 mg/kg p.o. was as effective as 100 mg/kg gabapentin or 10 mg/kg tramadol. The L5 model with tactile stimulation was used to test the role of the KCNQ potassium channel opening effect of retigabine. If retigabine 10 mg/kg p.o. was administered alone it was as effective as tramadol 10 mg/kg p.o. in elevating the pain threshold. Linopirdine (1 and 3 mg/kg i.p.) had nearly no influence on neuropathic pain response. we administered both retigabine and linopirdine the effect of retigabine was abolished or diminished depending on the dose of linopirdine used. In summary, retigabine is effective in predictive models for neuropathic pain. The activity is comparable to tramadol and is present at lower doses compared with gabapentin. Since the anti-allodynic effect can be inhibited by linopirdine we can conclude that the potassium channel opening properties of retigabine are critically involved in its ability to reduce neuropathic pain response.

REFERENCE COUNT: 84 THERE ARE 84 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 38 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2004299472 EMBASE

TITLE: New and emerging pharmacological targets for neuropathic

pain.

AUTHOR: Manning D.C.

CORPORATE SOURCE: Dr. D.C. Manning, Clinical Reseach and Development, Celgene

Corporation, Seven Powder Horn Drive, Warren, NJ 07059,

United States. dmanning@celgene.com

SOURCE: Current Pain and Headache Reports, (2004) Vol. 8, No. 3,

pp. 192-198. .

Refs: 66

ISSN: 1531-3433

COUNTRY:

United Kingdom

DOCUMENT TYPE:

Journal; General Review

FILE SEGMENT:

Neurology and Neurosurgery

800

026 Immunology, Serology and Transplantation

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

English LANGUAGE: SUMMARY LANGUAGE: English

Entered STN: 29 Jul 2004 ENTRY DATE:

Last Updated on STN: 29 Jul 2004

Increasing knowledge of the molecular consequences of nerve injury and the availability of genome databases has greatly increased the range of potential targets for the pharmacological management of neuropathic pain. Controlling neuronal sensitization and the associated alterations in gene expression, protein modification, and neuronal excitability is the key to managing neuropathic pain. Control of neuronal sensitization can occur through inhibition of nerve injury-associated production of cytokines, activation of glial cells, modulation of potassium channel subtypes, mitogen-activated protein kinases, the ubiquitin-proteasome system, or the protection and amplification of spinal cord dorsal horn inhibitory systems. These new and already established targets promise unparalleled opportunities for the prevention, management, and resolution of persistent pain states following nerve injury. Copyright .COPYRGT. 2004 by Current Science Inc.

L10 ANSWER 39 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6

ACCESSION NUMBER:

2004:213191 CAPLUS 140:368485

DOCUMENT NUMBER: TITLE:

Pharmacological characterization of acid-induced

muscle allodynia in rats

AUTHOR(S):

Nielsen, Alexander Norup; Mathiesen, Claus;

Blackburn-Munro, Gordon

CORPORATE SOURCE:

NeuroSearch A/S, Department of Pharmacology, Ballerup,

DK-2750, Den.

SOURCE:

European Journal of Pharmacology (2004), 487(1-3),

93-103

CODEN: EJPHAZ; ISSN: 0014-2999 Elsevier Science B.V.

PUBLISHER: DOCUMENT TYPE:

LANGUAGE:

Journal English

Previous studies have shown that repeated injections of acidic saline, given into the lateral gastrocnemius muscle of rats, results in a bilateral reduction in withdrawal threshold to tactile stimulation of the hindpaws. We have now characterized this model of muscoskeletal pain pharmacol., by evaluating the antinociceptive effects of various analgesics after systemic administration. The μ -opioid receptor agonist morphine (3 and 6 mg/kg) produced a particularly prolonged antiallodynic effect. The glutamate receptor antagonists NS1209 and ketamine (6 and 15 mg/kg, resp.), the KCNQ K+ channel openers retigabine and flupirtine (10 and 20 mg/kg, resp.) and the Na+ channel blocker mexiletine (37.5 mg/kg) also significantly increased paw withdrawal threshold, although to a lesser degree than morphine. In contrast, the anticonvulsant lamotrigine (30 mg/kg), the cyclooxygenase-2 inhibitor carprofen (15 mg/kg) and the benzodiazepine diazepam (3 mg/kg) were ineffective. All antinociceptive effects were observed at nonataxic doses as determined by the rotarod test. These results suggest that in this model, muscle-mediated pain can be alleviated by various analgesics with differing mechanisms of action, and that once established ongoing inflammation does not appear to contribute to this process. 38

REFERENCE COUNT: THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 40 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2004147403 EMBASE

TITLE: Neuropathic Pain: Drug Targets for Current and Future Interventions.

AUTHOR: Smith P.A.

CORPORATE SOURCE: Dr. P.A. Smith, Department of Pharmacology, University of

Alberta, 9.75 Medical Sciences Building, Edmonton, Alta.

T6G 2H7, Canada. peter.a.smith@ualberta.ca

SOURCE: Drug News and Perspectives, (2004) Vol. 17, No. 1, pp.

5-17. . Refs: 188

ISSN: 0214-0934 CODEN: DNPEED

COUNTRY: Spain

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 22 Apr 2004

Last Updated on STN: 22 Apr 2004

Nociceptive pain alerts the body to potential or actual tissue AB damage. By contrast, neuropathic pain, which results from injury or damage to the nervous system, persists long after all signs of the original injury have disappeared. This type of maladaptive pain presents a significant clinical problem, as it responds poorly or unpredictably to classical analgesics. There is also no single, uniformly well-tolerated drug that is reliably helpful. Current understanding of the etiology of neuropathic pain reveals seven potential targets for therapeutic intervention. These are: 1) ectopic activity in damaged peripheral nerves; 2) increased excitability in spinal dorsal horn neurons; 3) restoration or augmentation of GABAergic inhibition in the dorsal horn; 4) supraspinal and affective mechanisms; 5) alterations in the sympathetic nervous system; 6) spinal peptidergic mechanisms; and 7) spinal excitatory amino acid receptors. Current therapeutic approaches, using drugs such as gabapentin, anticonvulsants, ketamine or methadone, and potential new approaches are discussed in the context of these seven drug targets. . COPYRGT. 2004 Prous Science. All rights reserved.

L10 ANSWER 41 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2004417186 EMBASE

TITLE: Progress report on new antiepileptic drugs: A summary of

the Seventh Eilat Conference (EILAT VII).

AUTHOR: Bialer M.; Johannessen S.I.; Kupferberg H.J.; Levy R.H.;

Perucca E.; Tomson T. bialer@md.huji.ac.il

SOURCE: Epilepsy Research, (2004) Vol. 61, No. 1-3, pp. 1-48.

Refs: 231

ISSN: 0920-1211 CODEN: EPIRE8

PUBLISHER IDENT.: S 0920-1211(04)00145-7

COUNTRY: Netherlands

CORPORATE SOURCE:

DOCUMENT TYPE: Journal; Conference Article

FILE SEGMENT: 008 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

050 Epilepsy

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 18 Oct 2004

Last Updated on STN: 18 Oct 2004

AB The Seventh Eilat Conference on New Antiepileptic Drugs (AEDs) (EILAT VII) took place in Villasimius, Sardinia, Italy from the 9th to 13th May 2004. Basic scientists, clinical pharmacologists and neurologists from 24 countries attended the conference, whose main themes included advances in pathophysiology of drug resistance, new AEDs in pediatric epilepsy syndromes, modes of AED action and spectrum of adverse effects and a re-appraisal of comparative responses to AED combinations. Consistent with previous formats of this conference, the central part of the conference was devoted to a review of AEDs in development, as well as

updates on second-generation AEDs. This article summarizes the information presented on drugs in development, including atipamezole, BIA-2-093, fluorofelbamate, NPS 1776, pregabalin, retigabine, safinamide, SPM 927, stiripentol, talampanel, ucb 34714 and valrocemide (TV 1901). Updates on felbamate, gabapentin, lamotrigine, levetiracetam, oxcarbazepine, tiagabine, topiramate, vigabatrin, zonisamide, new oral and parenteral formulations of valproic acid and SPM 927 and the antiepileptic vagal stimulator device are also presented.

L10 ANSWER 42 OF 63 USPATFULL on STN

2003:258454 USPATFULL ACCESSION NUMBER:

Use of 3-substituted oxindole derivatives as kcnq TITLE:

potassium channel modulators

Jensen, Bo Skaaning, Ballerup, DENMARK INVENTOR(S):

Schroder, Rikke, frederiksberg, DENMARK

Strobaek, Dorte, Ballerup, DENMARK Olesen, Soren Peter, Ballerup, DENMARK

NUMBER KIND DATE -----US 2003181507 A1 20030925 PATENT INFORMATION: US 2003-312123 A1 20030224 (10) WO 2001-DK412 20010614 APPLICATION INFO.:

> NUMBER DATE _____

DK 2000-1022 20000629 PRIORITY INFORMATION:

DK 2001-394 20010308

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: BIRCH STEWART KOLASCH & BIRCH, PO BOX 747, FALLS

CHURCH, VA, 22040-0747

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 4 Drawing Page(s)

LINE COUNT: 762

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention relates to a novel method of treating of pain or anxiety, using compounds that modulate KCNQ potassium

channels and currents. ##STR1##

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 43 OF 63 USPATFULL on STN

2003:238492 USPATFULL ACCESSION NUMBER:

TITLE: Cinnamide derivatives as KCNQ potassium channel

modulators

INVENTOR(S): Wu, Yong-Jin, Madison, CT, UNITED STATES

Sun, Li-Quang, Glastonbury, CT, UNITED STATES

Chen, Jie, Madison, CT, UNITED STATES He, Huan, Wallingford, CT, UNITED STATES L'Heureux, Alexandre, Longueuil, CANADA Dextraze, Pierre, Laprairie, CANADA Daris, Jean-Paul, St. Hubert, CANADA

Kinney, Gene G., Collegeville, PA, UNITED STATES Dworetzky, Steven I., Middlefield, CT, UNITED STATES Hewawasam, Piyasena, Middletown, CT, UNITED STATES

	NUMBER	KIND	DATE	
PATENT INFORMATION:	US 2003166650	A1	20030904	
	US 6831080	B2	20041214	
APPLICATION INFO.:	US 2002-160582	A1	20020531	(10)

NUMBER DATE

PRIORITY INFORMATION: US 2001-294815P

20010531 (60) DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: 28
EXEMPLARY CLAIM: 1
LINE COUNT: 4774

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided novel cinnamide derivatives of Formula I ##STR1##

wherein R is C.sub.1-4 alkyl or trifluoromethyl; R.sup.1 is selected from the group consisting of pyridinyl, quinolinyl, thienyl, furanyl, 1,4-benzodioxanyl, 1,3-benzodioxolyl, chromanyl, indanyl, biphenylyl, phenyl and substituted phenyl in which said substituted phenyl is substituted with one or two substituents each independently selected-from the group consisting of halogen, C.sub.1-4 alkyl, C.sub.1-4 alkoxy, trifluoromethyl, trifluoromethoxy and nitro; R.sup.2 and R.sup.3 are each independently selected from the group consisting of hydrogen, C.sub.1-4 alkyl, and halogen; R.sup.4 is selected from the group consisting of di(C.sub.1-4 alkyl)amino, trifluoromethoxy and optionally substituted morpholin-4-yl, pyridinyl, pyrimidinyl, piperazinyl, and pyrazinyl with one or two substituents in which said 'substituent is independently selected from the group consisting of C.sub.1-4 alkyl, aminomethyl, hydroxymethyl, chloro or fluoro; R.sup.5 is hydrogen, chloro or fluoro; or R.sup.4 and R.sup.5 taken together are --CH.dbd.CH--CH.dbd.CH-- or --X(CH.sub.2).sub.mY-- in which X and Y are each independently selected from the group consisting of CH.sub.2, (CH.sub.2).sub.nN(R.sup.9) -- and O, wherein m is 1 or 2; n is 0 or 1; and R.sup.6, R.sup.7, and R.sup.8 are each independently selected from hydrogen, chloro and fluoro; and R.sup.9 is selected from the group consisting of hydrogen, C.sub.1-4 alkyl, hydroxyethyl, C.sub.1-4 alkoxyethyl, cyclopropylmethyl, --CO.sub.2(C.sub.1-4alkyl), and --CH.sub.2CH.sub.2NR.sup.10R.sup.11 in which R.sup.10 and R.sup.11 are each independently hydrogen or C.sub.1-4 alkyl, which are openers of the KCNQ potassium channels and are useful in the treatment of disorders which are responsive to the opening of the KCNQ potassium channels.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 44 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2003:638248 CAPLUS

DOCUMENT NUMBER: 140:53256

TITLE: KCNQ/M currents in sensory neurons: Significance for

pain therapy

AUTHOR(S): Passmore, Gayle M.; Selyanko, Alexander A.; Mistry,

Mohini; Al-Qatari, Mona; Marsh, Stephen J.; Matthews, Elizabeth A.; Dickenson, Anthony H.; Brown, Terry A.; Burbidge, Stephen A.; Main, Martin; Brown, David A. Department of Pharmacology, University College London,

London, WC1E 6BT, UK

SOURCE: Journal of Neuroscience (2003), 23(18), 7227-7236

CODEN: JNRSDS; ISSN: 0270-6474

PUBLISHER: Society for Neuroscience

DOCUMENT TYPE: Journal LANGUAGE: English

CORPORATE SOURCE:

Neuronal hyperexcitability is a feature of epilepsy and both inflammatory and neuropathic pain. M currents [IK(M)] play a key role in regulating neuronal excitability, and mutations in neuronal KCNQ2/3 subunits, the mol. correlates of IK(M), have previously been linked to benign familial neonatal epilepsy. Here, we demonstrate that KCNQ/M channels are also present in nociceptive sensory systems. IK(M) was identified, on the basis of biophys. and pharmacol. properties, in cultured neurons isolated from dorsal root ganglia (DRGs) from 17-d-old rats. Currents were inhibited by the M-channel blockers linopirdine (IC50, 2.1 μ M) and XE991 (IC50, 0.26 μ M) and enhanced by retigabine (10 μ M). The expression of neuronal KCNQ subunits in DRG neurons was confirmed using reverse transcription-PCR and single-cell PCR anal. and by immunofluorescence. Retigabine, applied to the dorsal spinal cord, inhibited C and $A\delta$ fiber-mediated responses of dorsal horn neurons evoked by natural or elec. afferent stimulation and the progressive "windup" discharge with repetitive

stimulation in normal rats and in rats subjected to spinal nerve ligation.

Retigabine also inhibited responses to intrapaw application of carrageenan in a rat model of chronic pain; this was reversed by

XE991. It is suggested that IK(M) plays a key role in controlling the

excitability of nociceptors and may represent a novel analgesic target. THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 50 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 45 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 8

ACCESSION NUMBER: 2003:933608 CAPLUS

140:399049 DOCUMENT NUMBER:

The therapeutic potential of neuronal KCNQ channel TITLE:

modulators

AUTHOR(S): Gribkoff, Valentin K.

CORPORATE SOURCE: Department 401, Neuroscience Drug Discovery, Bristol-Myers Squibb Pharmaceutical Research

Institute, Wallingford, CT, 06492, USA

SOURCE: Expert Opinion on Therapeutic Targets (2003), 7(6),

737-748

CODEN: EOTTAO; ISSN: 1472-8222

PUBLISHER: Ashley Publications Ltd. DOCUMENT TYPE: Journal; General Review

LANGUAGE: English

A review. Neuronal KCNQ (Kv7) channels (KCNQ2 - 5 or Kv7.2 - 7.5, disclosed to date) were discovered by virtue of their homol. with a known cardiac channel involved in long QT syndrome (KvLQT or KCNQ1, Kv7.1) and first disclosed in 1998. The involvement of KCNQ2 (Kv7.2) and KCNQ3 (Kv7.3) in a benign idiopathic neonatal epilepsy, KCNQ4 (Kv7.4) in a form of congenital deafness, and the discovery that neuronal KCNQ heteromultimers were among the mol. substrates of M-channels, resulted in a high level of interest for potential drug development strategies. A number of small-mol. modulators were quickly identified, including openers or activators such as the antiepileptic drug candidate retigabine and the structurally-related analgesic drug flupirtine, and a group of KCNQ channel inhibitors/blockers originally developed for cognition enhancement. All of these data have suggested a rich target profile for modulators of neuronal KCNQ channels, including a variety of neuronal hyperexcitability disorders and conditions for openers, such as the epilepsies, acute pain, neuropathic pain, migraine pain and some neurodegenerative and psychiatric disorders. KCNQ blockers could likewise have utility in disorders characterized by neuronal hypoactivity, including cognition enhancement and perhaps disorders of mood. Emerging patent literature suggests significant

interest in neuronal KCNQ modulation in the pharmaceutical industry and significant chemical diversity concerning KCNQ modulation.

REFERENCE COUNT: 100 THERE ARE 100 CITED REFERENCES AVAILABLE FOR

THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 46 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003319044 EMBASE

Current and future aspects of the drug therapy of epilepsy. TITLE:

AUTHOR: Tugwell C.

Hospital Pharmacist, (2003) Vol. 10, No. 7, pp. 296-302. . SOURCE:

Refs: 11

ISSN: 1352-7967 CODEN: HSPMFF

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 800 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

050 Epilepsy

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 21 Aug 2003

Last Updated on STN: 21 Aug 2003

AΒ The second article in this month's special feature discusses current anti-epileptic drugs, looks ahead to possible therapeutic developments and emphasises the opportunities for clinical pharmacists to improve medicines management in patients with epilepsy.

L10 ANSWER 47 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

reserved on STN

ACCESSION NUMBER: 2003332017 EMBASE

TITLE: Adjunct agents in pain management:

Anticonvulsants in the management of pain.

AUTHOR: Khan T.

CORPORATE SOURCE: T. Khan, Department of Anesthesiology, Emory University,

Atlanta, GA, United States

SOURCE: Progress in Anesthesiology, (2003) Vol. 17, No. 12, pp.

183-202. . Refs: 316

ISSN: 0891-5784 CODEN: PRANDM

COUNTRY: United States

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 008 Neurology and Neurosurgery

024 Anesthesiology 037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English

ENTRY DATE: Entered STN: 28 Aug 2003

Last Updated on STN: 28 Aug 2003 DATA NOT AVAILABLE FOR THIS ACCESSION NUMBER

L10 ANSWER 48 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 9

ACCESSION NUMBER: 2003:65295 CAPLUS

DOCUMENT NUMBER: 139:46967

TITLE: The anticonvulsant retigabine attenuates

nociceptive behaviours in rat models of persistent and

neuropathic pain

AUTHOR(S): Blackburn-Munro, Gordon; Jensen, Bo Skaaning

CORPORATE SOURCE: Department of Pharmacology, NeuroSearch A/S, Ballerup,

DK-2750, Den.

SOURCE: European Journal of Pharmacology (2003), 460(2-3),

109-116

CODEN: EJPHAZ; ISSN: 0014-2999

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

AB We have tested for anti-nociceptive effects of the anticonvulsant KCNQ channel opener, N-(2-amino-4-(4-fluorobenzylamino)-phenyl)carbamic acid Et

ester (retigabine), in rat models of exptl. pain. In

the chronic constriction injury and spared nerve models of neuropathic

pain, injection of retigabine (5 and 20 mg/kg, p.o.)

significantly attenuated (P<0.05) mech. hypersensitivity in response to pin prick stimulation of the injured hindpaw. In contrast,

retigabine had no effect on mech. hypersensitivity to von Frey

stimulation of the injured hindpaw in either model. Cold sensitivity in response to Et chloride was only attenuated (P<0.05) in the chronic

constriction injury model. In the formalin test, **retigabine** (20 mg/kg, p.o.) attenuated flinching behavior in the second phase compared with vehicle (P<0.05), and this effect was completely reversed by the KCNQ

channel blocker 10,10-bis(4-pyridinylmethyl)-9(10H)-anthracenone (XE-991; 3 mg/kg, i.p.). Neither **retigabine** nor XE-991 administration

affected the latency to respond to noxious thermal stimulation of the tail

in control animals. These results suggest that retigabine may prove to be effective in the treatment of neuropathic pain.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 49 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 10

ACCESSION NUMBER: 2003:690613 CAPLUS

DOCUMENT NUMBER: 140:87016

TITLE: Lack of pharmacokinetic interaction between

retigabine and phenobarbitone at steady-state

in healthy subjects

Ferron, Geraldine M.; Patat, Alain; Parks, Virginia; AUTHOR(S):

Rolan, Paul; Troy, Steven M.

Clinical Pharmacology Department, Wyeth Research, CORPORATE SOURCE:

Collegeville, PA, USA

SOURCE: British Journal of Clinical Pharmacology (2003),

56(1), 39-45

CODEN: BCPHBM; ISSN: 0306-5251

Blackwell Publishing Ltd.

PUBLISHER: Journal DOCUMENT TYPE: English LANGUAGE:

To evaluate potential pharmacokinetic interactions between phenobarbitone

and retigabine, a new antiepileptic drug. Fifteen healthy men

received 200 mg of retigabine on day 1. On days 432,

phenobarbitone 90 mg was administered at 22.00 h. On days 26-32,

increasing doses of retigabine were given to achieve a final dose of 200 mg every 8 h on day 32. The pharmacokinetics of retigabine were determined on days 1 and 32, and those for

phenobarbitone on days 25 and 31. After administration of a single 200 mg

dose, retigabine was rapidly absorbed and eliminated with a mean terminal half-life of $6.7\ h$, a mean AUC of 3936 ng ml-' h and a mean

apparent clearance of 0.761 h-1 kg-1. Similar exposure to the partially active acetylated metabolite (AWD21-360) of retigabine was observed After administration of phenobarbitone dosed to steady-state, the

pharmacokinetics of retigabine at steady-state were similar (AUC of 4433 ng ml-1 h and t1/2 of 8.5 h) to those of **retigabine**

alone. The AUC of phenobarbitone was 298 mg l-1 h when administered alone and 311 mg ml-1 h after retigabine administration. The

geometric mean ratios and 90% confidence intervals of the AUC were 1.11

(0.97, 1.28) for retigabine, 1.01 (0.88, 1.06) for AWD21-360 and 1.04 (0.96, 1.11) for phenobarbitone. Individual and combined treatments were generally well tolerated. One subject was withdrawn from the study on day 10 due to severe abdominal pain. Headache was the most

commonly reported adverse event. No clin. relevant changes were observed in the electrocardiograms, vital signs or laboratory measurements. There was no pharmacokinetic interaction between retigabine and

phenobarbitone in healthy subjects. No dosage adjustment is likely to be necessary when retigabine and phenobarbitone are coadministered to patients.

REFERENCE COUNT:

23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 50 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights reserved on STN

ACCESSION NUMBER: 2003040622 EMBASE

TITLE: Therapeutic potential of potassium channel modulators for

CNS disorders.

AUTHOR: Clark A.G.; Booth S.E.; Morrow J.A.

CORPORATE SOURCE: A.G. Clark, Lead Discovery Pharmacology, Organon

Laboratories Ltd., Newhouse, Lanarkshire ML1 5SH, United

Kingdom. a.clark@organon.co.uk

SOURCE: Expert Opinion on Therapeutic Patents, (1 Jan 2003) Vol.

13, No. 1, pp. 23-32. .

Refs: 49

ISSN: 1354-3776 CODEN: EOTPEG

COUNTRY: United Kingdom

DOCUMENT TYPE: Journal; General Review

FILE SEGMENT: 800 Neurology and Neurosurgery

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: English SUMMARY LANGUAGE: English

ENTRY DATE: Entered STN: 7 Feb 2003

Last Updated on STN: 7 Feb 2003

AB Potassium (K(+)) channels play a pivotal role in the CNS, controlling cell excitability thereby raising their therapeutic application. In realisation of the utility of K(+) channels, many pharmaceutical companies have developed a plethora of antagonists and openers for a range of disorders, including stroke, epilepsy, pain and cognition. The

most promising targets, including BK(Ca,) SK(Ca) and KCNQ channels, will be reviewed in this article. The focus will be upon the most recent K(+) channel modulator patents for CNS disorders and future developments of drugs for the treatment of CNS disorders.

L10 ANSWER 51 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:338226 USPATFULL

TITLE: Bisarylamines as potassium channel openers

INVENTOR(S): Andrew McNaughton-Smith, Grant, Morrisville, NC, UNITED

STATES

Salvatore Amato, George, Cary, NC, UNITED STATES

PATENT ASSIGNEE(S): ICAgen, Inc., Durham, NC, 27703 (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2001-277329P 20010319 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: TOWNSEND AND TOWNSEND AND CREW, LLP, TWO EMBARCADERO

CENTER, EIGHTH FLOOR, SAN FRANCISCO, CA, 94111-3834

NUMBER OF CLAIMS: 65 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 11 Drawing Page(s)

LINE COUNT: 1810

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds, compositions and methods are provided which are useful in the treatment of diseases through the modulation of potassium ion flux through voltage-dependent potassium channels. More particularly, the invention provides bisarylamines, compositions and methods that are useful in the treatment of central or peripheral nervous system disorders (e.g., migraine, ataxia, Parkinson's disease, bipolar disorders, trigeminal neuralgia, spasticity, mood disorders, brain tumors, psychotic disorders, myokymia, seizures, epilepsy, hearing and vision loss, Alzheimer's disease, age-related memory loss, learning deficiencies, anxiety and motor neuron diseases) and as neuroprotective agents (e.g., to prevent stroke and the like) by opening potassium channels associated with the onset or recurrence of the indicated conditions.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 52 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:323226 USPATFULL

TITLE: Methods for treating hyperactive gastric motility INVENTOR(S): Argentieri, Thomas M., Yardley, PA, UNITED STATES

PATENT ASSIGNEE(S): Wyeth, Madison, NJ (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2001-281471P 20010404 (60)

DOCUMENT TYPE: Utility
FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: George M. Tarnowski, 5 Giralda Farms, Madison, NJ,

07940

NUMBER OF CLAIMS: 23
EXEMPLARY CLAIM: 1
LINE COUNT: 719

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention provides methods and pharmaceutical compositions for AΒ treating, inhibiting or preventing hyperactive gastric motility in a mammal utilizing agonists of KCNQ potassium channels, including KCNQ2, KCNQ3, KCNQ4 and KCNQ5 potassium channels, alone or in combination. The hyperactive gastric motility may be associated with maladies including, colitis, irritable bowel syndrome and Crohn's disease. Compounds useful in these methods include the 1,2,4-triamino-benzene derivatives described in U.S. Pat. Number 5,384,330 (Dieter et al.) and the substituted 3-phenyl oxindole compounds described in U.S. Pat. Number 5,565,483 (Hewawasam et al.). Among the preferred compounds of this invention is N-[2-amino-4-(4-fluorobenzylamino)-phenyl]carbamic acid ethyl ester, also referred to as retigabine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 53 OF 63 USPATFULL on STN

2002:323169 USPATFULL ACCESSION NUMBER:

2, 4-disubstituted pyrimidine-5-carboxamide derivatives TITLE:

as KCNQ potassium channel modulators

INVENTOR(S): Hewawasam, Piyasena, Middletown, CT, UNITED STATES

Dodd, Dharmpal S., Princeton, NJ, UNITED STATES Weaver, Charles D., Wallingford, CT, UNITED STATES

Dextraze, Pierre, Laprairie, CANADA

Gribkoff, Valentin K., Wallingford, CT, UNITED STATES

Kinney, Gene G., Collegeville, PA, UNITED STATES Dworetzky, Steven I., Middlefield, CT, UNITED STATES

KIND DATE NUMBER _______ US 2002183335 A1 20021205 US 2002-75521 A1 20020214 (10)

NUMBER DATE _____

PRIORITY INFORMATION: US 2001-269800P 20010220 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: STEPHEN B. DAVIS, BRISTOL-MYERS SQUIBB COMPANY, PATENT

DEPARTMENT, P O BOX 4000, PRINCETON, NJ, 08543-4000

NUMBER OF CLAIMS: 6 EXEMPLARY CLAIM: 1 LINE COUNT: 1346

PATENT INFORMATION:

APPLICATION INFO.:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

There is provided a method of treatment for disorders responsive to the AB modulation of KCNQ potassium channels by administering to a mammal in need thereof a therapeutically effective amount of a 2,4-disubstituted pyrimidine-5-carboxamide derivative of the Formula I ##STR1##

wherein R.sup.1, R.sup.2, R.sup.3, R.sup.4 and R.sup.5 are as defined below. The present invention also provides pharmaceutical compositions comprising openers or activators of the KCNQ potassium channels and especially to the method of treatment of disorders sensitive to KCNQ potassium channel opening activity such as migraine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 54 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:236079 USPATFULL

TITLE: Modulators of KCNQ potassium channels and use thereof

in treating migraine and mechanistically related

diseases

INVENTOR(S): Dworetzky, Steven I., Middlefield, CT, UNITED STATES

Gribkoff, Valentin K., Wallingford, CT, UNITED STATES

Kinney, Gene G., Collegeville, PA, UNITED STATES Hewawasam, Piyasena, Middletown, CT, UNITED STATES

KIND DATE NUMBER ----- -----US 2002128277 A1 20020912

PATENT INFORMATION:

В2 US 6855829 20050215

US 2002-75703 A1 20020214 (10) APPLICATION INFO.:

> NUMBER DATE _____

PRIORITY INFORMATION: US 2001-269967P 20010220 (60)

DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT:

LEGAL REPRESENTATIVE: Stephen B. Davis, BRISTOL-MYERS SQUIBB COMPANY, Patent

Department, P. O. Box 4000, Princeton, NJ, 08543-4000

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 7 Drawing Page(s)

LINE COUNT: 1482

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds which function as modulators, particularly, openers, of human AB KCNQ potassium channel proteins or polypeptides, particularly, central nervous system (CNS)-located KCNQ potassium channels, and heteromultimers thereof, and their use in the treatment of migraine are provided by the present invention. One novel type of potassium channel polypeptide openers provided by the present invention is the fluorooxindole compounds, described for the first time as therapeutics for the treatment of migraine by preventing the asynchronous firing of neurons. Other KCNQ potassium channel opener compounds that are also useful in the treatments of the invention include 2,4-disubstituted pyrimidine-5-carboxamide derivatives. One or more of the compounds according to the present invention may be utilized alone, in combination, or in conjunction with other treatment modalities for reducing, ameliorating and/or alleviating migraine or diseases similar

to, or mechanistically related to, migraine, e.g., cluster headache.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 55 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:206680 USPATFULL

TITLE: Methods of treating anxiety disorders

INVENTOR(S): Bowlby, Mark R., Richboro, PA, UNITED STATES

Rosenzweig-Lipson, Sharon J., East Brunswick, NJ,

UNITED STATES

PATENT ASSIGNEE(S): American Home Products Corporation, Madison, NJ (U.S.

corporation)

NUMBER KIND DATE US 2002111379 A1 20020815 PATENT INFORMATION: US 6589986 B2 20030708 US 2001-22579 A1

APPLICATION INFO.: 20011217 (10)

NUMBER DATE

PRIORITY INFORMATION: US 2000-256834P 20001220 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

WYETH, FIVE GIRALDA FARMS, MADISON, NJ, 07940 LEGAL REPRESENTATIVE:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: 1 LINE COUNT: 336

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention provides methods for treating, preventing or inhibiting anxiety, anxiety-related conditions and phobias in a mammal using compounds of the formula: ##STR1##

wherein: R.sub.1 is H, alkyl, alkanoyl or the radical Ar; R.sub.2 is H or alkyl; R.sub.3 is alkoxy, NH.sub.2, alkylamino, dialkylamino, amino substituted by the radical Ar, alkyl, alkenyl, alkynyl, or the radicals Ar or ArO--; R.sub.4 is H, alkyl or the radical Ar; R.sub.5 is H or alkyl or the radical Ar; or a pharmaceutically acceptable salt or ester form thereof; Ar is an optionally substituted phenyl radical; and n is 0 or 1, or a pharmaceutically acceptable salt or ester form thereof, with

the methods particularly including the use of N-[2-amino-4-(4-fluorobenzylamino)-phenyl] carbamic acid ethyl ester, also known as retigabine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 56 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:283127 USPATFULL

TITLE: Modulatory binding site in potassium channels for

screening and finding new active ingredients

INVENTOR(S): Rundfeldt, Chris, Coswig, GERMANY, FEDERAL REPUBLIC OF

Netzer, Rainer, Hamburg, GERMANY, FEDERAL REPUBLIC OF

PATENT ASSIGNEE(S): Arzneimittelwerk Dresden GmbH, Radebeul, GERMANY,

FEDERAL REPUBLIC OF (non-U.S. corporation)

APPLICATION INFO.: US 1999-368314 19990803 (9) DOCUMENT TYPE: Utility

DOCUMENT TYPE: Utility
FILE SEGMENT: GRANTED
PRIMARY EXAMINER: Guzo, David

ASSISTANT EXAMINER: Leffers, Jr., Gerald G. LEGAL REPRESENTATIVE: Fulbright & Jaworski L.L.P.

NUMBER OF CLAIMS: 11 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 611

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB A selective modulatory **retigabine** binding potassium channel receptor site containing subunits KCNQ2 and KCNQ3, and a method for directly selectively modulating that receptor site by administering **retigabine** to a cell preparation of the potassium channel.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 57 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:276113 USPATFULL

TITLE: Fluoro oxindole derivatives as modulators if KCNQ

potassium channels

INVENTOR(S): Hewawasam, Piyasena, Middletown, CT, United States

Dextraze, Pierre, Laprairie, CANADA

Gribkoff, Valentin K., Wallingford, CT, United States

Kinney, Gene G., Collegeville, CT, United States
Dworetzky, Steven I., Middlefield, CT, United States

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, Princeton, NJ, United

States (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2001-270112P 20010220 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Lambkin, Deborah C. ASSISTANT EXAMINER: Shiau, Rei-Tsang LEGAL REPRESENTATIVE: Algieri, Aldo A.

NUMBER OF CLAIMS: 12 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 1133

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB There is provided novel 3-fluoro-3-phenyl oxindole derivatives of

Formula I ##STR1##

wherein

R.sup.1, R.sup.2, R.sup.3 and R.sup.4 each are independently hydrogen, C.sub.1-4 alkyl, halogen, fluoromethyl, trifluoromethyl, phenyl, 4-methylphenyl or 4-trifluoromethylphenyl;

R.sup.5 is C.sub.1-6 alkyl optionally substituted with one to three same or different groups selected from fluoro and chloro, provided R.sup.5 is not C.sub.1-6 alkyl when Y is O;

Y is O or S; and

R.sup.6 and R.sup.7 each are independently hydrogen, chloro, bromo or trifluoromethy;

which are openers of the KCNQ potassium channels and are useful in the treatment of disorders which are responsive to the opening of the KCNQ potassium channels.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 58 OF 63 USPATFULL on STN

ACCESSION NUMBER: 2002:34456 USPATFULL

TITLE: Methods for modulating bladder function

INVENTOR(S): Argentieri, Thomas Michael, Yardley, PA, United States

Sheldon, Jeffrey Howard, Trappe, PA, United States

Bowlby, Mark R., Richboro, PA, United States

PATENT ASSIGNEE(S): American Home Products Corporation, Madison, NJ, United

States (U.S. corporation)

NUMBER DATE

PRIORITY INFORMATION: US 2000-241078P 20001017

US 2000-241078P 20001017 (60) US 2001-281428P 20010404 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Henley, III, Raymond

LEGAL REPRESENTATIVE: Eck, Steven R.

NUMBER OF CLAIMS: 32 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 0 Drawing Figure(s); 0 Drawing Page(s)

LINE COUNT: 651

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

This invention provides methods and pharmaceutical compositions for maintaining bladder control or treating urinary incontinence in a mammal utilizing agonists of KCNQ potassium channels, including KCNQ2, KCNQ3, KCNQ4 and KCNQ5 potassium channels, alone or in combination. Compounds useful in these methods include the 1,2,4-triamino-benzene derivatives described in U.S. Pat. Number 5,384,330 (Dieter et al.) and the substituted 3-phenyl oxindole compounds described in U.S. Pat. Number 5,565,483 (Hewawasam et al.). Among the preferred compounds of this invention is N-[2-amino-4-(4-fluorobenzylamino)-phenyl]carbamic acid ethyl ester, also referred to as retigabine.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

L10 ANSWER 59 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights

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ACCESSION NUMBER: 2002330231 EMBASE

TITLE: New pharmacological strategies for pain relief.

AUTHOR: Gillen C.; Maul C.

CORPORATE SOURCE: Dr. C. Gillen, Molecular Pharmacology, Gruenenthal GmbH,

Zieglerstr. 6, 52078 Aachen, Germany.

Clemens.gillen@grunenthal.edu

Expert Review of Neurotherapeutics, (2002) Vol. 2, No. 5, SOURCE:

pp. 691-702. .

Refs: 67

ISSN: 1473-7175 CODEN: ERNXAR

COUNTRY:

United Kingdom

DOCUMENT TYPE:

Journal; General Review

FILE SEGMENT:

Neurology and Neurosurgery 800

030 Pharmacology

037 Drug Literature Index 038 Adverse Reactions Titles

LANGUAGE: SUMMARY LANGUAGE: English English

ENTRY DATE:

Entered STN: 10 Oct 2002

Last Updated on STN: 10 Oct 2002

AB Persistent or chronic pain is the primary reason people seek medical advice. Despite major advances in the neurobiology of

pain, many patients with chronic pain still remain insufficiently relieved. The urgent medical need for novel and safe

analgesics with high efficacy has led to intense research for new targets and we want to give a comprehensive overview on the current strategies in molecular pain research. The recently-discovered or

re-evaluated targets that yielded compounds in clinical development will be summarized. In addition, we want to present emerging molecular

strategies for pain relief, along with a mechanism-based classification of pain as the underlying concept for future diagnosis and therapy of chronic pain.

L10 ANSWER 60 OF 63 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on

STN

ACCESSION NUMBER: 2003:326545 BIOSIS PREV200300326545 DOCUMENT NUMBER:

TITLE: FLUPIRTINE A POSITIVE MODULATOR OF HETEROMERIC KCNO2/O3

CHANNELS.

Ilyin, V. I. [Reprint Author]; Carlin, K. P. [Reprint AUTHOR(S):

Author]; Hodges, D. D. [Reprint Author]; Robledo, S. [Reprint Author]; Woodward, R. M. [Reprint Author]

CORPORATE SOURCE:

SOURCE:

DOCUMENT TYPE:

Discovery Research, Purdue Pharma L P, Cranbury, NJ, USA Society for Neuroscience Abstract Viewer and Itinerary Planner, (2002) Vol. 2002, pp. Abstract No. 758.10.

http://sfn.scholarone.com. cd-rom.

Meeting Info.: 32nd Annual Meeting of the Society for Neuroscience. Orlando, Florida, USA. November 02-07, 2002.

Society for Neuroscience. Conference; (Meeting)

Conference; (Meeting Poster)

Conference; Abstract; (Meeting Abstract)

LANGUAGE: English

ENTRY DATE: Entered STN: 16 Jul 2003

Last Updated on STN: 16 Jul 2003

AΒ KCNQ genes encode a group of potassium channels widely expressed in excitable tissues. Recent reports indicate that KCNQ2/3 heteromeric channels may underlie the native M-current in the CNS. KCNQ channels display slow activation and deactivation and little if any inactivation. Because a portion of these channels are open at normal resting membrane potentials, these channels suppress spike generation, making them potential targets for modulating activity in pain pathways. Flupirtine is a marketed analgesic whose mechanism of action is poorly defined. Because of the structural similarities between flupirtine and known KCNQ channel modulators we sought to determine if flupirtines analgesic activity could be mediated by KCNQ channels. We tested flupirtine side-by-side with retigabine, a known positive modulator of KCNQ channels. Using whole-cell patch clamp recordings from HEK-293 cells transiently transfected with KCNQ2/KCNQ3 constructs we determined that flupirtine is a positive modulator of KCNQ channels with a mechanism of action similar to that of retigabine. Application of flupirtine (10 uM) leads to an increase in current amplitude, a hyperpolarizing shift in the activation curve (~16+3mV) and an approximately 2 fold slowing of the deactivation kinetics. Flupirtine was a less potent modulator of KCNQ2/KCNQ3 channels than **retigabine**. In the rat Chung model of neuropathic **pain** flupirtine was equipotent to **retigabine** in reducing tactile allodynia but was less efficacious. We conclude that flupirtines effectiveness as an analgesic may be due, at least in part, to the positive modulation of KCNQ channels.

L10 ANSWER 61 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 11

ACCESSION NUMBER: 2001:389379 CAPLUS

DOCUMENT NUMBER: 135:221181

TITLE: KCNQ4 channel activation by BMS-204352 and

retigabine

AUTHOR(S): Schroder, R. L.; Jespersen, T.; Christophersen, P.;

Strobaek, D.; Jensen, B. S.; Olesen, S.-P. NeuroSearch A/S, Ballerup, DK 2750, Den. Neuropharmacology (2001), 40(7), 888-898

CODEN: NEPHBW; ISSN: 0028-3908

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

CORPORATE SOURCE:

SOURCE:

AB Activation of potassium channels generally reduces cellular excitability, making potassium channel openers potential drug candidates for the treatment of diseases related to hyperexcitability such as epilepsy, neuropathic pain, and neurodegeneration. Two compds.,

BMS-204352 and retigabine, presently in clin. trials for the treatment of stroke and epilepsy, resp., have been proposed to exert their protective action via an activation of potassium channels. Here we show that KCNQ4 channels, stably expressed in HEK293 cells, were activated by retigabine and BMS-204352 in a reversible and concentration-dependent manner in the concentration range 0.1-10 μM. Both compds. shifted the KCNQ4 channel activation curves towards more neg. potentials by about 10 mV. Further, the maximal current obtainable at large pos. voltages was also increased concentration-dependently by both compds. Finally, a pronounced slowing of the deactivation kinetics was induced in particular by BMS-204352. The M-current blocker linopirdine inhibited the baseline current, as well as the BMS-204352-induced activation of the KCNQ4 channels. KCNQ2, KCNQ2/Q3, and KCNQ3/Q4 channels were activated to a similar degree as KCNQ4 channels by 10 μM of BMS-204352 and retigabine, resp. The compds. are, thus, likely to be general

activators of M-like currents.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 62 OF 63 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 12

ACCESSION NUMBER: 2000:636213 CAPLUS

DOCUMENT NUMBER: 133:187979

TITLE: Use of retigabine for the treatment of

pain

INVENTOR(S): Rundfeldt, Chris; Bartsch, Reni; Rostock, Angelika;

Tober, Christine; Dost, Rita

PATENT ASSIGNEE(S): ASTA Medica Aktiengesellschaft, Germany

SOURCE: U.S., 5 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

KIND PATENT NO. DATE APPLICATION NO. DATE ____ ----------US 1999-406135 US 6117900 Α 20000912 19990927 CA 2384504 AA 20010405 CA 2000-2384504 20000922 20010405 WO 2001022953 A2 WO 2000-EP9284 20000922 WO 2001022953 А3 20020523 W: AU, BG, BR, BY, CA, CN, CZ, EE, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LT, LV, MK, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR,

UA, UZ, YU, ZA, AM, AZ, MD, TJ, TM
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,

PT, SE

45 61179 W

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BR 2000014293
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                                 20020521
                                             BR 2000-14293
                          Α
                                 20020724
                                                                    20000922
     EP 1223927
                          A2
                                             EP 2000-969283
     EP 1223927
                          В1
                                 20050209
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY
                                 20021021
                          Т2
                                             TR 2002-200200706
                                                                    20000922
     TR 200200706
     NZ 517616
                                             NZ 2000-517616
                                                                    20000922
                          Α
                                 20021220
     JP 2003510273
                          Т2
                                 20030318
                                             JP 2001-526165
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     EE 200200145
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                                 20030415
                                             EE 2002-145
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                          B2
                                20041028
                                             AU 2000-79061
                                                                    20000922
     AU 777764
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                                 20050215
                                             AT 2000-969283
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     AT 288748
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                                20050630
                                             PT 2000-969283
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     PT 1223927
     ES 2237461 ·
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     RU 2264813
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                                20051127
                                             RU 2002-109240
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                                             CZ 2002-989
     CZ 295980
                                20051214
                                                                    20000922
     BG 106450
                                             BG 2002-106450
                          Α
                                20020930
                                                                    20020227
                                             HR 2002-234
     HR 2002000234
                          Α1
                                20030630
                                                                    20020318
     NO 2002001418
                          Α
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                                             NO 2002-1418
                                                                    20020321
                          Α
                                20030128
                                             ZA 2002-2449
                                                                    20020327
     ZA 2002002449
PRIORITY APPLN. INFO.:
                                             US 1999-406135
                                                                 A 19990927
                                             WO 2000-EP9284
                                                                 W 20000922
     The invention relates to the use of 2-amino-4-(4-fluorobenzylamino)-1-
AB
     ethoxycarbonylaminobenzene (retigabine), or a pharmaceutically
     utilizable salt thereof, for the prophylaxis and treatment of pain
     , e.g. <u>neuropathic pain</u>.
                               THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
REFERENCE COUNT:
                               RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
L10 ANSWER 63 OF 63 EMBASE COPYRIGHT (c) 2006 Elsevier B.V. All rights
     reserved on STN
ACCESSION NUMBER:
                    2002148550 EMBASE
TITLE:
                    Anticonvulsants for the management of pain.
AUTHOR:
                    Chong M.S.; Smith T.E.
CORPORATE SOURCE:
                    M.S. Chong, Department of Neurology, King's College
                    Hospital, Mapother House, De Crespigny Park, London SE5
                    9AZ, United Kingdom. mschong@doctors.org.uk
SOURCE:
                    Pain Reviews, (2000) Vol. 7, No. 3-4, pp. 129-149. .
                    Refs: 214
                    ISSN: 0968-1302 CODEN: PAREFV
COUNTRY:
                    United Kingdom
DOCUMENT TYPE:
                    Journal; General Review
FILE SEGMENT:
                    800
                            Neurology and Neurosurgery
                    024
                            Anesthesiology
                    037
                            Drug Literature Index
                    038
                            Adverse Reactions Titles
                    050
                            Epilepsy
LANGUAGE:
                    English
SUMMARY LANGUAGE:
                    English
ENTRY DATE:
                    Entered STN: 8 May 2002
                    Last Updated on STN: 8 May 2002
AB
     The development of anticonvulsant drugs is an example of where advances in
     basic neuroscience have improved patient care. Potential benefits also
     spill over to nonepileptic patients, especially those with chronic
     pain. It is increasingly recognized that there are many
     similarities between the molecular pathophysiology of epileptogenesis and
     that of chronic pain. Anticonvulsant drugs are now used
     extensively for treating neuropathic and non-neuropathic pain
     syndromes. This article summarizes the presumed modes of action of
     commonly used anticonvulsant drugs and points out where they may be
     important for treating pain. The clinical evidence for their
     efficacy is examined. In addition, some anticonvulsant drugs that are
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treating pain are highlighted.

under development are assessed and those that may be effective for

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FILE 'CAPLUS, EMBASE, BIOSIS, USPATFULL' ENTERED AT 17:35:22 ON 25 APR
     2006
             410 S 150812-12-7/RN OR RETIGABINE
L1
           1089 S EPERISONE OR SILPERISONE OR 163437-00-1/RN OR 140944-31-6/RN
L2
L3
             30 S MYDETONE OR MYDETON OR NSC 107321
           1099 S L2 OR L3
L4
L5
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             84 S L1 AND PAIN
L6
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L7
            163 FOCUS L7 1-
L8
             55 S L8 AND (NEURALGIA OR NEUROPATHIC OR ARTHRITIS OR ARTHROSIS O
L9
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L10
=> s 11 and 14
L11
             3 L1 AND L4
=> dup rem 111
PROCESSING COMPLETED FOR L11
L12
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=> d ibib abs 1-2
L12 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
                          2005:371026 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                          142:404278
TITLE:
                          Combination of retigabine and sodium channel
                          inhibitors or sodium channel-influencing agents for
                          treating pain
INVENTOR(S):
                          Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,
                          Mathias
PATENT ASSIGNEE(S):
                          Germany
                          U.S. Pat. Appl. Publ., 4 pp.
SOURCE:
                          CODEN: USXXCO
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                          KIND DATE
                                            APPLICATION NO.
                                                                      DATE
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                                           US 2003-727655
WO 2004-US35296
     US 2005090547
                          A1
                                 20050428
                                                                      20031205
     WO 2005039577
                          A1 20050506
                                                                      20041022
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             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
PRIORITY APPLN. INFO.:
                                              DE 2003-10349729
                                                                  A 20031023
                                                                  A 20031205
                                              US 2003-727655
                                              US 2003-727658
                                                                  A 20031205
                                              DE 2003-10359336
                                                                  A 20031216
AΒ
     The invention discloses pharmaceutical combinations of retigabine
     and sodium channel inhibitors for treating pain which is accompanied by an
     increase in muscle tone.
L12 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                          2005:395097 CAPLUS
DOCUMENT NUMBER:
                          142:435800
TITLE:
                          Combinations of potassium channel openers and sodium
                          channel inhibitors or sodium channel-influencing
                          active compounds for treating pain
```

Szelenyi, Istvan; Brune, Kay; Hermann, Robert; Locher,

INVENTOR(S):

Mathias

PATENT ASSIGNEE(S): SOURCE:

Xcel Pharmaceuticals, Inc., USA

PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

9

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.					KIND DATE			APPLICATION NO.					DATE					
	WO 2005039577			A1 20050506			WO 2004-US35296				20041022							
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US 2005090547			A1 20050428			US 2003-727655					20031205							
	US	2005	0895	59		A1		2005	0428	ı	US 2	003-	7276	58		2	0031	205
DE 10359336			A1	A1 20050525			DE 2003-10359336			20031216								
PRIORITY APPLN. INFO.:						DE 2003-10349729			A 20031023									
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	. US 2003-727658								7	A 2	0031	205						
										i	DE 2	003-	1035	9336			00312	
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AB The invention relates to pharmaceutical combinations of potassium channel openers and sodium channel inhibitors for treating pains which are accompanied by an increase in muscle tone.

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT